Welcome to STN International! Enter x:x

LOGINID: SSPTAKAB1626

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

```
* * * * * * * * * *
                     Welcome to STN International
                 Web Page for STN Seminar Schedule - N. America
NEWS
NEWS
         JUN 06
                 EPFULL enhanced with 260,000 English abstracts
NEWS
                 KOREAPAT updated with 41,000 documents
         JUN 06
NEWS
         JUN 13 USPATFULL and USPAT2 updated with 11-character
                 patent numbers for U.S. applications
NEWS
         JUN 19
                 CAS REGISTRY includes selected substances from
                 web-based collections
                 CA/CAplus and USPAT databases updated with IPC
NEWS 6
         JUN 25
                 reclassification data
NEWS
         JUN 30
                 AEROSPACE enhanced with more than 1 million U.S.
                 patent records
         JUN 30
NEWS
      8
                 EMBASE, EMBAL, and LEMBASE updated with additional
                 options to display authors and affiliated
                 organizations
NEWS
         JUN 30
                 STN on the Web enhanced with new STN AnaVist
     9
                 Assistant and BLAST plug-in
NEWS 10
         JUN 30
                 STN AnaVist enhanced with database content from EPFULL
NEWS 11
         JUL 28 CA/CAplus patent coverage enhanced
NEWS 12
         JUL 28 EPFULL enhanced with additional legal status
                 information from the epoline Register
         JUL 28 IFICDB, IFIPAT, and IFIUDB reloaded with enhancements
NEWS 13
NEWS 14
         JUL 28 STN Viewer performance improved
NEWS 15
         AUG 01
                 INPADOCDB and INPAFAMDB coverage enhanced
NEWS 16
         AUG 13 CA/CAplus enhanced with printed Chemical Abstracts
                 page images from 1967-1998
NEWS 17
         AUG 15
                 CAOLD to be discontinued on December 31, 2008
NEWS 18
         AUG 15
                 CAplus currency for Korean patents enhanced
NEWS 19
         AUG 27
                 CAS definition of basic patents expanded to ensure
                 comprehensive access to substance and sequence
                 information
NEWS 20
         SEP 18
                 Support for STN Express, Versions 6.01 and earlier,
                 to be discontinued
NEWS 21
         SEP 25
                 CA/CAplus current-awareness alert options enhanced
                 to accommodate supplemental CAS indexing of
                 exemplified prophetic substances
NEWS 22
                 WPIDS, WPINDEX, and WPIX coverage of Chinese and
         SEP 26
                 and Korean patents enhanced
NEWS 23
         SEP 29
                 IFICLS enhanced with new super search field
NEWS 24
         SEP 29
                 EMBASE and EMBAL enhanced with new search and
                 display fields
NEWS 25
         SEP 30
                 CAS patent coverage enhanced to include exemplified
                 prophetic substances identified in new Japanese-
```

language patents

NEWS 26 OCT 07 EPFULL enhanced with full implementation of EPC2000 NEWS 27 OCT 07 Multiple databases enhanced for more flexible patent number searching

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3, AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

NEWS HOURS STN Operating Hours Plus Help Desk Availability

NEWS LOGIN Welcome Banner and News Items

NEWS IPC8 For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

FILE 'HOME' ENTERED AT 07:45:58 ON 09 OCT 2008

=> file reg

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 0.21 0.21

FILE 'REGISTRY' ENTERED AT 07:46:10 ON 09 OCT 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2008 American Chemical Society (ACS)

Property values tagged with IC are from the ${\tt ZIC/VINITI}$ data file provided by InfoChem.

STRUCTURE FILE UPDATES: 7 OCT 2008 HIGHEST RN 1058345-57-5 DICTIONARY FILE UPDATES: 7 OCT 2008 HIGHEST RN 1058345-57-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>

Uploading C:\Program Files\STNEXP\Queries\10553982 broadest.str

chain nodes :

21 22

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 23

chain bonds :

2-21 4-17 5-11 21-22 21-23

ring bonds :

 $1 - 2 \quad 1 - 5 \quad 2 - 3 \quad 3 - 4 \quad 4 - 5 \quad 6 - 7 \quad 6 - 11 \quad 7 - 8 \quad 8 - 9 \quad 9 - 10 \quad 10 - 11 \quad 12 - 13 \quad 12 - 17 \quad 13 - 14 \quad 14 - 9 - 10 \quad 12 - 13 \quad 12 - 17 \quad 13 - 14 \quad 14 - 12 - 13 \quad 14 - 12 - 12 \quad 14 - 12 - 13 \quad 12 - 17 \quad 13 - 14 \quad 14 - 12 - 13 \quad 12 - 17 \quad 13 - 14 \quad 14 - 12 - 12 \quad 14 - 12 \quad 14 - 12 - 12 \quad 14 - 12 \quad 14 - 12 \quad 14$

15

15-16 16-17

exact/norm bonds :

 $1-2 \quad 1-5 \quad 2-3 \quad 2-21 \quad 3-4 \quad 4-5 \quad 4-17 \quad 5-11 \quad 6-7 \quad 6-11 \quad 7-8 \quad 8-9 \quad 9-10 \quad 10-11 \quad 12-13$

12-17 13-14 14-15 15-16 16-17 21-22 21-23

isolated ring systems : containing 1 : 6 : 12 :

G1:C,N

G2:0,S

G3:0,N

Match level:

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 21:CLASS 22:CLASS

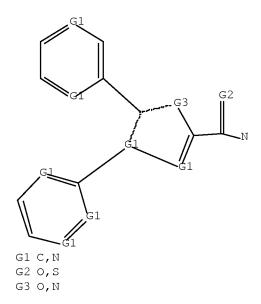
23:Atom

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1STR



Structure attributes must be viewed using STN Express query preparation.

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE TOTAL

ENTRY SESSION

FULL ESTIMATED COST

0.46

0.67

FILE 'CAPLUS' ENTERED AT 07:46:28 ON 09 OCT 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 9 Oct 2008 VOL 149 ISS 15 FILE LAST UPDATED: 8 Oct 2008 (20081008/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/legal/infopolicy.html

=> s L1 SSS full

REGISTRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress... Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 07:46:32 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 39686 TO ITERATE

100.0% PROCESSED 39686 ITERATIONS

SEARCH TIME: 00.00.01

936 SEA SSS FUL L1 L2

L3 35 L2

=> d ibib abs hitstr 1-YOU HAVE REQUESTED DATA FROM 35 ANSWERS - CONTINUE? Y/(N):y

ANSWER 1 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN 2008:859080 CAPLUS Full-text ACCESSION NUMBER:

DOCUMENT NUMBER: 149:176324

TITLE: Preparation of substituted diarylpyrazole derivatives

for use as cannabinoid-CB1 antagonists and serotonin

936 ANSWERS

reuptake inhibitors

INVENTOR(S): Lange, Josephus H. M.; Kruse, Cornelis G.

PATENT ASSIGNEE(S): Solvay Pharmaceuticals B.V., Neth.

SOURCE: PCT Int. Appl., 51pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

P	ATENT I	NO.			KIND DATE				APPL	ICAT		DATE							
W	10 2008	2008084057				A1 20080717			,	WO 2	008-	EP50	20080109						
	W:	ΑE,	AG,	AL,	AM,	AO,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,		
		CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,		
		FΙ,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,		
		KG,	ΚM,	KN,	KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,		
		ME,	MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NΙ,	NO,	NZ,	OM,	PG,	PH,		
		PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,		
		TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW					
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HR,	HU,		
		ΙE,	IS,	IT,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,		
		TR,	BF,	ΒJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML_{\prime}	MR,	NE,	SN,	TD,		
		ΤG,	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,		
		ΑM,	ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM									
U	US 20080214559					A1 20080904				US 2008-970229						20080107			
PRIORI	PRIORITY APPLN. INFO.:								EP 2007-100323					Ž	A 20070110				
						US 2007-879533P						P 20070110							
OFFIE	COLLDON	3 C 7 T 7		1 10	1760	O 4													

OTHER SOURCE(S): MARPAT 149:176324

GΙ

AΒ Title compds. I [X = (un)] substituted (un) saturated carbon chain containing 0 to 8 atoms, where one carbon atom may be replaced with N, O, or S; R1 = H or alkyl; or together with the N atom to which it is attached, and together with part of X, form heterocycloalkyl or heteroaryl; R2 = an essential structural element of any known cannabinoid-CB1 antagonist; R3 = an essential structural element of any known serotonin reuptake inhibitor; with provisions], and their pharmaceutically acceptable salts, are prepared and disclosed as cannabinoid-CB1 antagonists and serotonin reuptake inhibitors. Thus, e.g., II was prepared by amination of 4-chloro-1-(5-fluoro-1H-indol-3-yl)butan-1-one (preparation given) with piperazine, followed by reduction and amidation with 2-(2-chlorophenyl)-1-(4- chlorophenyl)-5-ethyl-1H-imidazole-4-carboxylic acid (preparation given). I were evaluated in human cannabinoid-CB1 receptor binding assays, e.g., II demonstrated a pKi values of 7.5. I were disclosed as therapeutic agents for psychosis, anxiety, depression, attention deficits, cognitive disorders, obesity, drug dependence, Parkinson's disease, Alzheimer's disease, pain disorders, neuropathic pain disorders and sexual disorders.

IT 1039037-40-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted diarylpyrazole derivs. for use as cannabinoid-CB1 antagonists and serotonin reuptake inhibitors useful in the treatment of diseases)

RN 1039037-40-5 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-ethyl-1H-imidazol-4-yl][4-[4-(5-fluoro-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2008:614722 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 148:561719

TITLE: Preparation of pyrrole derivatives, particularly

4,5-diphenylpyrrole-2-carboxamides, as CB1 cannabinoid

receptor antagonists

INVENTOR(S): Barth, Francis; Conqy, Christian; Hortala, Laurent;

Rinaldi, Carmona Murielle

PATENT ASSIGNEE(S): Sanofi Aventis, Fr. SOURCE: Fr. Demande, 39pp.

CODEN: FRXXBL

DOCUMENT TYPE: Patent LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATEN	PATENT NO.								APPL	ICAT	ION :	DATE				
	FR 2908766 WO 2008068423					A1 20080523 A2 20080612						20061120 20071119				
	080684						0731		WO Z	007-	LKIO	20071119			119	
M	: AE,	•	•	•	•	•	•	•		•	•	•	•	•	•	•
	•		•	•		CZ,	•	•				•				•
	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,
	KM,	KN,	KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	ME,
	MG,	MK,	MN,	MW,	MX,	MY,	MΖ,	NA,	NG,	NI,	NO,	NΖ,	OM,	PG,	PH,	PL,
	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ТJ,	TM,	TN,
	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	ZA,	ZM,	ZW				
R	W: AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	IE,
	IS,	ΙΤ,	LT,	LU,	LV,	MC,	MT,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,
	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,
	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,
	BY,	KG,	KΖ,	MD,	RU,	TJ,	TM,	AP,	EA,	EP,	OA					
PRIORITY A	·	·	ŕ	·		FR 2	006-	1020	A 20061120							
OTHER SOUR	OTHER SOURCE(S): GI					MARPAT 148:561719										

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [A = (un)substituted alkylene, phenylene, benzylene, etc.; R1 = H, alkyl; R2 = (un)substituted alkyl, indanyl, monooxygen, monosulfur, mononitrogen 5-7 membered heterocyclyl, benzhydryl, benzhydrylmethyl, etc.; or NR1R2 = morpholinyl, (un)substituted piperazin-1-yl, 1,4-diazepan-1-yl, piperidin-1-yl, pyrrolidin-1-yl; R3-R8 = independently H, halo, alkoxy, (un)substituted alkyl S00-2-alkyl, OS00-2-alkyl; R9 = OH, CN, CO2H, NH2 and derivs., CONHNH2, S02CF3, NHS02CF3, CONHOH, etc.; their free bases and their acid addition salts, and their hydrates and solvates] were prepared as antagonists of CB1 cannabinoid receptors (no data) and for treatment of the diseases it implies (no data). Thus, a multi-step synthesis starting from 2-amino-3-butynoic acid was given for pyrrole II (m.p. = 102°). I exhibited an excellent affinity in vitro (IC50 ≤ 5•10-7 M) for the CB1 cannabinoid receptors. The antagonist nature of compds. I was demonstrated by adenylate-

cyclase inhibition models, and toxicity was compatible with therapeutic use (no data). The interaction of I with the brain CB1 receptors was determined using a test of ex vivo binding of [3H]-CP55940 after i.v. injection to mice (no data). The interaction of I with the peripheral CB1 receptors was determined using a test of reversion of the inhibiting effect of CP55940 on gastrointestinal transit after oral administration to mice (no data). Thus, I are useful for treating psychiatric, metabolic, and gastrointestinal disorders, smoking cessation, etc. (no data).

IT 1026666-10-3P, 1'-[[5-(4-Chlorophenyl)-4-(2,4-dichlorophenyl)-1H-pyrrol-2-yl]carbonyl]-1,4'-bipiperidinyl-4'-carboxamide 1026666-11-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of diphenylpyrrole carboxamides as antagonists of CB1 cannabinoid receptors)

RN 1026666-10-3 CAPLUS

CN [1,4'-Bipiperidine]-4'-carboxamide, 1'-[[5-(4-chlorophenyl)-4-(2,4-dichlorophenyl)-1H-pyrrol-2-yl]carbonyl]- (CA INDEX NAME)

RN 1026666-11-4 CAPLUS

CN 1H-Pyrrole-1-hexanoic acid, 5-[[4'-(aminocarbonyl)[1,4'-bipiperidin]-1'-yl]carbonyl]-2-(4-chlorophenyl)-3-(2,4-dichlorophenyl)-, ethyl ester (CA INDEX NAME)

IT 1026665-87-1P 1026665-88-2P 1026665-90-6P 1026665-91-7P 1026665-92-8P 1026665-93-9P 1026665-95-1P 1026665-96-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)

(preparation of diphenylpyrrole carboxamides as antagonists of ${\tt CB1}$ cannabinoid receptors)

RN 1026665-87-1 CAPLUS

CN 1H-Pyrrole-1-hexanoic acid, 5-[[4'-(aminocarbonyl)[1,4'-bipiperidin]-1'-yl]carbonyl]-2-(4-chlorophenyl)-3-(2,4-dichlorophenyl)- (CA INDEX NAME)

RN 1026665-88-2 CAPLUS

CN 1H-Pyrrole-1-propanoic acid, 5-[[4'-(aminocarbonyl)[1,4'-bipiperidin]-1'-yl]carbonyl]-2-(4-chlorophenyl)-3-(2,4-dichlorophenyl)- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ \hline \\ R & & & \\ \hline \end{array}$$

RN 1026665-90-6 CAPLUS

CN [1,4'-Bipiperidine]-4'-carboxamide, 1'-[[5-(4-chlorophenyl)-1-(2-cyanoethyl)-4-(2,4-dichlorophenyl)-1H-pyrrol-2-yl]carbonyl]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 1026665-89-3 CMF C31 H32 C13 N5 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 1026665-91-7 CAPLUS

CN [1,4'-Bipiperidine]-4'-carboxamide, 1'-[[5-(4-chlorophenyl)-4-(2,4-dichlorophenyl)-1-[2-(methylsulfonyl)ethyl]-1H-pyrrol-2-yl]carbonyl]- (CA INDEX NAME)

RN 1026665-92-8 CAPLUS

CN [1,4'-Bipiperidine]-4'-carboxamide, 1'-[[5-(4-bromophenyl)-4-(2,4-dichlorophenyl)-1-[2-(methylsulfonyl)ethyl]-1H-pyrrol-2-yl]carbonyl]- (CA INDEX NAME)

RN 1026665-93-9 CAPLUS

CN Methanone, [4-(1,3-benzodioxol-5-ylmethyl)-1-piperazinyl][5-(4-bromophenyl)-4-(2,4-dichlorophenyl)-1-[2-(methylsulfonyl)ethyl]-1H-pyrrol-2-yl]- (CA INDEX NAME)

RN 1026665-95-1 CAPLUS

CN [1,4'-Bipiperidine]-4'-carboxamide, 1'-[[5-(4-chlorophenyl)-4-(2,4-dichlorophenyl)-1-[3-[(methylsulfonyl)amino]propyl]-1H-pyrrol-2-yl]carbonyl]- (CA INDEX NAME)

RN 1026665-96-2 CAPLUS

CN [1,4'-Bipiperidine]-4'-carboxamide, 1'-[[5-(4-chlorophenyl)-4-(2,4-dichlorophenyl)-1-[3-[[(trifluoromethyl)sulfonyl]amino]propyl]-1H-pyrrol-2-yl]carbonyl]- (CA INDEX NAME)

PAGE 2-A

$$R2 - C - N \longrightarrow N$$

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2007:1209410 CAPLUS Full-text

DOCUMENT NUMBER: 147:486462

TITLE: Preparation of imidazolylcarbonyl naphthylpiperazine

derivatives as cholecystokinin-1 receptor modulators

INVENTOR(S): Berger, Richard; Edmondson, Scott; Hansen, Alexa; Zhu,

Cheng

PATENT ASSIGNEE(S): Merck & Co., Inc., USA SOURCE: PCT Int. Appl., 112pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.				KIN	D	DATE			APPL	ICAT	D.	DATE				
WO 2007120655 WO 2007120655				A2 20071025 A3 20080925				WO 2	007-		20070410					
₩:	•	CN,	CO,	CR,	CU,	AU, CZ, HN,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,

KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO:

US 2006-791961P

P 20060414

OTHER SOURCE(S):

MARPAT 147:486462

Title compds. represented by the formula I [wherein X = N or CR16; R1-R4 = independently H, halo, alkyl, etc.; R5-R7 = independently H, halo, OH, alkyl(oxy); R8 = H, halo, alkoxy or (cyclo)alkyl; R9, R16 = independently H, alkyl, Ph, etc.; R10 = independently halo, CN, alkyl, etc.; m = 1-4; n = 0-4; and pharmaceutically acceptable salts thereof] were prepared as cholecystokinin-1 receptor (CCK-1R) modulators. For example, II was provided in a multi-step synthesis starting from the reaction of 3-ethoxyaniline with p-tolunitrile. I were tested and found to bind to the CCK-1R with IC50 values less than or equal to 500 nM; and have EC50 values less than or equal to 500 nM in the functional assay. Thus, I and their pharmaceutical compns. are useful for the treatment, control, or prevention of diseases and disorders responsive to the modulation of CCK-1R, such as obesity, and diabetes.

II 1057345-59-1 1057345-61-5

11 100/040-00-1 100/040-01

RL: PRPH (Prophetic)

(Preparation of imidazolylcarbonyl naphthylpiperazine derivatives as cholecystokinin-1 receptor modulators)

RN 1057345-59-1 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 1057345-61-5 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[1-[[1-(3-ethoxyphenyl)-2-(2-fluoro-4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-hydroxy-4-piperidinyl]-, methyl ester (CA INDEX NAME)

954397-95-6P, 3-[4-[[1-(3-Ethoxyphenyl)-2-(4-methylphenyl)-1H-ΙT imidazol-4-yl]carbonyl]-1-piperazinyl]-1-naphthoic acid 954397-96-7P, 3-[4-[[1-(3-Ethoxyphenyl)-2-(4-methylphenyl)-1Himidazol-4-yl]carbonyl]-1-piperazinyl]-1-naphthoic acid trifluoroacetate 954398-00-6P, 3-[4-[[1-(3-Ethoxyphenyl)-2-(2-fluoro-4methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-1-naphthoic acid 954398-01-7P, 3-[4-[[1-(3-Ethoxyphenyl)-2-(2-fluoro-4methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-1-naphthoic acid fluorophenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-1-naphthoic acid 954398-06-2P, 3-[4-[[1-(3-Ethoxyphenyl)-2-(4-fluorophenyl)-1Himidazol-4-yl]carbonyl]-1-piperazinyl]-1-naphthoic acid trifluoroacetate 954398-11-9P, 3-[4-[[1-(3-Ethoxyphenyl)-2-(2,4-difluorophenyl)-1Himidazol-4-yl]carbonyl]-1-piperazinyl]-1-naphthoic acid 954398-12-0P, 3-[4-[[1-(3-Ethoxypheny1)-2-(2,4-difluoropheny1)-1Himidazol-4-yl]carbonyl]-1-piperazinyl]-1-naphthoic acid trifluoroacetate 954398-16-4P, 3-[4-[[1-(3-Ethoxyphenyl)-2-(4-fluorophenyl)-1Himidazol-4-yl]carbonyl]-1-piperazinyl]-2-naphthoic acid 954398-17-5P, 3-[4-[[1-(3-Ethoxyphenyl)-2-(4-fluorophenyl)-1Himidazol-4-yl]carbonyl]-1-piperazinyl]-2-naphthoic acid trifluoroacetate 954398-22-2P, 3-[4-[[1-(3-Ethoxyphenyl)-2-(4-methylphenyl)-1Himidazol-4-yl]carbonyl]-1-piperazinyl]-2-naphthoic acid 954398-23-3P, 3-[4-[[1-(3-Ethoxyphenyl)-2-(4-methylphenyl)-1Himidazol-4-yl]carbonyl]-1-piperazinyl]-2-naphthoic acid trifluoroacetate 954398-28-8P 954398-34-6P 954398-47-1P,

```
3-[1-[[1-(3-\text{Ethoxyphenyl})-2-(2-\text{fluoro}-4-\text{methylphenyl})-1\text{H-imidazol}-4-
yl]carbonyl]-4-piperidinyl]-1-naphthoic acid 954398-48-2P,
3-[1-[[1-(3-\text{Ethoxyphenyl})-2-(2-\text{fluoro}-4-\text{methylphenyl})-1\text{H-imidazol}-4-
yl]carbonyl]piperidin-4-yl]-1-naphthoic acid trifluoroacetate
954398-68-6P, 3-[1-[[1-(3-Ethoxyphenyl)-2-(2-fluoro-4-
methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-hydroxy-4-piperidinyl]-1-
naphthoic acid 954398-69-7P, 3-[1-[[1-(3-Ethoxyphenyl)-2-(2-
fluoro-4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-hydroxypiperidin-4-yl]-
1-naphthoic acid trifluoroacetate 954398-77-7P,
1-(7-\text{Methoxy}-2-\text{naphthyl})-4-[[1-(3-\text{methoxyphenyl})-2-(4-\text{methylphenyl})-1H-
imidazol-4-yl]carbonyl]piperazine 954398-79-9P,
3-[4-[[1-(3-Methoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-
piperazinyl]-2-naphthoic acid 954398-81-3P, Methyl
2-[4-[[1-(3-methoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-
piperazinyl]-1-naphthoate 954398-83-5P, 6-[4-[[1-(3-
Ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl|carbonyl|-1-piperazinyl|-
1-naphthoic acid 954398-85-7P, 3-[4-[[1-(3-Ethoxyphenyl)-2-(4-
methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-N-isopropyl-1-
naphthalenecarboxamide 954398-87-9P, 1-[[1-(3-Ethoxypheny1)-2-(4-
methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-[4-[(1-pyrrolidinyl)carbonyl]-2-
naphthyl]piperazine 954398-89-1F, 3-[4-[[1-(3-Ethoxyphenyl)-2-(4-
methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-N-ethyl-1-
naphthalenecarboxamide 954398-91-5P, 3-[4-[[1-(3-Ethoxyphenyl)-2-
(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-N,N-diethyl-1-
naphthalenecarboxamide 954398-93-7P, 1-[[1-(3-Ethoxyphenyl)-2-(4-
methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-[3-[(1-pyrrolidinyl)carbonyl]-2-
methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-N, N-diethyl-2-
naphthalenecarboxamide 954398-96-0P, 3-[4-[[1-(3-Ethoxyphenyl)-2-
(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-N-ethyl-2-
naphthalenecarboxamide 954398-98-2P, 3-[4-[[1-(3-Ethoxyphenyl)-2-
(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-N-isopropyl-2-
naphthalenecarboxamide 954399-00-9P, 3-[4-[[2-(2,4-
Difluorophenyl)-1-(3-ethoxyphenyl)-1H-imidazol-4-yl]carbonyl]-1-
piperazinyl]-2-naphthoic acid 954399-02-1P, 3-[4-[[1-(3-
Hydroxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-
piperaziny1]-1-naphthoic acid 954399-04-3P, 3-[4-[[1-(3-
Ethoxyphenyl)-2-phenyl-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-1-
naphthoic acid 954399-06-5P, 3-[4-[[2-(4-Chlorophenyl)-1-(3-
ethoxyphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-1-naphthoic acid
954399-08-7P, 3-[4-[[1-(3-Ethoxyphenyl)-2-(2-fluoro-4-
methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-2-naphthoic acid
954399-22-5P 954399-24-7P 954399-26-9P
954399-28-1P 954399-30-5P 954399-32-7P
954399-34-9P 954399-36-1P 954399-38-3P
954399-40-7P 954399-42-9P 954399-44-1P
954399-46-3P 954399-48-5P 954399-51-0P
954399-53-2P 954399-55-4P 954399-57-6P
954399-60-1P 954399-63-4P 954399-66-7P
954399-69-0P 954399-72-5P 954399-75-8P
954399-78-1P 954399-81-6P 954399-84-9P
954399-87-2P 954399-90-7P 954399-93-0P
954399-96-3P 954399-99-6P 954400-02-3P
954400-05-6P 954400-08-9P 954400-11-4P
954400-14-7P 954400-17-0P 954400-20-5P
954400-23-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
```

(preparation of imidazolylcarbonyl naphthylpiperazines as cholecystokinin-1

receptor modulators)

RN 954397-95-6 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]- (CA INDEX NAME)

RN 954397-96-7 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954397-95-6 CMF C34 H32 N4 O4

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN

954398-00-6 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[4-[[1-(3-ethoxyphenyl)-2-(2-fluoro-4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]- (CA INDEX NAME)

RN 954398-01-7 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[4-[[1-(3-ethoxyphenyl)-2-(2-fluoro-4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954398-00-6 CMF C34 H31 F N4 O4

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 954398-05-1 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[4-[[1-(3-ethoxyphenyl)-2-(4-fluorophenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]- (CA INDEX NAME)

RN 954398-06-2 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[4-[[1-(3-ethoxyphenyl)-2-(4-fluorophenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954398-05-1 CMF C33 H29 F N4 O4

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 954398-11-9 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[4-[[2-(2,4-difluorophenyl)-1-(3-ethoxyphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]- (CA INDEX NAME)

RN 954398-12-0 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[4-[[2-(2,4-difluorophenyl)-1-(3-ethoxyphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954398-11-9 CMF C33 H28 F2 N4 O4

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 954398-16-4 CAPLUS

CN 2-Naphthalenecarboxylic acid, 3-[4-[[1-(3-ethoxyphenyl)-2-(4-fluorophenyl)-1+-imidazol-4-yl]carbonyl]-1-piperazinyl]- (CA INDEX NAME)

RN 954398-17-5 CAPLUS

CN 2-Naphthalenecarboxylic acid, 3-[4-[[1-(3-ethoxyphenyl)-2-(4-fluorophenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954398-16-4 CMF C33 H29 F N4 O4

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 954398-22-2 CAPLUS

CN 2-Naphthalenecarboxylic acid, 3-[4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1+-imidazol-4-yl]carbonyl]-1-piperazinyl]- (CA INDEX NAME)

RN 954398-23-3 CAPLUS

CN 2-Naphthalenecarboxylic acid, 3-[4-[[1-(3-ethoxypheny1)-2-(4-methylphenyl)-1+-imidazol-4-yl]carbonyl]-1-piperazinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954398-22-2 CMF C34 H32 N4 O4

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 954398-28-8 CAPLUS

CN β -D-Glucopyranuronic acid, 1-[3-[4-[[1-(3-ethoxypheny1)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-1-naphthalenecarboxylate] (CA INDEX NAME)

Absolute stereochemistry.

RN 954398-34-6 CAPLUS

CN D-Aspartic acid, N-[[3-[4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-1-naphthalenyl]carbonyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954398-33-5 CMF C38 H37 N5 O7

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 954398-48-2 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[1-[[1-(3-ethoxyphenyl)-2-(2-fluoro-4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-piperidinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954398-47-1 CMF C35 H32 F N3 O4

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 954398-68-6 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[1-[[1-(3-ethoxyphenyl)-2-(2-fluoro-4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-hydroxy-4-piperidinyl]- (CA INDEX NAME)

RN 954398-69-7 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[1-[[1-(3-ethoxyphenyl)-2-(2-fluoro-4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-hydroxy-4-piperidinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954398-68-6 CMF C35 H32 F N3 O5

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 954398-77-7 CAPLUS

CN Methanone, [4-(7-methoxy-2-naphthalenyl)-1-piperazinyl][1-(3-methoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]- (CA INDEX NAME)

RN 954398-79-9 CAPLUS

CN 2-Naphthalenecarboxylic acid, 3-[4-[[1-(3-methoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]- (CA INDEX NAME)

RN 954398-81-3 CAPLUS

CN 1-Naphthalenecarboxylic acid, 2-[4-[[1-(3-methoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-, methyl ester (CA INDEX NAME)

RN 954398-83-5 CAPLUS

CN 1-Naphthalenecarboxylic acid, 6-[4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1+imidazol-4-yl]carbonyl]-1-piperazinyl]- (CA INDEX NAME)

RN 954398-85-7 CAPLUS

CN 1-Naphthalenecarboxamide, 3-[4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-N-(1-methylethyl)- (CA INDEX NAME)

RN 954398-87-9 CAPLUS

CN Methanone, [3-[4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-1-naphthalenyl]-1-pyrrolidinyl- (CA INDEX NAME)

RN 954398-89-1 CAPLUS

CN 1-Naphthalenecarboxamide, 3-[4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-N-ethyl- (CA INDEX NAME)

RN 954398-91-5 CAPLUS

CN 1-Naphthalenecarboxamide, 3-[4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-N,N-diethyl- (CA INDEX NAME)

RN 954398-93-7 CAPLUS

CN Methanone, [3-[4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-2-naphthalenyl]-1-pyrrolidinyl- (CA INDEX NAME)

RN 954398-94-8 CAPLUS

CN 2-Naphthalenecarboxamide, 3-[4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-N,N-diethyl- (CA INDEX NAME)

RN 954398-96-0 CAPLUS

CN 2-Naphthalenecarboxamide, 3-[4-[[1-(3-ethoxypheny1)-2-(4-methylpheny1)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-N-ethyl- (CA INDEX NAME)

RN 954398-98-2 CAPLUS

CN 2-Naphthalenecarboxamide, 3-[4-[[1-(3-ethoxypheny1)-2-(4-methylpheny1)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-N-(1-methylethyl)- (CA INDEX NAME)

RN 954399-00-9 CAPLUS

CN 2-Naphthalenecarboxylic acid, 3-[4-[[2-(2,4-difluorophenyl)-1-(3-ethoxyphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]- (CA INDEX NAME)

RN 954399-02-1 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[4-[[1-(3-hydroxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]- (CA INDEX NAME)

RN 954399-04-3 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[4-[[1-(3-ethoxyphenyl)-2-phenyl-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]- (CA INDEX NAME)

RN 954399-06-5 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[4-[[2-(4-chlorophenyl)-1-(3-ethoxyphenyl)-1+imidazol-4-yl]carbonyl]-1-piperazinyl]- (CA INDEX NAME)

RN 954399-08-7 CAPLUS

CN 2-Naphthalenecarboxylic acid, 3-[4-[[1-(3-ethoxyphenyl)-2-(2-fluoro-4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]- (CA INDEX NAME)

RN 954399-22-5 CAPLUS

CN Methanone, [4-(7-methoxy-2-naphthalenyl)-1-piperazinyl][1-(3-methoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954398-77-7 CMF C33 H32 N4 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 954399-24-7 CAPLUS

CN 2-Naphthalenecarboxylic acid, 3-[4-[[1-(3-methoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954398-79-9 CMF C33 H30 N4 O4

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 954399-26-9 CAPLUS

CN 1-Naphthalenecarboxylic acid, 2-[4-[[1-(3-methoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-, methyl ester, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954398-81-3 CMF C34 H32 N4 O4

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 954399-28-1 CAPLUS

CN 1-Naphthalenecarboxylic acid, 6-[4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954398-83-5 CMF C34 H32 N4 O4

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 954399-30-5 CAPLUS

CN 1-Naphthalenecarboxamide, 3-[4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-N-(1-methylethyl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954398-85-7 CMF C37 H39 N5 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 954399-32-7 CAPLUS

CN Methanone, [3-[4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-1-naphthalenyl]-1-pyrrolidinyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954398-87-9 CMF C38 H39 N5 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 954399-34-9 CAPLUS

CN 1-Naphthalenecarboxamide, 3-[4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-N-ethyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954398-89-1 CMF C36 H37 N5 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 954399-36-1 CAPLUS

CN 1-Naphthalenecarboxamide, 3-[4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-N,N-diethyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954398-91-5 CMF C38 H41 N5 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 954399-38-3 CAPLUS

CN 2-Naphthalenecarboxamide, 3-[4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-N,N-diethyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954398-94-8 CMF C38 H41 N5 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 954399-40-7 CAPLUS

CN Methanone, [3-[4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-2-naphthalenyl]-1-pyrrolidinyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954398-93-7 CMF C38 H39 N5 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 954399-42-9 CAPLUS

CN 2-Naphthalenecarboxamide, 3-[4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-N-ethyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954398-96-0 CMF C36 H37 N5 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 954399-44-1 CAPLUS

CN 2-Naphthalenecarboxamide, 3-[4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-N-(1-methylethyl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954398-98-2 CMF C37 H39 N5 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN

954399-46-3 CAPLUS

CN 2-Naphthalenecarboxylic acid, 3-[4-[[2-(2,4-difluorophenyl)-1-(3-ethoxyphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954399-00-9 CMF C33 H28 F2 N4 O4

CRN 76-05-1 CMF C2 H F3 O2

RN 954399-48-5 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[4-[[1-(3-hydroxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954399-02-1 CMF C32 H28 N4 O4

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 954399-51-0 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[4-[[1-(3-methoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954399-50-9 CMF C33 H30 N4 O4

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 954399-53-2 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[4-[[1-(3-ethoxyphenyl)-2-phenyl-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954399-04-3 CMF C33 H30 N4 O4

CRN 76-05-1 CMF C2 H F3 O2

RN 954399-55-4 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[4-[[2-(4-chlorophenyl)-1-(3-ethoxyphenyl)-1+imidazol-4-yl]carbonyl]-1-piperazinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954399-06-5 CMF C33 H29 C1 N4 O4

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 954399-57-6 CAPLUS

CN 2-Naphthalenecarboxylic acid, 3-[4-[[1-(3-ethoxyphenyl)-2-(2-fluoro-4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954399-08-7 CMF C34 H31 F N4 O4

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 954399-60-1 CAPLUS

CN 1-Naphthalenecarbonitrile, 3-[4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954399-59-8 CMF C34 H31 N5 O2

CRN 76-05-1 CMF C2 H F3 O2

RN 954399-63-4 CAPLUS

CN Methanesulfonamide, N-[3-[4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-1-naphthalenyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954399-62-3 CMF C34 H35 N5 O4 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 954399-66-7 CAPLUS

CN 2-Propanesulfonamide, N-[3-[4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-1-naphthalenyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954399-65-6 CMF C36 H39 N5 O4 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 954399-69-0 CAPLUS

CN Methanone, [4-(4-amino-2-naphthalenyl)-1-piperazinyl][1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954399-68-9 CMF C33 H33 N5 O2

CRN 76-05-1 CMF C2 H F3 O2

RN 954399-72-5 CAPLUS

CN Methanone, [1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl][4-[4-(2H-tetrazol-5-yl)-2-naphthalenyl]-1-piperazinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954399-71-4 CMF C34 H32 N8 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 954399-75-8 CAPLUS

CN α -D-Glucopyranuronic acid, 1-[3-[4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-1-naphthalenecarboxylate], 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954399-74-7 CMF C40 H40 N4 O10

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

CN

RN 954399-78-1 CAPLUS

1-Naphthalenecarboxamide, 3-[4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-N- β -D-galactopyranosyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954399-77-0 CMF C40 H43 N5 O8

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 954399-81-6 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[4-[[1-(3-ethoxyphenyl)-2-[4-(hydroxymethyl)phenyl]-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954399-80-5 CMF C34 H32 N4 O5

CRN 76-05-1 CMF C2 H F3 O2

RN 954399-84-9 CAPLUS

CN 2-Propenoic acid, 3-[3-[4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-1-naphthalenyl]-, (2E)-, 2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954399-83-8 CMF C36 H34 N4 O4

Double bond geometry as shown.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 954399-87-2 CAPLUS

CN 1-Naphthalenepropanoic acid, 3-[4-[[1-(3-ethoxypheny1)-2-(4-methylpheny1)-2-(4-methy

1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954399-86-1 CMF C36 H36 N4 O4

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 954399-90-7 CAPLUS

CN 1-Naphthalenecarboxamide, N-(2,3-dihydroxypropyl)-3-[4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954399-89-4 CMF C37 H39 N5 O5

CRN 76-05-1 CMF C2 H F3 O2

RN 954399-93-0 CAPLUS

CN D-Glucitol, 1-deoxy-1-[[[3-[4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-1-naphthalenyl]carbonyl]amino]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954399-92-9 CMF C40 H45 N5 O8

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 954399-96-3 CAPLUS

CN β -D-Glucopyranose, 2-deoxy-2-[[[3-[4-[[1-(3-ethoxyphenyl))-2-(4-

methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-1naphthalenyl]carbonyl]amino]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954399-95-2 CMF C40 H43 N5 O8

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 954399-99-6 CAPLUS

CN 3-Piperidinecarboxylic acid, 1-[[3-[4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-1-naphthalenyl]carbonyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954399-98-5 CMF C40 H41 N5 O5

CRN 76-05-1 CMF C2 H F3 O2

RN 954400-02-3 CAPLUS

CN Propanedioic acid, 2-[[[3-[4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-1-naphthalenyl]carbonyl]amino]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954400-01-2 CMF C37 H35 N5 O7

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 954400-05-6 CAPLUS
CN 1-Naphthalenecarboxamide, N-[(2S)-2,3-dihydroxypropy1]-3-[4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954400-04-5
CMF C37 H39 N5 O5

Absolute stereochemistry.

CM 2

CRN 76-05-1

CMF C2 H F3 O2

CM

1

RN 954400-08-9 CAPLUS

CN 1-Naphthalenecarboxamide, N-[(1R,2S,3R,4R)-2,3-dihydroxy-4(hydroxymethyl)cyclopentyl]-3-[4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-, 2,2,2-trifluoroacetate (1:1)
(CA INDEX NAME)

CRN 954400-07-8 CMF C40 H43 N5 O6

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 954400-11-4 CAPLUS

CN 1-Naphthalenecarboxamide, 3-[4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-N-[2-hydroxy-1-(hydroxymethyl)ethyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954400-10-3 CMF C37 H39 N5 O5

CRN 76-05-1 CMF C2 H F3 O2

RN 954400-14-7 CAPLUS

CN 1-Naphthalenecarboxamide, N-[(1S,2R,3S,4S)-2,3-dihydroxy-4-(hydroxymethyl)cyclopentyl]-3-[4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954400-13-6 CMF C40 H43 N5 O6

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN

CN L-Aspartic acid, N-[[3-[4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-1-naphthalenyl]carbonyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954400-16-9 CMF C38 H37 N5 O7

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 954400-20-5 CAPLUS

CN Propanoic acid, 3-[[[3-[4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-1-naphthalenyl]carbonyl]amino]-2-hydroxy-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954400-19-2 CMF C37 H37 N5 O6

CRN 76-05-1 CMF C2 H F3 O2

RN 954400-23-8 CAPLUS

CN 1-Naphthaleneacetic acid, 3-[4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954400-22-7 CMF C35 H34 N4 O4

CM 2

CRN 76-05-1 CMF C2 H F3 O2

ΙT 954397-98-9P, Methyl 3-[4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-1-naphthoate 954398-03-9P, Methyl 3-[4-[[1-(3-ethoxyphenyl)-2-(2-fluoro-4methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-1-naphthoate 954398-09-5P, Methyl 3-[4-[[1-(3-ethoxyphenyl)-2-(4-fluorophenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-1-naphthoate trifluoroacetate 954398-14-2P, Methyl 3-[4-[[1-(3-ethoxyphenyl)-2-(2,4difluorophenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-1-naphthoate 954398-20-0P, Methyl 3-[4-[[1-(3-ethoxyphenyl)-2-(4-fluorophenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-2-naphthoate trifluoroacetate 954398-26-6P, Methyl 3-[4-[[1-(3-Ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-2-naphthoate trifluoroacetate 954398-31-3P 954398-65-3P, Methyl 3-[1-[[1-(3ethoxyphenyl)-2-(2-fluoro-4-methylphenyl)-1H-imidazol-4yl]carbonyl]piperidin-4-yl]-1-naphthoate trifluoroacetate RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of imidazolylcarbonyl naphthylpiperazines as cholecystokinin-1 receptor modulators)

RN 954397-98-9 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-, methyl ester (CA INDEX NAME)

RN 954398-03-9 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[4-[[1-(3-ethoxyphenyl)-2-(2-fluoro-4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-, methyl ester (CA INDEX NAME)

RN 954398-09-5 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[4-[[1-(3-ethoxyphenyl)-2-(4-fluorophenyl)-1+imidazol-4-yl]carbonyl]-1-piperazinyl]-, methyl ester, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954398-08-4 CMF C34 H31 F N4 O4

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 954398-14-2 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[4-[[2-(2,4-difluorophenyl)-1-(3-ethoxyphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-, methyl ester (CA INDEX NAME)

RN 954398-20-0 CAPLUS

CN 2-Naphthalenecarboxylic acid, 3-[4-[[1-(3-ethoxyphenyl)-2-(4-fluorophenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-, methyl ester, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954398-19-7 CMF C34 H31 F N4 O4

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 954398-26-6 CAPLUS

CN 2-Naphthalenecarboxylic acid, 3-[4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-, methyl ester, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954398-25-5 CMF C35 H34 N4 O4

CRN 76-05-1 CMF C2 H F3 O2

RN 954398-31-3 CAPLUS

CN D-Aspartic acid, N-[[3-[4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-1-naphthalenyl]carbonyl]-, 1,4-bis(phenylmethyl) ester (CA INDEX NAME)

Absolute stereochemistry.

RN 954398-65-3 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[1-[[1-(3-ethoxyphenyl)-2-(2-fluoro-4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-piperidinyl]-, methyl ester, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954398-64-2 CMF C36 H34 F N3 O4

CRN 76-05-1 CMF C2 H F3 O2

L3 ANSWER 4 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2007:1207584 CAPLUS Full-text

DOCUMENT NUMBER: 147:486461

TITLE: Preparation of piperazinylcarbonyl and

piperidinylcarbonyl imidazoles as cholecystokinin-1

receptor modulators

INVENTOR(S): Berger, Richard; Edmondson, Scott; Hansen, Alexa; Zhu,

Cheng

PATENT ASSIGNEE(S): Merck & Co., Inc., USA SOURCE: PCT Int. Appl., 125pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 2007120688 WO 2007120688	A2 20071 A3 20080		20070410
CH, CN, CO, GD, GE, GH, KN, KP, KR, MN, MW, MX, RS, RU, SC, TZ, UA, UG,	CR, CU, CZ, GM, GT, HN, KZ, LA, LC, MY, MZ, NA, SD, SE, SG, US, UZ, VC,	AZ, BA, BB, BG, BH, BR, DE, DK, DM, DZ, EC, EE, HR, HU, ID, IL, IN, IS, LK, LR, LS, LT, LU, LY, NG, NI, NO, NZ, OM, PG, SK, SL, SM, SV, SY, TJ, VN, ZA, ZM, ZW	EG, ES, FI, GB, JP, KE, KG, KM, MA, MD, MG, MK, PH, PL, PT, RO, TM, TN, TR, TT,
IS, IT, LT, BJ, CF, CG,	LU, LV, MC, I CI, CM, GA,	DE, DK, EE, ES, FI, FR, MT, NL, PL, PT, RO, SE, GN, GQ, GW, ML, MR, NE, NA, SD, SL, SZ, TZ, UG,	SI, SK, TR, BF, SN, TD, TG, BW,

BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

US 2006-791962P P 20060414

OTHER SOURCE(S): MARPAT 147:486461

PRIORITY APPLN. INFO.:

GΙ

AB Title compds. represented by the formula I [wherein X = N or CR16; R1-R4 = independently H, halo, alkyl, etc.; R5-R7 = independently H, halo, OH, alkyl(oxy); R8 = H, halo, alkoxy or (cyclo)alkyl; R9, R16 = independently H, alkyl, Ph, etc.; R10 = independently (hetero)aryl; and pharmaceutically acceptable salts thereof] were prepared as cholecystokinin-1 receptor (CCK-1R) modulators. For example, II was provided in a multi-step synthesis starting from the reaction of 3-ethoxyaniline with p-tolunitrile. I were tested and found to bind to the CCK-1R with IC50 values less than or equal to 500 nM; and have EC50 values less than or equal to 500 nM in the functional assay. Thus, I and their pharmaceutical compns. are useful for the treatment, control, or prevention of diseases and disorders responsive to the modulation of CCK-1R, such as obesity, and diabetes.

ΙI

IT 954409-74-6P, (2S)-1-[[1-(3-Ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-(quinolin-3-yl)-2-piperazinecarboxylic acid trifluoroacetate 954409-88-2P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of piperazinylcarbonyl and piperidinylcarbonyl imidazoles as $cholecystokinin-1\ receptor\ modulators)$

RN 954409-74-6 CAPLUS

CN 2-Piperazinecarboxylic acid, 1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-(3-quinolinyl)-, (2S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954409-73-5 CMF C33 H31 N5 O4

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 954409-88-2 CAPLUS

CN Methanone, [(2S)-2-[(acetyloxy)methyl]-4-(3-quinolinyl)-1-piperazinyl][1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]- (CA INDEX NAME)

Absolute stereochemistry.

IT 954409-73-5P 954409-80-4P, N-Ethyl-(2S)-1-[[1-(3-Ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-(quinolin-3-yl)piperazine-2-carboxamide trifluoroacetate 954409-82-6P 954409-83-7P 954409-85-9P 954409-86-0P 954409-93-9P 954409-94-0P 954409-98-4P 954409-99-5P 954410-05-0P 954410-15-2P 954410-16-3P 954410-17-4P 954410-18-5P 954410-33-4P, 4-(4-Carboxy-2-naphthyl)-1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-piperidinecarboxylic acid trifluoroacetate 954410-55-0P 954410-57-2P 954410-59-4P 954410-61-8P 954410-64-1P

```
954410-66-3P 954410-68-5P 954410-70-9P
954410-72-1P 954410-74-3P 954410-76-5P
954410-78-7P 954410-80-1P 954410-82-3P
954410-84-5P 954410-85-6P 954410-86-7P
954410-87-8P 954410-88-9P 954410-90-3P
954410-91-4P 954410-93-6P 954410-95-8P
954410-97-0P 954410-99-2P 954411-00-8P
954411-03-1P 954411-04-2P 954411-06-4P
954411-08-6P 954411-11-1P 954411-13-3P
954411-15-5P 954411-17-7P 954411-20-2P
954411-22-4P 954411-25-7P 954411-28-0P
954411-30-4P 954411-33-7P 954411-36-0P
954411-38-2P 954411-41-7P 954411-44-0P
954411-46-2P 954411-48-4P 954411-49-5P
954411-51-9P 954411-53-1P 954411-55-3P
954411-58-6P 954411-60-0P 954411-63-3P
954411-66-6P 954411-69-9P 954411-71-3P
954411-73-5P 954411-74-6P 954411-75-7P
954411-83-7P 954411-84-8P 954411-85-9P
954411-86-0P 954411-87-1P 954411-88-2P
954411-89-3P 954411-90-6P 954411-91-7P
954411-92-8P 954411-93-9P 954411-94-0P
954411-95-1P 954411-96-2P 954411-97-3P
954411-99-5P 954412-00-1P 954412-01-2P
954412-03-4P 954412-04-5P 954412-05-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
   (preparation of piperazinylcarbonyl and piperidinylcarbonyl imidazoles as
   cholecystokinin-1 receptor modulators)
954409-73-5 CAPLUS
2-Piperazinecarboxylic acid, 1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-
imidazol-4-yl]carbonyl]-4-(3-quinolinyl)-, (2S)- (CA INDEX NAME)
```

Absolute stereochemistry.

RN CN

```
RN 954409-80-4 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-N-ethyl-4-(3-quinolinyl)-, (2S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954409-79-1

CMF C35 H36 N6 O3
```

CRN 76-05-1 CMF C2 H F3 O2

RN 954409-82-6 CAPLUS

CN Glycine, N-[[(2S)-1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-(3-quinolinyl)-2-piperazinyl]carbonyl]- (CA INDEX NAME)

RN 954409-83-7 CAPLUS
CN Glycine, N-[[(2S)-1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-(3-quinolinyl)-2-piperazinyl]carbonyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954409-82-6
CMF C35 H34 N6 O5

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 954409-85-9 CAPLUS
CN Acetic acid, 2-[[(2S)-1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-(3-quinolinyl)-2-piperazinyl]methoxy]- (CA INDEX NAME)

RN 954409-86-0 CAPLUS CN Acetic acid, 2-[[(2

CN Acetic acid, 2-[[(2S)-1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-(3-quinolinyl)-2-piperazinyl]methoxy]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954409-85-9 CMF C35 H35 N5 O5

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 954409-93-9 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[(3S)-4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-3-[[(1-methylethyl)amino]carbonyl]-1-piperazinyl]- (CA INDEX NAME)

LogH

```
RN 954409-94-0 CAPLUS
CN 1-Naphthalenecarboxylic acid, 3-[(3S)-4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-3-[[(1-methylethyl)amino]carbonyl]-1-piperazinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954409-93-9
CMF C38 H39 N5 O5
```

 $\underline{l}_{\text{CO2H}}$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 954409-98-4 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[(3R)-4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-3-[[2-(methylamino)-2-oxoethoxy]methyl]-1-piperazinyl]- (CA INDEX NAME)

 L_{O2H}

RN 954409-99-5 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[(3R)-4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-3-[[2-(methylamino)-2-oxoethoxy]methyl]-1-piperazinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954409-98-4 CMF C38 H39 N5 O6

LozH

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 954410-05-0 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[(3R)-3-[(carboxymethoxy)methyl]-4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954410-04-9 CMF C37 H36 N4 O7

CRN 76-05-1 CMF C2 H F3 O2

RN 954410-15-2 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[(3R)-3-[(acetylamino)methyl]-4-[[1-(3-ethoxyphenyl)-2-(2-fluoro-4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 954410-16-3 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[(3R)-3-[(acetylamino)methyl]-4-[[1-(3-ethoxyphenyl)-2-(2-fluoro-4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954410-15-2 CMF C37 H36 F N5 O5 Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 954410-17-4 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[(3S)-4-[[1-(3-ethoxyphenyl)-2-(2-fluoro-4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-3-[[(1-methylethyl)amino]carbonyl]-1-piperazinyl]- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 2-A

 L_{O2H}

RN 954410-18-5 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[(3S)-4-[[1-(3-ethoxyphenyl)-2-(2-fluoro-4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-3-[[(1-methylethyl)amino]carbonyl]-1-piperazinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954410-17-4 CMF C38 H38 F N5 O5

Absolute stereochemistry.

PAGE 1-A

PAGE 2-A

 $\underline{l}_{\text{CO2H}}$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 954410-33-4 CAPLUS

CN 4-Piperidinecarboxylic acid, 4-(4-carboxy-2-naphthalenyl)-1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954410-32-3 CMF C36 H33 N3 O6

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 954410-55-0 CAPLUS

CN 2-Piperazinecarboxylic acid, 1-[[1-(3-methoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-(2-naphthalenyl)-, (2R)- (CA INDEX NAME)

RN 954410-57-2 CAPLUS

CN 2-Piperazinecarboxylic acid, 1-[[1-(3-methoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-(2-naphthalenyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 954410-59-4 CAPLUS

CN 2-Piperazinecarboxylic acid, 1-[[1-(3-methoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-(3-quinolinyl)-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 954410-61-8 CAPLUS

CN 2-Piperazinecarboxylic acid, 1-[[1-(3-methoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-(3-quinolinyl)-, (2S)- (CA INDEX NAME)

RN 954410-64-1 CAPLUS

CN 2-Piperazinecarboxylic acid, 1-[[1-(3-ethoxyphenyl)-2-(4-fluorophenyl)-1H-imidazol-4-yl]carbonyl]-4-(3-quinolinyl)-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 954410-66-3 CAPLUS

CN 2-Piperazinecarboxylic acid, 1-[[1-(3-ethoxyphenyl)-2-(4-fluorophenyl)-1H-imidazol-4-yl]carbonyl]-4-(3-quinolinyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 954410-68-5 CAPLUS

CN 2-Piperazinecarboxamide, 1-[[1-(3-ethoxypheny1)-2-(4-methylpheny1)-1H-imidazol-4-yl]carbonyl]-N-methyl-4-(3-quinoliny1)-, (2R)- (CA INDEX NAME)

RN 954410-70-9 CAPLUS

CN 2-Piperazinecarboxamide, 1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-N-methyl-4-(3-quinolinyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 954410-72-1 CAPLUS

CN 2-Piperazinecarboxamide, 1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-N-ethyl-4-(3-quinolinyl)-, (2R)- (CA INDEX NAME)

RN 954410-74-3 CAPLUS

CN 2-Piperazinecarboxamide, 1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-N,N-diethyl-4-(3-quinolinyl)-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 954410-76-5 CAPLUS

CN 2-Piperazinecarboxamide, 1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-N,N-diethyl-4-(3-quinolinyl)-, (2S)- (CA INDEX NAME)

RN 954410-78-7 CAPLUS

CN 2-Piperazinecarboxamide, 1-[[1-(3-ethoxypheny1)-2-(4-methylpheny1)-1H-imidazol-4-y1]carbonyl]-N-(1-methylethyl)-4-(3-quinolinyl)-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 954410-80-1 CAPLUS

CN 2-Piperazinecarboxamide, 1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-N-(1-methylethyl)-4-(3-quinolinyl)-, (2S)- (CA INDEX NAME)

RN 954410-82-3 CAPLUS

CN 2-Piperazinecarboxamide, N-(1,1-dimethylethyl)-1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-(3-quinolinyl)-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 954410-84-5 CAPLUS

CN 2-Piperazinecarboxamide, N-(1,1-dimethylethyl)-1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-(3-quinolinyl)-, (2S)- (CA INDEX NAME)

RN 954410-85-6 CAPLUS

CN 2-Piperazinecarboxamide, 1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-N-propyl-4-(3-quinolinyl)-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 954410-86-7 CAPLUS

CN 2-Piperazinecarboxamide, 1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-N-propyl-4-(3-quinolinyl)-, (2S)- (CA INDEX NAME)

RN 954410-87-8 CAPLUS

CN 2-Piperazinecarboxamide, N-butyl-1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-(3-quinolinyl)-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 954410-88-9 CAPLUS

CN 2-Piperazinecarboxamide, N-butyl-1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-(3-quinolinyl)-, (2S)- (CA INDEX NAME)

RN 954410-90-3 CAPLUS

CN Methanone, [(2S)-1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-(3-quinolinyl)-2-piperazinyl]-1-piperidinyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 954410-91-4 CAPLUS

CN Methanone, [1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-(3-quinolinyl)-2-piperazinyl]-1-pyrrolidinyl-, (2S)- (CA INDEX NAME)

RN 954410-93-6 CAPLUS

CN Methanone, [(2S)-1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-(3-quinolinyl)-2-piperazinyl]-4-morpholinyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 954410-95-8 CAPLUS

CN 2-Piperazinecarboxamide, 1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-N,N-dimethyl-4-(3-quinolinyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 954410-97-0 CAPLUS

CN 2-Piperazinecarboxamide, 1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-N-pentyl-4-(3-quinolinyl)-, (2S)- (CA INDEX NAME)

RN 954410-99-2 CAPLUS

CN 2-Piperazinecarboxamide, 1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-N-hexyl-4-(3-quinolinyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 954411-00-8 CAPLUS

CN 2-Piperazinecarboxamide, 1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-(3-quinolinyl)-N-2H-tetrazol-5-yl-, (2S)- (CA INDEX NAME)

RN 954411-03-1 CAPLUS

CN 2-Piperazinecarboxamide, N-cyclopropyl-1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-(3-quinolinyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 954411-04-2 CAPLUS

CN 2-Piperazineacetic acid, 1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-(3-quinolinyl)-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 954411-06-4 CAPLUS

CN 2-Piperazineacetic acid, 1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-(3-quinolinyl)-, (2S)- (CA INDEX NAME)

RN 954411-08-6 CAPLUS

CN Methanone, [(2R)-2-[(acetyloxy)methyl]-4-(3-quinolinyl)-1-piperazinyl][1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 954411-11-1 CAPLUS

CN Acetic acid, 2-[[(2R)-1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-(3-quinolinyl)-2-piperazinyl]methoxy]- (CA INDEX NAME)

Absolute stereochemistry.

RN 954411-13-3 CAPLUS

CN Acetamide, N-[[(2S)-1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-(3-quinolinyl)-2-piperazinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 954411-15-5 CAPLUS

CN Acetamide, N-[[(2R)-1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-(3-quinolinyl)-2-piperazinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 954411-17-7 CAPLUS

CN Propanamide, N-[[(2S)-1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-(3-quinolinyl)-2-piperazinyl]methyl]-2-methyl-(CA INDEX NAME)

Absolute stereochemistry.

RN 954411-20-2 CAPLUS

CN Cyclopropanecarboxamide, N-[[(2S)-1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-(3-quinolinyl)-2-piperazinyl]methyl]- (CA INDEX NAME)

CN Cyclopentanecarboxamide, N-[[(2S)-1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-(3-quinolinyl)-2-piperazinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 954411-25-7 CAPLUS

CN Benzamide, N-[[(2S)-1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-(3-quinolinyl)-2-piperazinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 954411-28-0 CAPLUS

CN Urea, N-[[(2R)-1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-(3-quinolinyl)-2-piperazinyl]methyl]-N'-(1-methylethyl)-(CA INDEX NAME)

RN 954411-30-4 CAPLUS

CN Urea, N-[[(2S)-1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-(3-quinolinyl)-2-piperazinyl]methyl]-N'-(1-methylethyl)-(CA INDEX NAME)

Absolute stereochemistry.

RN 954411-33-7 CAPLUS

CN Urea, N-[[(2S)-1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-(3-quinolinyl)-2-piperazinyl]methyl]-N'-methyl- (CA INDEX NAME)

RN 954411-36-0 CAPLUS

CN Methanesulfonamide, N-[[(2R)-1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-(3-quinolinyl)-2-piperazinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 954411-38-2 CAPLUS

CN Methanesulfonamide, N-[[(2S)-1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-(3-quinolinyl)-2-piperazinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 954411-41-7 CAPLUS

CN Cyclopropanesulfonamide, N-[[(2R)-1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-(3-quinolinyl)-2-piperazinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 954411-44-0 CAPLUS

CN Glycine, N-[[(2S)-1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-(3-quinolinyl)-2-piperazinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 954411-46-2 CAPLUS

CN Glycine, N-[[(2R)-1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-(3-quinolinyl)-2-piperazinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 954411-48-4 CAPLUS

CN 2-Piperazinecarboxylic acid, 1-[[2-(2,4-difluorophenyl)-1-(3-ethoxyphenyl)-1+

RN 954411-49-5 CAPLUS

CN 2-Piperazinecarboxylic acid, 4-(3-carboxy-2-naphthalenyl)-1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]- (CA INDEX NAME)

RN 954411-51-9 CAPLUS

CN 2-Piperazinecarboxylic acid, 4-(4-carboxy-2-naphthalenyl)-1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 954411-53-1 CAPLUS

CN 2-Piperazinecarboxylic acid, 4-(4-carboxy-2-naphthalenyl)-1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-, (2S)- (CA INDEX NAME)

RN 954411-55-3 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[(3R)-4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-3-[[(1-methylethyl)amino]carbonyl]-1-piperazinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 954411-58-6 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[(3R)-4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-3-[2-[(1-methylethyl)amino]-2-oxoethyl]-1-piperazinyl]- (CA INDEX NAME)

L_{CO2H}

RN 954411-60-0 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[(3S)-4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-3-[2-[(1-methylethyl)amino]-2-oxoethyl]-1-piperazinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 954411-63-3 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[(3S)-4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-3-[[2-[(1-methylethyl)amino]-2-oxoethoxy]methyl]-1-piperazinyl]- (CA INDEX NAME)

PAGE 2-A

 ξ_{O2H}

RN 954411-66-6 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[(3R)-4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-3-[[(methylsulfonyl)amino]methyl]-1-piperazinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 954411-69-9 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[(3S)-4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-3-[[[(methylamino)carbonyl]amino]methyl]-1-piperazinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 954411-71-3 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[(3S)-3-[(acetylamino)methyl]-4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]- (CA INDEX NAME)

RN 954411-73-5 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[(3S)-3-[(carboxymethoxy)methyl]-4-[[1-(3-ethoxyphenyl)-2-(2-fluoro-4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 954411-74-6 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[(3S)-4-[[1-(3-ethoxyphenyl)-2-(2-fluoro-4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-3-[[(methylsulfonyl)amino]methyl]-1-piperazinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 954411-75-7 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[(3S)-4-[[1-(3-ethoxyphenyl)-2-(2-fluoro-4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-3-[[[(methylamino)carbonyl]amino]methyl]-1-piperazinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 954411-83-7 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-(2-naphthalenyl)- (CA INDEX NAME)

RN 954411-84-8 CAPLUS

CN Acetic acid, 2-[[1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-(3-quinolinyl)-4-piperidinyl]oxy]- (CA INDEX NAME)

RN 954411-85-9 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-(3-quinolinyl)- (CA INDEX NAME)

RN 954411-86-0 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[[1-(3-ethoxypheny1)-2-(4-methylpheny1)-1H-imidazol-4-y1]carbony1]-4-[4-[(methylamino)carbony1]-2-naphthaleny1]- (CA INDEX NAME)

RN 954411-87-1 CAPLUS

CN 4-Piperidinecarboxylic acid, 4-[4-[(dimethylamino)carbonyl]-2-naphthalenyl]-1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]- (CA INDEX NAME)

RN 954411-88-2 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-[4-[[(1-methylethyl)amino]carbonyl]-2-naphthalenyl]- (CA INDEX NAME)

RN 954411-89-3 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-[(methylamino)carbonyl]-4-piperidinyl]- (CA INDEX NAME)

RN 954411-90-6 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[4-[(dimethylamino)carbonyl]-1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-piperidinyl]- (CA INDEX NAME)

RN 954411-91-7 CAPLUS

CN 4-Piperidinecarboxylic acid, 4-[4-[(cyclopropylamino)carbonyl]-2-naphthalenyl]-1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]- (CA INDEX NAME)

RN 954411-92-8 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-[4-[(ethylamino)carbonyl]-2-naphthalenyl]- (CA INDEX NAME)

RN 954411-93-9 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[[1-(3-ethoxypheny1)-2-(4-methylpheny1)-1H-imidazol-4-y1]carbony1]-4-[4-[(phenylamino) carbony1]-2-naphthaleny1]- (CA INDEX NAME)

RN 954411-94-0 CAPLUS

CN 4-Piperidinecarboxylic acid, 4-[4-[(diethylamino)carbonyl]-2-naphthalenyl]-1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]- (CA INDEX NAME)

RN 954411-95-1 CAPLUS

CN 4-Piperidinecarboxylic acid, 4-[4-[[(carboxymethyl)amino]carbonyl]-2-naphthalenyl]-1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]- (CA INDEX NAME)

RN 954411-96-2 CAPLUS

CN 4-Piperidinecarboxylic acid, 4-[4-[[(carboxymethyl)methylamino]carbonyl]-2-naphthalenyl]-1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 954411-97-3 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-[4-(1-pyrrolidinylcarbonyl)-2-naphthalenyl]- (CA INDEX NAME)

RN 954411-99-5 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-[4-(4-morpholinylcarbonyl)-2-naphthalenyl]- (CA INDEX NAME)

RN 954412-00-1 CAPLUS

CN 4-Piperidinecarboxylic acid, 4-(4-carboxy-2-naphthalenyl)-1-[[1-(3-ethoxyphenyl)-2-(2-fluoro-4-methylphenyl)-1H-imidazol-4-yl]carbonyl]- (CA INDEX NAME)

RN 954412-01-2 CAPLUS

CN 4-Piperidinecarboxylic acid, 4-[4-[[(carboxymethyl)amino]carbonyl]-2-naphthalenyl]-1-[[1-(3-ethoxyphenyl)-2-(2-fluoro-4-methylphenyl)-1H-imidazol-4-yl]carbonyl]- (CA INDEX NAME)

RN 954412-03-4 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[4-[[(carboxymethyl)amino]carbonyl]-1-[[1-(3-ethoxyphenyl)-2-(2-fluoro-4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-piperidinyl]- (CA INDEX NAME)

RN 954412-04-5 CAPLUS

CN 4-Piperidinecarboxylic acid, 4-[4-(aminocarbonyl)-2-naphthalenyl]-1-[[1-(3-ethoxyphenyl)-2-(2-fluoro-4-methylphenyl)-1H-imidazol-4-yl]carbonyl]- (CA INDEX NAME)

RN 954412-05-6 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[4-(aminocarbonyl)-1-[[1-(3-ethoxyphenyl)-2-(2-fluoro-4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-piperidinyl]- (CA INDEX NAME)

IT 954409-89-3 954410-07-2

RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of piperazinylcarbonyl and piperidinylcarbonyl imidazoles as cholecystokinin-1 receptor modulators)

RN 954409-89-3 CAPLUS

CN Methanone, [(2S)-2-[(acetyloxy)methyl]-1-piperazinyl][1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 954410-07-2 CAPLUS

CN Methanone, [(2S)-2-[(acetyloxy)methyl]-1-piperazinyl][1-(3-ethoxyphenyl)-2-(2-fluoro-4-methylphenyl)-1H-imidazol-4-yl]- (CA INDEX NAME)

Absolute stereochemistry.

IT 954409-59-7P 954409-60-0P 954409-61-1P, Benzyl
 (3R)-4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl] 3-(hydroxymethyl)piperazine-1-carboxylate 954409-62-2P, Benzyl
 (3R)-3-[(acetyloxy)methyl]-4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]piperazine-1-carboxylate 954409-77-9P,
 Methyl (2S)-1-[[1-(3-Ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-(quinolin-3-yl)piperazine-2-carboxylate trifluoroacetate 954409-91-7P 954409-92-8P 954409-97-3P
 954410-00-5P 954410-01-6P 954410-02-7P

Absolute stereochemistry.

RN 954409-60-0 CAPLUS

CN 2-Piperazinecarboxylic acid, 1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-[(phenylmethoxy)carbonyl]-, methyl ester, (2R)-(CA INDEX NAME)

Absolute stereochemistry.

RN 954409-61-1 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[[1-(3-ethoxypheny1)-2-(4-methylpheny1)-1H-imidazol-4-yl]carbonyl]-3-(hydroxymethyl)-, phenylmethyl ester, (3R)- (CA INDEX NAME)

RN 954409-62-2 CAPLUS

CN 1-Piperazinecarboxylic acid, 3-[(acetyloxy)methyl]-4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-, phenylmethyl ester, (3R)-(CA INDEX NAME)

Absolute stereochemistry.

RN 954409-77-9 CAPLUS

CN 2-Piperazinecarboxylic acid, 1-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-(3-quinolinyl)-, (2S)-, methyl ester, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954409-76-8 CMF C34 H33 N5 O4

CRN 76-05-1 CMF C2 H F3 O2

RN 954409-91-7 CAPLUS

CN Methanone, [1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl][(2S)-2-(hydroxymethyl)-4-(3-quinolinyl)-1-piperazinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 954409-92-8 CAPLUS

CN Acetic acid, 2-[[(2S)-1-[[1-(3-ethoxypheny1)-2-(4-methylpheny1)-1H-imidazol-4-y1]carbony1]-4-(3-quinoliny1)-2-piperaziny1]methoxy]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 954409-97-3 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[(3S)-4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-3-[[(1-methylethyl)amino]carbonyl]-1-piperazinyl]-, methyl ester, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954409-96-2 CMF C39 H41 N5 O5

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 954410-00-5 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[(3R)-4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-3-(hydroxymethyl)-1-piperazinyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 954410-01-6 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[(3R)-3-[[2-(1,1-dimethylethoxy)-2-oxoethoxy]methyl]-4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-, methyl ester (CA INDEX NAME)

RN 954410-02-7 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[(3R)-3-[(carboxymethoxy)methyl]-4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-, 1-methyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 954410-03-8 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[(3R)-4-[[1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-3-[[2-(methylamino)-2-oxoethoxy]methyl]-1-piperazinyl]-, methyl ester (CA INDEX NAME)

RN 954410-06-1 CAPLUS

CN Methanone, [(2S)-2-[(acetyloxy)methyl]-4-[4-[[[tris(1-methylethyl)silyl]oxy]methyl]-2-naphthalenyl]-1-piperazinyl][1-(3-ethoxyphenyl)-2-(2-fluoro-4-methylphenyl)-1H-imidazol-4-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 954410-08-3 CAPLUS

CN Methanone, [(2S)-2-[(acetyloxy)methyl]-4-[4-(hydroxymethyl)-2-naphthalenyl]-1-piperazinyl][1-(3-ethoxyphenyl)-2-(2-fluoro-4-methylphenyl)-1H-imidazol-4-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 954410-09-4 CAPLUS

CN 1-Naphthalenecarboxaldehyde, 3-[(3S)-3-[(acetyloxy)methyl]-4-[[1-(3-ethoxyphenyl)-2-(2-fluoro-4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]- (CA INDEX NAME)

RN 954410-10-7 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[(3S)-3-[(acetyloxy)methyl]-4-[[1-(3-ethoxyphenyl)-2-(2-fluoro-4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 954410-11-8 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[(3S)-4-[[1-(3-ethoxyphenyl)-2-(2-fluoro-4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-3-(hydroxymethyl)-1-piperazinyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 954410-12-9 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[(3S)-3-(azidomethyl)-4-[[1-(3-ethoxyphenyl)-2-(2-fluoro-4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-

piperazinyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 954410-13-0 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[(3R)-3-(aminomethyl)-4-[[1-(3-ethoxyphenyl)-2-(2-fluoro-4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 954410-14-1 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[(3R)-3-[(acetylamino)methyl]-4-[[1-(3-ethoxyphenyl)-2-(2-fluoro-4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-, methyl ester (CA INDEX NAME)

RN 954410-20-9 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[(3S)-4-[[1-(3-ethoxyphenyl)-2-(2-fluoro-4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-3-[[(1-methylethyl)amino]carbonyl]-1-piperazinyl]-, methyl ester, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954410-19-6 CMF C39 H40 F N5 O5

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

954410-45-8 CAPLUS RN

CN 4-Piperidinecarboxylic acid, 1-[[1-(3-ethoxypheny1)-2-(4-methylpheny1)-1Himidazol-4-yl]carbonyl]-4-[4-[(phenylmethoxy)carbonyl]-2-naphthalenyl]-, methyl ester (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

ANSWER 5 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2007:1207445 CAPLUS Full-text

DOCUMENT NUMBER: 147:486460

TITLE: Preparation of imidazolylcarbonyl naphthylpiperazine

derivatives as cholecystokinin-1 receptor modulators

INVENTOR(S): Duffy, Joseph L.; Edmondson, Scott; Hansen, Alexa;

Zhu, Cheng

PATENT ASSIGNEE(S): Merck & Co., Inc., USA PCT Int. Appl., 78pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

GΙ

PA'	PATENT NO.						DATE		APPLICATION NO.						DATE			
					A2 20071025			WO 2007-US8956						20070410				
WO	2007120718			A3 20080724			0724											
	W:	ΑE,	AG,	AL,	ΑM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,	CA,	
		CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	
		GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KM,	
		KN,	KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	MG,	MK,	
		MN,	MW,	MX,	MY,	ΜZ,	NA,	NG,	ΝI,	NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	
		RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ТJ,	TM,	TN,	TR,	TT,	
		TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW							
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,	
		IS,	ΙT,	LT,	LU,	LV,	MC,	MT,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	
		ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	ΤG,	BW,	
		GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	
		BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM,	AP,	EA,	EP,	OA						
PRIORIT	PRIORITY APPLN. INFO.:						US 2006-792134P P 2006041								414			
OTHER S	OTHER SOURCE(S):						T 14	7:48	6460	; MA	RPAT	147	:486	460				
GT																		

AΒ

were prepared as cholecystokinin-1 receptor (CCK-1R) modulators. For example, II was provided in a multi-step synthesis starting from the reaction of 3ethoxyaniline with p-tolunitrile. I were tested and found to bind to the CCK-1R with IC50 values less than or equal to 500 nM; and have EC50 values less than or equal to 500 nM in the functional assay. Thus, I and their pharmaceutical compns. are useful for the treatment, control, or prevention of diseases and disorders responsive to the modulation of CCK-1R, such as obesity, and diabetes. ΙT 954382-77-5P, 1-[[2-(2,4-Difluorophenyl)-1-(3-ethoxyphenyl)-1Himidazol-4-yl]carbonyl]-4-(2-naphthyl)piperazine 954382-79-79, 1-[[1-(3-Methoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-(2-methylphenyl)naphthyl)piperazine 954382-80-0P, 1-[[1-(3-Ethoxyphenyl)-2-(4methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-(2-naphthyl)piperazine 954382-81-1P, 1-[[1-(3-Hydroxyphenyl)-2-(4-methylphenyl)-1Himidazol-4-yl]carbonyl]-4-(2-naphthyl)piperazine 954382-82-2P, 1-[[1-(2,3-Dimethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-(2-naphthyl) piperazine 954382-83-3P, 1-[[1-(3-1)]Isopropoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-(2naphthyl) piperazine 954382-84-4P, 1-[[1-(3-Ethylphenyl)-2-(4-4)]methylphenyl)-1H-imidazol-4-yl]carbonyl]-4-(2-naphthyl)piperazine 954382-85-5P, 1-[[1-(4-Methoxyphenyl)-2-(4-methylphenyl)-1Himidazol-4-y1]carbony1]-4-(2-naphthy1)piperazine 954382-86-6P, 1-[[1-(3-Ethoxyphenyl)-2-(4-fluorophenyl)-1H-imidazol-4-yl]carbonyl]-4-(2-fluorophenyl)naphthyl)piperazine 954382-87-7P, 1-[[1-(3-Ethoxyphenyl)-2phenyl-1H-imidazol-4-yl]carbonyl]-4-(2-naphthyl)piperazine 954382-88-8P, 1-[[1-(3-Ethoxyphenyl)-2-(4-chlorophenyl)-1Himidazol-4-yl]carbonyl]-4-(2-naphthyl)piperazine 954382-89-9P, 1-[[1-(3-Ethoxyphenyl)-2-(2-fluorophenyl)-1H-imidazol-4-yl]carbonyl]-4-(2-fluorophenyl)naphthyl) piperazine 954382-90-2P, 1-[[1-(3-Ethoxyphenyl)-2-(4ethylphenyl)-1H-imidazol-4-yl]carbonyl]-4-(2-naphthyl)piperazine 954382-91-3P, 1-[[1-(3-Ethoxyphenyl)-2-(4-methoxyphenyl)-1Himidazol-4-yl]carbonyl]-4-(2-naphthyl)piperazine 954382-92-4P, 1-[[1-(3-Ethoxyphenyl)-2-(4-trifluoromethylphenyl)-1H-imidazol-4-

Title compds. represented by the formula I [wherein X = N or CR16; R1-R7 = independently H, halo, OH, alkyl(oxy); R8 = H, halo, alkoxy or (cyclo)alkyl; R9, R16 = independently H, alkyl, Ph, etc.; R10 = independently halo, CN, alkyl, etc.; m = 0-4; n = 0-4; and pharmaceutically acceptable salts thereof]

yl]carbonyl]-4-(2-naphthyl)piperazine 954382-93-5P,
1-[[1-(3-Ethoxyphenyl)-2-(2-fluoro-4-methylphenyl)-1H-imidazol-4yl]carbonyl]-4-(2-naphthyl)piperazine 954382-98-0P
954383-00-7P 954383-01-8P 954383-02-9P
954383-03-0P 954383-04-1P 954383-05-2P
954383-06-3P 954383-07-4P 954383-08-5P
954383-09-6P 954383-10-9P 954383-11-0P
954383-12-1P 954383-13-2P 954383-14-3P
954383-15-4P 954383-16-5P 954383-21-2P
954383-22-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of imidazolylcarbonyl naphthylpiperazines as cholecystokinin-1 receptor modulators)

RN 954382-77-5 CAPLUS

CN Methanone, [2-(2,4-difluorophenyl)-1-(3-ethoxyphenyl)-1H-imidazol-4-yl][4-(2-naphthalenyl)-1-piperazinyl]- (CA INDEX NAME)

RN 954382-79-7 CAPLUS

CN Methanone, [1-(3-methoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl][4-(2-naphthalenyl)-1-piperazinyl]- (CA INDEX NAME)

954382-80-0 CAPLUS

RN

CN Methanone, [1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl][4-(2-naphthalenyl)-1-piperazinyl]- (CA INDEX NAME)

RN 954382-81-1 CAPLUS

CN Methanone, [1-(3-hydroxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl][4-(2-naphthalenyl)-1-piperazinyl]- (CA INDEX NAME)

RN 954382-82-2 CAPLUS

CN Methanone, [1-(2,3-dimethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl][4-(2-naphthalenyl)-1-piperazinyl]- (CA INDEX NAME)

RN 954382-83-3 CAPLUS

CN Methanone, [1-[3-(1-methylethoxy)phenyl]-2-(4-methylphenyl)-1H-imidazol-4-yl][4-(2-naphthalenyl)-1-piperazinyl]- (CA INDEX NAME)

RN 954382-84-4 CAPLUS

CN Methanone, [1-(3-ethylphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl][4-(2-naphthalenyl)-1-piperazinyl]- (CA INDEX NAME)

RN 954382-85-5 CAPLUS

CN Methanone, [1-(4-methoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl][4-(2-naphthalenyl)-1-piperazinyl]- (CA INDEX NAME)

RN 954382-86-6 CAPLUS

CN Methanone, [1-(3-ethoxyphenyl)-2-(4-fluorophenyl)-1H-imidazol-4-yl][4-(2-naphthalenyl)-1-piperazinyl]- (CA INDEX NAME)

RN 954382-87-7 CAPLUS

CN Methanone, [1-(3-ethoxyphenyl)-2-phenyl-1H-imidazol-4-yl][4-(2-naphthalenyl)-1-piperazinyl]- (CA INDEX NAME)

RN 954382-88-8 CAPLUS

CN Methanone, [2-(4-chlorophenyl)-1-(3-ethoxyphenyl)-1H-imidazol-4-yl][4-(2-naphthalenyl)-1-piperazinyl]- (CA INDEX NAME)

RN 954382-89-9 CAPLUS

CN Methanone, [1-(3-ethoxyphenyl)-2-(2-fluorophenyl)-1H-imidazol-4-yl][4-(2-naphthalenyl)-1-piperazinyl]- (CA INDEX NAME)

RN 954382-90-2 CAPLUS

CN Methanone, [1-(3-ethoxyphenyl)-2-(4-ethylphenyl)-1H-imidazol-4-yl][4-(2-naphthalenyl)-1-piperazinyl]- (CA INDEX NAME)

RN 954382-91-3 CAPLUS

CN Methanone, [1-(3-ethoxyphenyl)-2-(4-methoxyphenyl)-1H-imidazol-4-yl][4-(2-naphthalenyl)-1-piperazinyl]- (CA INDEX NAME)

RN 954382-92-4 CAPLUS

CN Methanone, [1-(3-ethoxyphenyl)-2-[4-(trifluoromethyl)phenyl]-1H-imidazol-4-yl][4-(2-naphthalenyl)-1-piperazinyl]- (CA INDEX NAME)

RN 954382-93-5 CAPLUS

CN Methanone, [1-(3-ethoxyphenyl)-2-(2-fluoro-4-methylphenyl)-1H-imidazol-4-yl][4-(2-naphthalenyl)-1-piperazinyl]- (CA INDEX NAME)

RN 954382-98-0 CAPLUS

CN Methanone, [2-(2,4-difluorophenyl)-1-(3-ethoxyphenyl)-1H-imidazol-4-yl][4-(2-naphthalenyl)-1-piperazinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954382-77-5 CMF C32 H28 F2 N4 O2

CRN 76-05-1 CMF C2 H F3 O2

RN 954383-00-7 CAPLUS

CN Methanone, [1-(3-methoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl][4-(2-naphthalenyl)-1-piperazinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954382-79-7 CMF C32 H30 N4 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 954383-01-8 CAPLUS

CN Methanone, [1-(3-ethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl][4-(2-naphthalenyl)-1-piperazinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954382-80-0 CMF C33 H32 N4 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 954383-02-9 CAPLUS

CN Methanone, [1-(3-hydroxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl][4-(2-naphthalenyl)-1-piperazinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954382-81-1 CMF C31 H28 N4 O2

CRN 76-05-1 CMF C2 H F3 O2

RN 954383-03-0 CAPLUS

CN Methanone, [1-(2,3-dimethoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl][4-(2-naphthalenyl)-1-piperazinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954382-82-2 CMF C33 H32 N4 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 954383-04-1 CAPLUS

CN Methanone, [1-[3-(1-methylethoxy)phenyl]-2-(4-methylphenyl)-1H-imidazol-4-yl][4-(2-naphthalenyl)-1-piperazinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954382-83-3 CMF C34 H34 N4 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 954383-05-2 CAPLUS

CN Methanone, [1-(3-ethylphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl][4-(2-naphthalenyl)-1-piperazinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954382-84-4 CMF C33 H32 N4 O

CRN 76-05-1 CMF C2 H F3 O2

RN 954383-06-3 CAPLUS

CN Methanone, [1-(4-methoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl][4-(2-naphthalenyl)-1-piperazinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954382-85-5 CMF C32 H30 N4 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 954383-07-4 CAPLUS

CN Methanone, [1-(3-ethoxyphenyl)-2-(4-fluorophenyl)-1H-imidazol-4-yl][4-(2-naphthalenyl)-1-piperazinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954382-86-6 CMF C32 H29 F N4 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN

954383-08-5 CAPLUS

CN Methanone, [1-(3-ethoxyphenyl)-2-phenyl-1H-imidazol-4-yl][4-(2-naphthalenyl)-1-piperazinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954382-87-7 CMF C32 H30 N4 O2

CRN 76-05-1 CMF C2 H F3 O2

RN 954383-09-6 CAPLUS

CN Methanone, [2-(4-chlorophenyl)-1-(3-ethoxyphenyl)-1H-imidazol-4-yl][4-(2-naphthalenyl)-1-piperazinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954382-88-8 CMF C32 H29 C1 N4 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 954383-10-9 CAPLUS

CN Methanone, [1-(3-ethoxyphenyl)-2-(2-fluorophenyl)-1H-imidazol-4-yl][4-(2-naphthalenyl)-1-piperazinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954382-89-9 CMF C32 H29 F N4 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN

954383-11-0 CAPLUS

CN Methanone, [1-(3-ethoxyphenyl)-2-(4-ethylphenyl)-1H-imidazol-4-yl][4-(2-naphthalenyl)-1-piperazinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954382-90-2 CMF C34 H34 N4 O2

CRN 76-05-1 CMF C2 H F3 O2

RN 954383-12-1 CAPLUS

CN Methanone, [1-(3-ethoxyphenyl)-2-(4-methoxyphenyl)-1H-imidazol-4-yl][4-(2-naphthalenyl)-1-piperazinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954382-91-3 CMF C33 H32 N4 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 954383-13-2 CAPLUS

CN Methanone, [1-(3-ethoxyphenyl)-2-[4-(trifluoromethyl)phenyl]-1H-imidazol-4-yl][4-(2-naphthalenyl)-1-piperazinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954382-92-4 CMF C33 H29 F3 N4 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 954383-14-3 CAPLUS

CN Methanone, [1-(3-ethoxyphenyl)-2-(2-fluoro-4-methylphenyl)-1H-imidazol-4-yl][4-(2-naphthalenyl)-1-piperazinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954382-93-5 CMF C33 H31 F N4 O2

CRN 76-05-1 CMF C2 H F3 O2

RN 954383-15-4 CAPLUS

CN Methanone, [1-(3-ethoxy-5-fluorophenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl][4-(2-naphthalenyl)-1-piperazinyl]- (CA INDEX NAME)

RN 954383-16-5 CAPLUS

CN Methanone, [1-(3-ethoxy-5-fluorophenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl][4-(2-naphthalenyl)-1-piperazinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954383-15-4 CMF C33 H31 F N4 O2

CRN 76-05-1 CMF C2 H F3 O2

RN 954383-21-2 CAPLUS

CN Methanone, [1-(3-fluoro-5-methoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl][4-(2-naphthalenyl)-1-piperazinyl]- (CA INDEX NAME)

RN 954383-22-3 CAPLUS

CN Methanone, [1-(3-fluoro-5-methoxyphenyl)-2-(4-methylphenyl)-1H-imidazol-4-yl][4-(2-naphthalenyl)-1-piperazinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 954383-21-2 CMF C32 H29 F N4 O2

CRN 76-05-1 CMF C2 H F3 O2

L3 ANSWER 6 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:11808 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 146:121964

TITLE: Imidazole based LXR modulators and their preparation,

pharmaceutical compositions and use in the treatment

of diseases

INVENTOR(S): Busch, Breet B.; Flatt, Brenton T.; Gu, Xiao Hui; Lu,

Shao Po; Martin, Richard; Mohan, Raju; Nyman, Michael Charles; Schweiger, Edwin; Stevens, William C., Jr.;

Wang, Tie Lin; Xie, Yinong

PATENT ASSIGNEE(S): Exelixis, Inc., USA

SOURCE: PCT Int. Appl., 268 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

LANGUAGE: Engl FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.				KIND		DATE			APPLICATION NO.						DATE			
WO 2007002563			A1 20070104				WO 2	006-	20060626									
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GE,	GH,	GM,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,	KP,	
		KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	
		MW,	MX,	MZ,	NA,	NG,	NI,	NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RS,	RU,	
		SC,	SD,	SE,	SG,	SK,	SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	
		US,	UZ,	VC,	VN,	ZA,	ZM,	ZW										
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	
		IS,	ΙT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	
		CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,	
		GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,	

KG, KZ, MD, RU, TJ, TM AU 2006261845 20070104 AU 2006-261845 20060626 Α1 CA 2613522 Α1 20070104 CA 2006-2613522 20060626 EP 1910308 20080416 EP 2006-785562 20060626 Α1 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS 20080407 MX 2008-141 MX 200800141 Α 20071219 IN 2007DN10015 Α 20080620 IN 2007-DN10015 20071224 KR 2008039381 Α 20080507 KR 2008-701879 20080124 CN 101248049 20080820 CN 2006-80030791 20080222 Α PRIORITY APPLN. INFO.: US 2005-694372P Ρ 20050627 US 2005-736120P Ρ 20051110 WO 2006-US24757 W 20060626

OTHER SOURCE(S): MARPAT 146:121964

$$R^{21}$$
 R^{21}
 R

Compds. of the invention, such as compds. of formulas I, II, III and IV and AΒ pharmaceutically acceptable salts, isomers, and prodrugs thereof, are useful as modulators of the activity of liver X receptors. Pharmaceutical compns. containing the compds. and methods of using the compds. are also disclosed. Compds. of formulas I - IV wherein R1 is (un)substituted (hetero)aryl, (un) substituted C3-8 cycloalkyl, (un) substituted alkyl, (un) substituted acyl, (un) substituted thioacyl, sulfonyl, ether, etc.; R2 and R21 are independently (un) substituted alkyl, (un) substituted alkyldiyl, H, halo, NO2, (hetero) aryl, etc.; R3 is (un)substituted alkyl, (un)substituted alkyldiyl, (un)substituted (hetero)aryl, CN, etc.; G is (un)substituted (hetero)aryl, (un)substituted (hetero)biaryl, (un)substituted alkylaryl, etc.; and their pharmaceutically acceptable salts, isomers, and prodrugs thereof are claimed. Example compound V was prepared by addition of 2,5-dichloroaniline to 5-bromothiophene-2carbonitrile; the resulting 5-bromo-N-(2,5-dichlorophenyl)thiophene-2carboxamide underwent cyclization with 1-bromo-3,3,3-trifluoroacetone to give 2-(5-bromothien-2-y1)-1-(2,5-dichloropheny1)-4-trifluoromethyl-4,5-dihydro-1H-imidazol-4-ol, which underwent dehydration to give 2-(5-bromothien-2-y1)-1-(2,5-dichlorophenyl)-4-trifluoromethyl-1H-imidazole,, which underwent Suzuki

cross-coupling with 3-methylsulfonylphenylboronic acid to give compound V. All the invention compds. were evaluated for their LXR modulatory activity. From the assay, it was determined that several of the tested compound exhibited IC50 values of < 1 μM . Compds. of the invention, such as compds. of Formulas Ia, Ib, Ic, or Id and pharmaceutically acceptable salts, isomers, and prodrugs thereof, which are useful as modulators of the activity of liver X receptors, where R1, R2, R21, R3, and G are defined herein. Pharmaceutical compns. containing the compds. and methods of using the compds. are also disclosed.

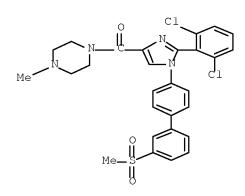
IT 918348-97-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of imidazole based LXR modulators and their use in the treatment of diseases)

RN 918348-97-7 CAPLUS

CN Methanone, [2-(2,6-dichlorophenyl)-1-[3'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-1H-imidazol-4-yl](4-methyl-1-piperazinyl)- (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 7 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2006:677655 CAPLUS Full-text

DOCUMENT NUMBER: 145:124571

TITLE: preparation of imidazoles and pyrazoles as CB1 and/or

CB2 cannabinoid receptor ligands.

INVENTOR(S): Makriyannis, Alexandros; Thotapally, Rajesh; Vemuri,

Venkata Kiran Rao; Olszewska, Teresa

PATENT ASSIGNEE(S): Vemuri, Venkata, Kiran, Rao, USA

SOURCE: PCT Int. Appl., 92 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE			
WO 2006074445	A2	20060713	WO 2006-US720	20060110			
WO 2006074445	A3	20060928					
T.T 7 T 7 T 7 T	211 20	711 70 07	DD DO DD DE DY	DE CA CII			

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,

```
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR,
             KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX,
             MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,
             SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,
             VN, YU, ZA, ZM, ZW
         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
             CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
             GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM
                                20060713
                                             AU 2006-203845
     AU 2006203845
                                                                    20060110
                          Α1
                                            CA 2006-2594488
     CA 2594488
                          Α1
                                20060713
                                                                    20060110
     EP 1845972
                                20071024
                                            EP 2006-733658
                          Α2
                                                                    20060110
         R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR
     JP 2008526887
                          Τ
                                20080724
                                            JP 2007-550544
                                                                    20060110
     IN 2007CN03498
                          Α
                                20071116
                                             IN 2007-CN3498
                                                                    20070810
PRIORITY APPLN. INFO.:
                                             US 2005-642544P
                                                                    20050110
                                                                 Ρ
                                             WO 2006-US720
                                                                    20060110
OTHER SOURCE(S):
                         MARPAT 145:124571
GΙ
```

Title compds. e.g. [I; A, B = bond, O, (CH2)1R5; B = bond, O, NR5; R5 = H, (substituted) alkyl; l = 0, 1; R1, R2 = (CH2)nZ; n = 0-7; Z = H, halo, N3, NCS, cyano, NO2, OAc, acyloxy, aroyloxy, acylamino, alkoxy, substituted carbocyclyl, heterocyclyl, etc.; R3 = specified 5-6 membered ring, bicycloheptyl, adamantyl, fused ring system, etc.; R4 = H, halo, N3, NCS, Ph, cyano, NO2, carbocyclyl, heterocyclyl, aryl, heteroaryl, azabicycloheptyl, etc.], were claimed. Thus, title compound (II) showed CB1 receptor binding with Ki = 1.2 nM.

IT 897924-76-4

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

RN 897924-76-4 CAPLUS

CN Methanone, [1-(4-bromophenyl)-2-(2,4-dichlorophenyl)-5-methyl-1H-imidazol-4-yl]-1-piperidinyl- (CA INDEX NAME)

L3 ANSWER 8 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2006:543547 CAPLUS Full-text

DOCUMENT NUMBER: 145:1063

TITLE: Imidazole derivatives for the treatment of dementia

and related disorders

INVENTOR(S): Fathi, Zahra

PATENT ASSIGNEE(S): Bayer Pharmaceuticals Corp., USA

SOURCE: PCT Int. Appl., 24 pp.

(Biological study); USES (Uses)

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.								DATE					DATE					
	WO 2006060203					A2 20060608			WO 2005-US42008						20051118			
	WO 2006060203						2006											
	V	₹ .	ΑE,	AG,	AL,	ΑM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	KM,	KN,	KΡ,	KR,
			KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,
			MZ,	NA,	NG,	NΙ,	NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,
			SG,	SK,	SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,
			VN,	YU,	ZA,	ZM,	ZW											
	F	₹W:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	IE,
			IS,	ΙΤ,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ΒJ,
			CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG,	BW,	GH,
			GM,	ΚE,	LS,	MW,	MΖ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,
			KG,	KΖ,	MD,	RU,	ТJ,	$_{ m TM}$										
PRIC	RITY F	APPL	N. :	INFO	.:			US 2004-632025P P 20041130								130		
OTHE	R SOUF	RCE (S):			MAR	PAT	145:	1063									
AB	The	inve	enti	on d	discl	oses	s im	idazo	ole d	leriv	7S. V	hich	are	use	eful	in t	reat	ing
	deme	ntia	a an	nd re	elate	ed di	sor	ders.										
ΙT	52731	72-0	6-1	527.	372-	06-1	D, ∈	ester	s and	d sa	lts							
	52731	73-2	6-8	527.	373-	26-8	D, ∈	ester	s and	d sa	lts							
	52737	78-4	0 - 1	527.	378-	40 - 1	D, ∈	ester	s and	d sa	lts							
	52731	785	6-9	527.	378	56-9	D, ∈	ster	s and	d sa	lts							
	52737	78-7	3-0	527.	378	73-0	0, ∈	ster	s and	d sa	lts							
	52731	78-7	8-5	527	378-	78-5	Ο, ε	ster	s and	d sa	lts							
	52737	79-2	2-2	527.	379-	22-2	D, e	ster	s and	d sa	lts							
	52731	79-5	8-4	527.	379-	58-4	0, ∈	ster	s and	d sa	lts							
	52738	30-5	36	527.	380-	536	ο, ε	ster	s and	d sa	lts							
	52738	30-5	8-1	527.	380-	58-1	0, ∈	ster	s and	d sa	lts							
	RL: E											erap	euti	c us	e);	BIOL		
	52738 52738 RL: E	30-5 30-5 PAC	3-6 8-1 (Pha	527. 527. arma	380- 380-	53-6 58-1 gica	0, e 0, e 1 ac	ester ester ctivi	s and	d sa d sa	lts lts	erap	euti	c us	e);	BIOL		

(imidazole derivs. for treatment of dementia and related disorders, and use with other agents)

RN 527372-06-1 CAPLUS

CN Benzonitrile, 4-[4-[[1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-1H-imidazol- 4-yl]carbonyl]-1-piperazinyl]- (CA INDEX NAME)

$$\begin{array}{c} \text{Cl} \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \end{array}$$

RN 527372-06-1 CAPLUS

CN Benzonitrile, 4-[4-[[1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]- (CA INDEX NAME)

$$\begin{array}{c} C1 \\ \\ C1 \\ \\ C1 \\ \end{array}$$

RN 527373-26-8 CAPLUS

CN Benzonitrile, 4-[4-[[2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]- (CA INDEX NAME)

RN 527373-26-8 CAPLUS

CN Benzonitrile, 4-[4-[2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-

yl]carbonyl]-1-piperazinyl]- (CA INDEX NAME)

RN 527378-40-1 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-hydroxy-4-[3-(trifluoromethoxy)phenyl]-1-piperidinyl]- (CA INDEX NAME)

RN 527378-40-1 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-hydroxy-4-[3-(trifluoromethoxy)phenyl]-1-piperidinyl]- (CA INDEX NAME)

RN 527378-56-9 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-ethyl-1H-imidazol-4-yl][4-(3-chlorophenyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527378-56-9 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-ethyl-1H-imidazol-4-yl][4-(3-chlorophenyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527378-73-0 CAPLUS

CN Methanone, [4-(4-chloro-3-fluorophenyl)-4-hydroxy-1-piperidinyl][2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & \\ & N & \\ \hline \end{array}$$

RN 527378-73-0 CAPLUS

CN Methanone, [4-(4-chloro-3-fluorophenyl)-4-hydroxy-1-piperidinyl][2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl]- (CA INDEX NAME)

RN 527378-78-5 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-(3-fluorophenyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & \\ & N & \\ \hline \end{array}$$

RN 527378-78-5 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-(3-fluorophenyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527379-22-2 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-hydroxy-4-[4-(trifluoromethoxy)phenyl]-1-piperidinyl]- (CA INDEX NAME)

RN 527379-22-2 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-hydroxy-4-[4-(trifluoromethoxy)phenyl]-1-piperidinyl]- (CA INDEX NAME)

RN 527379-58-4 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-(4-fluorophenyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & HO \\ \hline \\ N & C \\ \hline \\ C1 \end{array}$$

RN 527379-58-4 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-(4-fluorophenyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527380-53-6 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

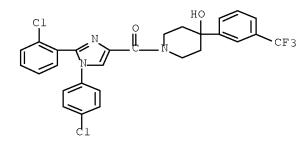
RN 527380-53-6 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

$$\begin{array}{c} C1 \\ N \\ C1 \\ \end{array}$$

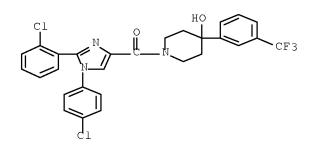
RN 527380-58-1 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-hydroxy-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]- (CA INDEX NAME)



RN 527380-58-1 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-hydroxy-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]- (CA INDEX NAME)



L3 ANSWER 9 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2006:543183 CAPLUS $\underline{\text{Full-text}}$

DOCUMENT NUMBER: 145:1062

TITLE: Imidazole derivatives for treating diseases involving

cannabinoid receptor dysregulation

INVENTOR(S):
Fathi, Zahra

PATENT ASSIGNEE(S): Bayer Pharmaceuticals Corp., USA

SOURCE: PCT Int. Appl., 26 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT	NO.			KIND DATE					APPL	ICAT	ION :	NO.		D.			
WO 2006060190 WO 2006060190				A2 20060608 A3 20070802					WO 2	005-	 US41	 895		20051118			
W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	ВG,	BR,	BW,	BY,	BZ,	CA,	CH,	
	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KM,	KN,	KP,	KR,	
	KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	
	MZ,	NA,	NG,	NΙ,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	
	SG,	SK,	SL,	SM,	SY,	ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	
	VN,	YU,	ZA,	ZM,	ZW												
RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	
	IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	BJ,	

CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,

KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.:

US 2004-632012P P 20041130

OTHER SOURCE(S):

MARPAT 145:1062

AB This invention relates to imidazole derivs. which are useful in treating diseases linked to the modulation of the cannabinoid receptors.

IT 527372-06-1 527373-26-8 527378-40-1

527378-56-9 527378-73-0 527378-78-5

527379-22-2 527379-58-4 527380-53-6

527380-58-1

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

(imidazole derivs. for treating diseases involving cannabinoid receptor dysregulation)

RN 527372-06-1 CAPLUS

CN Benzonitrile, 4-[4-[[1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]- (CA INDEX NAME)

$$\begin{array}{c} \text{C1} \\ \\ \\ \\ \\ \\ \end{array}$$

RN 527373-26-8 CAPLUS

CN Benzonitrile, 4-[4-[[2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]- (CA INDEX NAME)

RN 527378-40-1 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-hydroxy-4-[3-(trifluoromethoxy)phenyl]-1-piperidinyl]- (CA INDEX NAME)

RN 527378-56-9 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-ethyl-1H-imidazol-4-yl][4-(3-chlorophenyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527378-73-0 CAPLUS

CN Methanone, [4-(4-chloro-3-fluorophenyl)-4-hydroxy-1-piperidinyl][2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl]- (CA INDEX NAME)

RN 527378-78-5 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-(3-fluorophenyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527379-22-2 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-hydroxy-4-[4-(trifluoromethoxy)phenyl]-1-piperidinyl]- (CA INDEX NAME)

RN 527379-58-4 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-(4-fluorophenyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

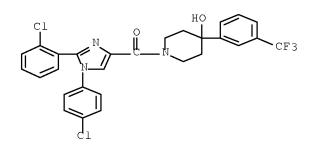
$$\begin{array}{c|c} C1 & O & HO & F \\ \hline & N & O & N \\ \hline & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\$$

RN 527380-53-6 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527380-58-1 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-hydroxy-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]- (CA INDEX NAME)



L3 ANSWER 10 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2006:542774 CAPLUS Full-text

DOCUMENT NUMBER: 145:21208

TITLE: Imidazole derivatives for the treatment of psychiatric

disorders

INVENTOR(S): Ortiz, Astrid

PATENT ASSIGNEE(S): Bayer Pharmaceuticals Corp., USA

SOURCE: PCT Int. Appl., 25 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.					KINI)	DATE			APPL	ICAT	ION 1		DATE				
WO 2006060202 WO 2006060202					A2 A3		2006 2006		,	WO 2	005-	JS42	007		20051118			
	W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KM,	KN,	KP,	KR,	
		KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	
		MZ,	NA,	NG,	NΙ,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	
		SG,	SK,	SL,	SM,	SY,	ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	
		VN,	YU,	ZA,	ZM,	ZW												
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	
		IS.	IT.	LT.	LU.	LV.	MC.	NL.	PL.	PT.	RO,	SE.	SI.	SK.	TR.	BF.	BJ.	

CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.:

US 2004-632028P P 20041130

OTHER SOURCE(S):

MARPAT 145:21208

AB The invention discloses imidazole derivs. which are useful in treating psychiatric disorders.

psychiatric disorders.

IT 527372-06-1 527372-06-1D, esters and salts 527373-26-8 527373-26-8D, esters and salts 527378-40-1 527378-40-1D, esters and salts 527378-56-9 527378-56-9D, esters and salts 527378-73-0 527378-73-0D, esters and salts 527378-78-5 527378-78-5D, esters and salts 527379-22-2 527379-22-2D, esters and salts

527379-58-4 527379-58-4D, esters and salts

527380-53-6 527380-53-6D, esters and salts 527380-58-1 527380-58-1D, esters and salts

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

RN 527372-06-1 CAPLUS

CN Benzonitrile, 4-[4-[[1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]- (CA INDEX NAME)

RN 527372-06-1 CAPLUS

CN Benzonitrile, 4-[4-[[1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]- (CA INDEX NAME)

RN 527373-26-8 CAPLUS

CN Benzonitrile, 4-[4-[[2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-(4-chlorophenyl)]

yl]carbonyl]-1-piperazinyl]- (CA INDEX NAME)

RN 527373-26-8 CAPLUS

CN Benzonitrile, 4-[4-[[2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]- (CA INDEX NAME)

RN 527378-40-1 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-hydroxy-4-[3-(trifluoromethoxy)phenyl]-1-piperidinyl]- (CA INDEX NAME)

RN 527378-40-1 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-hydroxy-4-[3-(trifluoromethoxy)phenyl]-1-piperidinyl]- (CA INDEX NAME)

RN 527378-56-9 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-ethyl-1H-imidazol-4-yl][4-(3-chlorophenyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527378-56-9 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-ethyl-1H-imidazol-4-yl][4-(3-chlorophenyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527378-73-0 CAPLUS

CN Methanone, [4-(4-chloro-3-fluorophenyl)-4-hydroxy-1-piperidinyl][2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl]- (CA INDEX NAME)

RN 527378-73-0 CAPLUS

CN Methanone, [4-(4-chloro-3-fluorophenyl)-4-hydroxy-1-piperidinyl][2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl]- (CA INDEX NAME)

RN 527378-78-5 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-(3-fluorophenyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527378-78-5 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-(3-fluorophenyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527379-22-2 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-hydroxy-4-[4-(trifluoromethoxy)phenyl]-1-piperidinyl]- (CA INDEX NAME)

RN 527379-22-2 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-hydroxy-4-[4-(trifluoromethoxy)phenyl]-1-piperidinyl]- (CA INDEX NAME)

RN 527379-58-4 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-(4-fluorophenyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527379-58-4 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-(4-fluorophenyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & &$$

RN 527380-53-6 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

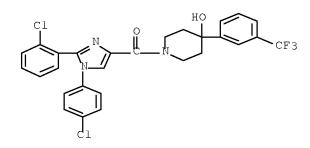
$$\begin{array}{c|c} C1 & O & HO & C1 \\ \hline & N & O & N \\ \hline & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ \end{array}$$

RN 527380-53-6 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

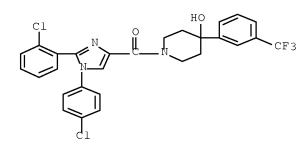
RN 527380-58-1 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-hydroxy-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]- (CA INDEX NAME)



RN 527380-58-1 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-hydroxy-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]- (CA INDEX NAME)



L3 ANSWER 11 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2006:542767 CAPLUS Full-text

DOCUMENT NUMBER: 145:14783

TITLE: Imidazole derivatives for the treatment of sexual

dysfunction

INVENTOR(S):
Glombitza, Bernhard

PATENT ASSIGNEE(S): Bayer Pharmaceuticals Corp., USA

SOURCE: PCT Int. Appl., 21 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT	NO.			KIND DATE				APPLICATION NO.									
					A2 20060608 A3 20070419					WO 2						0051	118	
	W:	ΑE,	AG,	AL,	ΑM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KM,	KN,	KP,	KR,	
		KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	
		MZ,	NA,	NG,	NI,	NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	
		SG,	SK,	SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	
		VN,	YU,	ZA,	ZM,	ZW												
	RW	: AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	IE,	
		IS,	ΙΤ,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	BJ,	
		CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML ,	MR,	ΝE,	SN,	TD,	TG,	BW,	GH,	
		GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,	AΖ,	BY,	
		KG,	KΖ,	MD,	RU,	ТJ,	TM,	AP,	EA,	EP,	OA							
PRIO:	RITY AP	PLN.	INFO	.:						US 2	004-	6320	01P		P 2	0041	130	
OTHE	R SOURC																	
AB	This					to i	imida	azole	e der	ivs.	whi	.ch a	are u	ısefı	ıl ir	ı tre	eating	
	sexua	. dys:	funct	ion.	•													
ΙT	527372	-06-1	527	373-	26-8	527	378-	40 - 1										
	527378	-56-9	527	378-	73-0	527	378-	78-5										
	527379-22-2 527379-58-4 527380-53-6																	
	527380	-58-1																

(imidazole derivs. for the treatment of sexual dysfunction) RN 527372-06-1 CAPLUS

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

Benzonitrile, 4-[4-[[1-(4-chloropheny1)-2-(2,4-dichloropheny1)-1H-imidazol-]CN 4-yl]carbonyl]-1-piperazinyl]- (CA INDEX NAME)

527373-26-8 CAPLUS RN

Benzonitrile, 4-[4-[2-(2-chloropheny1)-1-(4-chloropheny1)-1H-imidazol-4-CN yl]carbonyl]-1-piperazinyl]- (CA INDEX NAME)

RN 527378-40-1 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-hydroxy-4-[3-(trifluoromethoxy)phenyl]-1-piperidinyl]- (CA INDEX NAME)

RN 527378-56-9 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-ethyl-1H-imidazol-4-yl][4-(3-chlorophenyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527378-73-0 CAPLUS

CN Methanone, [4-(4-chloro-3-fluorophenyl)-4-hydroxy-1-piperidinyl][2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl]- (CA INDEX NAME)

RN 527378-78-5 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-(3-fluorophenyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & \\ & N & \\ & & N \\ & & \\ &$$

RN 527379-22-2 CAPLUS

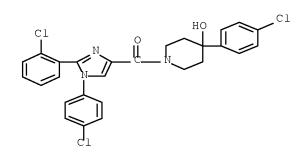
CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-hydroxy-4-[4-(trifluoromethoxy)phenyl]-1-piperidinyl]- (CA INDEX NAME)

RN 527379-58-4 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-(4-fluorophenyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

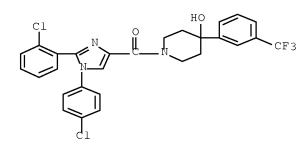
RN 527380-53-6 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)



RN 527380-58-1 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-hydroxy-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]- (CA INDEX NAME)



L3 ANSWER 12 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:380879 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 144:432814

TITLE: Preparation of 1,5-diheterocyclyl-1H-triazole

derivatives as platelet aggregation inhibitors

INVENTOR(S): Kanaya, Naoaki; Fujii, Kunihiko

PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 123 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA'	TENT 1	NO.			KIND DATE				APPLICATION NO.						DATE						
WO	2006	0435	 94							 WO 2	005-	 JP19:	 207		2	0051	019				
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,				
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,				
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KM,	KP,	KR,	KΖ,				
		LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,				
		NA,	NG,	NΙ,	NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,				
		SK,	SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,				
		YU,	ZA,	ZM,	ZW																
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,				
		IS,	ΙΤ,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,				
		CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	ΤG,	BW,	GH,				
		GM,	KΕ,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,	AΖ,	BY,				
		KG,	KΖ,	MD,	RU,	ТJ,	$_{ m MT}$														
AU	2005	2965	82		A1		2006	0427		AU 2	005-	2965	82		2	0051	019				
CA	2583	153			A1		2006	0427		CA 2	005-	2583	153		2	20051019					
EP									EP 2005-795875												
	R:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	IE,				
		•	•		•		LV,		•	•	•			•							
										CN 2005-80035228 200510											
	2007																				
	2007															0070					
	MX 200704643										007-					0070					
	US 20080125409						2008	0529							20070418						
IORIT	ORITY APPLN. INFO.:										004-										
										WO 2	005-	JP19:	207	,	W 2	0051	019				
HER S	ER SOURCE(S):					PAT	144:	4328	14												

OTHER SOURCE(S): MARPAT 144:432814

GΙ

The title compds. represented by the general formula (I) [wherein Ar1, Ar2 = (un)substituted 5- or 6-membered aromatic heterocyclyl; R1, R2 = H, each (un)substituted lower alkyl, alicyclic heterocyclyl, carbamoyl, or NH2 HO; or NR1R2 together represents an (un)substituted 4- to 7-membered alicyclic heterocyclyl optionally containing one N or O atom other than the ring N atom], salts thereof, or solvates of either are prepared These compds. are potent platelet aggregation inhibitors which inhibit neither COX-1 nor COX-2, and are useful for the prevention and treatment of ischemic diseases. Thus, 1-(6-methoxy-3-pyridyl)-5-(5-methyl-2-pyridyl)-1H-1,2,4- triazole-3-carboxylic acid was condensed with neopentylamine using 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride, Et3N, and 1-hydroxybenzotriazole in DMF at room temperature for 48 h to give 1-(6-methoxy-3-pyridyl)-5-(5-methyl-2-pyridyl)-1H-1,2,4-triazole-3- carboxylic acid N-

neopentylamide (II). II showed IC50 of 0.013 μM for inhibiting the collageninduced aggregation of human blood platelet.

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of 1,5-diheterocyclyl-1H-triazole derivs. as platelet aggregation inhibitors for prevention or treatment of ischemic diseases)

RN 787563-08-0 CAPLUS

CN 3-Pyridinecarbonitrile, 6-[3-[(4,4-difluoro-1-piperidinyl)carbonyl]-1-(6-methoxy-3-pyridinyl)-1H-1,2,4-triazol-5-yl]- (CA INDEX NAME)

$$\underset{N}{\text{MeO}} \stackrel{N}{\longrightarrow} \underset{N}{\text{N}} \stackrel{O}{\longrightarrow} \underset{N}{\text{N}} \stackrel{F}{\longrightarrow} \underset{N}{\text{N}}$$

RN 884596-95-6 CAPLUS

CN Methanone, [5-(5-fluoro-2-pyridinyl)-1-(6-methoxy-3-pyridinyl)-1H-1,2,4-triazol-3-yl](4-methoxy-1-piperidinyl)- (CA INDEX NAME)

IT 884597-10-8P, 1-[[5-(5-Aminomethyl-2-pyridyl)-1-(6-methoxy-3-pyridyl)-1H-1,2,4-triazol-3-yl]carbonyl]-4,4-difluoropiperidine RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of 1,5-diheterocyclyl-1H-triazole derivs. as platelet aggregation inhibitors for prevention or treatment of ischemic diseases)

RN 884597-10-8 CAPLUS

CN Methanone, [5-[5-(aminomethyl)-2-pyridinyl]-1-(6-methoxy-3-pyridinyl)-1H-1,2,4-triazol-3-yl](4,4-difluoro-1-piperidinyl)- (CA INDEX NAME)

884596-93-4P, 1-[[1-(6-Methoxy-3-pyridy1)-5-(5-methy1-2-pyraziny1)-1H-1,2,4-triazol-3-yl]carbonyl]-4,4-difluoropiperidine 884596-94-5P, 1-[[1-(6-Methoxy-3-pyridyl)-5-(5-methyl-2-pyrazinyl)-1H-1,2,4-triazol-3-yl]carbonyl]-4-methoxypiperidine 884596-96-7P , 1-[[1-(6-Methoxy-3-pyridyl)-5-(2-pyridyl)-1H-1,2,4-triazol-3yl]carbonyl]-4,4-difluoropiperidine 884596-97-8P, 1-[[1-(6-Methoxy-3-pyridyl)-5-(2-pyridyl)-1H-1,2,4-triazol-3-yl]carbonyl]-4-methoxypiperidine 884596-98-9P, 1-[[1-(6-Methoxy-3-pyridyl)-5-(2-pyridyl)-1H-1,2,4-triazol-3-yl]carbonyl]-3,3-difluoroazetidine 884596-99-0P, 1-[[1-(6-Methoxy-3-pyridyl)-5-(5-methyl-2-pyridyl)-1H-1,2,4-triazol-3-yl]carbonyl]-4-methylpiperazine 884597-06-2P, 1-[[1-(6-Methoxy-3-pyridyl)-5-(5-methyl-2-pyridyl)-1H-1,2,4-triazol-3yl]carbonyl]-4-methyl-3-oxopiperazine 884597-07-3P, (2S)-1-[[1-(6-Methoxy-3-pyridy1)-5-(5-methyl-2-pyridy1)-1H-1,2,4-triazol-3vl]carbonyl]-2-fluoromethylpyrrolidine 884597-08-4P, 4-[[1-(6-Methoxy-3-pyridy1)-5-(5-methyl-2-pyridy1)-1H-1,2,4-triazol-3y1]carbonyl]morpholine 884597-09-5P, 1-[[5-(5-Carbamoyl-2pyridy1)-1-(6-methoxy-3-pyridy1)-1H-1,2,4-triazo1-3-y1]carbony1]-4,4-triazo1-3-y1]carbony1]-4,4-triazo1-3-y1]carbony1]-4,4-triazo1-3-y1]carbony1]-4,4-triazo1-3-y1]carbony1]-4,4-triazo1-3-y1]carbony1]-4,4-triazo1-3-y1]carbony1]-4,4-triazo1-3-y1]carbony1]-4,4-triazo1-3-y1]carbony1]-4,4-triazo1-3-y1]carbony1]-4,4-triazo1-3-y1]carbony1]-4,4-triazo1-3-y1]carbony1]-4,4-triazo1-3-y1]carbony1]-4,4-triazo1-3-y1]difluoropiperidine 884597-11-9P, 1-[[5-(5-Hydroxymethyl-2pyridy1)-1-(6-methoxy-3-pyridy1)-1H-1,2,4-triazo1-3-y1]carbony1]-4,4-triazo1-3-y1]carbony1]-4,4-triazo1-3-y1]carbony1]-4,4-triazo1-3-y1]carbony1]-4,4-triazo1-3-y1]carbony1]-4,4-triazo1-3-y1]carbony1]-4,4-triazo1-3-y1]carbony1]-4,4-triazo1-3-y1]carbony1]-4,4-triazo1-3-y1]carbony1]-4,4-triazo1-3-y1]carbony1]-4,4-triazo1-3-y1]carbony1]-4,4-triazo1-3-y1]carbony1]-4,4-triazo1-3-y1]carbony1]-4,4-triazo1-3-y1]difluoropiperidine RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of 1,5-diheterocyclyl-1H-triazole derivs. as platelet aggregation inhibitors for prevention or treatment of ischemic diseases) 884596-93-4 CAPLUS RN Methanone, (4,4-difluoro-1-piperidinyl)[1-(6-methoxy-3-pyridinyl)-5-(5-CN

methyl-2-pyrazinyl)-1H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

CN Methanone, (4-methoxy-1-piperidinyl)[1-(6-methoxy-3-pyridinyl)-5-(5-methyl-2-pyrazinyl)-1H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

RN 884596-96-7 CAPLUS

CN Methanone, (4,4-difluoro-1-piperidinyl)[1-(6-methoxy-3-pyridinyl)-5-(2-pyridinyl)-1H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 884596-97-8 CAPLUS

CN Methanone, (4-methoxy-1-piperidinyl)[1-(6-methoxy-3-pyridinyl)-5-(2-pyridinyl)-1H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

RN 884596-98-9 CAPLUS

CN Methanone, (3,3-difluoro-1-azetidinyl)[1-(6-methoxy-3-pyridinyl)-5-(2-pyridinyl)-1H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

RN 884596-99-0 CAPLUS

CN Methanone, [1-(6-methoxy-3-pyridinyl)-5-(5-methyl-2-pyridinyl)-1H-1,2,4-triazol-3-yl](4-methyl-1-piperazinyl)- (CA INDEX NAME)

RN 884597-06-2 CAPLUS

CN 2-Piperazinone, 4-[[1-(6-methoxy-3-pyridinyl)-5-(5-methyl-2-pyridinyl)-1H-1,2,4-triazol-3-yl]carbonyl]-1-methyl- (CA INDEX NAME)

RN 884597-07-3 CAPLUS

CN Methanone, [(2S)-2-(fluoromethyl)-1-pyrrolidinyl][1-(6-methoxy-3-pyridinyl)-5-(5-methyl-2-pyridinyl)-1H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 884597-08-4 CAPLUS

CN Methanone, [1-(6-methoxy-3-pyridinyl)-5-(5-methyl-2-pyridinyl)-1H-1,2,4-triazol-3-yl]-4-morpholinyl- (CA INDEX NAME)

RN 884597-09-5 CAPLUS

CN 3-Pyridinecarboxamide, 6-[3-[(4,4-difluoro-1-piperidinyl)carbonyl]-1-(6-methoxy-3-pyridinyl)-1H-1,2,4-triazol-5-yl]- (CA INDEX NAME)

RN 884597-11-9 CAPLUS

CN Methanone, (4,4-difluoro-1-piperidinyl)[5-[5-(hydroxymethyl)-2-pyridinyl]-1-(6-methoxy-3-pyridinyl)-1H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 13 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2006:126304 CAPLUS Full-text

DOCUMENT NUMBER: 144:212649

TITLE: Preparation of 4,5-diphenylpyrrole-2-carboxamide

derivatives as antagonists of CB1 cannabinoid receptors and their therapeutic application

INVENTOR(S): Barth, Francis; Congy, Christian; Hortala, Laurent;

Rinaldi Carmona, Murielle

PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr. SOURCE: Fr. Demande, 26 pp.

CODEN: FRXXBL

DOCUMENT TYPE: Patent LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA:	TENT	NO.				KIND DATE				APPL	ICAT	ION :		DATE				
	2874				A1			0210		 FR 2	004-	 8773			2	0040	809	
FR	2874	012			В1		2008	0822										
ΑU	2005	2790			A1		2006	0309		AU 2	005-	2790	86		20050802			
CA	2576	717			A1		2006	0309		CA 2	005-	2576		20050802				
WO 2006024777					A1		2006	0309		WO 2	005-		20050802					
	W: AE,		AG,	AL,	ΑM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	ΚM,	KP,	KR,	KΖ,	
		LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	
		NG,	ΝI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	
		SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	
		ZA,	ZM,	ZW														
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	
		IS,	ΙT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	
		CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG,	BW,	GH,	
		GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,	
		KG,	KΖ,	MD,	RU,	ΤJ,	TM											
EP	1781	636			A1		2007	0509		EP 2	005-	7960	87		2	0050	802	
	R:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,	
		IS,	IT,	LI,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	AL,	
		BA,	HR,	MK,	YU													
CN	1010	1458	8		Α		2007	0808		CN 2	005-	8003	0251		20050802			
JP 2008509202					Τ		2008	0327		JP 2	007-	5253	20		20050802			
BR 2005014235							2008	0603		BR 2	005-	1423	5		20050802			
					A1		2007	0628		US 2	007-	6256	16		20070122			

US 7381727	В2	20080603				
IN 2007KN00337	A	20070706	IN	2007-KN337		20070131
MX 200701383	А	20070419	MX	2007-1383		20070202
NO 2007001209	A	20070305	ИО	2007-1209		20070305
KR 2007054649	А	20070529	KR	2007-705467		20070308
US 20080194581	A1	20080814	US	2008-102412		20080414
PRIORITY APPLN. INFO.:			FR	2004-8773	A	20040809
			WO	2005-FR2015	W	20050802
			US	2007-625616	A1	20070122

OTHER SOURCE(S): MARPAT 144:212649

GΙ

- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- Title compds. I [R1 = H, alkyl; R2 = alkyl, 1,2,3,4-tetrahydronaphthalen-1-AB yl, 1,2,3,4-tetrahydronaphthalen-2-yl, (un)substituted heterocyclyl, phenylalkylene, etc.; or NR1R2 = (un)substituted piperazin-1-yl, 1,4-diazepan-1-yl, piperidin-1-yl, pyrrolidin-1-yl; R3-R8 = independently H, halo, alkyl, alkoxy, CF3, etc.; R9 = alkyl; and their free bases, and their acid addition salts, hydrates and solvates] were prepared as antagonists of CB1 cannabinoid receptors and for treatment of the diseases it implies. For instance, II (m.p. = 165°) was prepared in 7 steps via cyclization of alkyne III (preparation given) in the presence of I2/K2CO3, Pd-coupling with (2,4dichlorophenyl)boronic acid, Ts-deprotection, alkylation of the pyrrole IV with MeI in the presence of K2CO3/ester hydrolysis (ester not isolated) and amidation of the acid with N-aminopiperidine. I exhibited an excellent affinity in vitro (IC50 \leq 5 \bullet 10-7 M) for the CB1 cannabinoid receptors. Thus, I are useful for treating psychosis, appetite and gastrointestinal disorders, smoking and alc. cessation, etc.
- IT 875667-48-4P

T.3

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of pyrrole carboxamide derivs. as antagonists of CB1 cannabinoid receptors)

- RN 875667-48-4 CAPLUS
- CN Ethanone, 1-[1-[[5-(4-chlorophenyl)-4-(2,4-dichlorophenyl)-1-methyl-1H-pyrrol-2-yl]carbonyl]-4-phenyl-4-piperidinyl]- (CA INDEX NAME)

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 14 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1154377 CAPLUS Full-text

DOCUMENT NUMBER: 143:422349

TITLE: Preparation of imidazole derivatives for promoting

smoking cessation

INVENTOR(S): Gardell, Stephen J.

PATENT ASSIGNEE(S): Bayer Pharmaceuticals Corporation, USA

SOURCE: PCT Int. Appl., 176 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA:	PATENT NO.					KIND DATE				APPLICATION NO.					DATE			
WO					A2 20051027				WO 2	005-	 US89	04		20050318				
WO	WO 2005099705			А3		20060119												
	W:	ΑE,	ΑG,	AL,	ΑM,	ΑT,	ΑU,	ΑZ,	ΒA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MΖ,	NA,	NΙ,	
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	
		SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
	RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	
		ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM,	ΑT,	BE,	ВG,	CH,	CY,	CZ,	DE,	DK,	
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IS,	ΙT,	LT,	LU,	MC,	NL,	PL,	PT,	
		RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	
	MR, NE, SN			SN,	TD,	ΤG												

PRIORITY APPLN. INFO.:

US 2004-555920P P 20040324

OTHER SOURCE(S):

MARPAT 143:422349

GΙ

The title compds. I [R1, R2 = (un)substituted Ph, alkyl, (un)substituted cyclohexyl, etc.; R3 = H, alkyl, CH2Ph, C1, Br; X = CONR4R5 (wherein R4 = H, alkyl; R5 = (un)substituted alkyl, bicycloalkyl, CH2Ph, etc.; or NR4R5 = (un)substituted 5-10 membered (un)saturated heterocyclyl), CONHSO2R10 (R10 = (un)substituted alkyl, Ph, benzocyclohexyl, benzocyclopentyl)] which are useful in promoting smoking cessation and maintaining abstinence, were prepared E.g, a 2-step synthesis of II, starting from 2-chloro-N-(4-chlorophenyl)benzenecarboximidamide and Et 3-bromo-2-oxopentanoate, was given. The pharmaceutical compns. comprising the compound I in combination with one

or more nicotine replacement therapies or one of more nicotinic receptor modulators are disclosed.

IT 527368-74-7P 527368-79-2P 527368-89-4P 527380-29-6P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of imidazole derivs. for promoting smoking cessation) 527368-74-7 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl]-4-thiomorpholinyl- (CA INDEX NAME)

RN

RN 527368-79-2 CAPLUS

CN 4-Piperidinone, 1-[[2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl]carbonyl]- (CA INDEX NAME)

RN 527368-89-4 CAPLUS

CN 4-Piperidinone, 1-[[2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-ethyl-1H-imidazol-4-yl]carbonyl]- (CA INDEX NAME)

RN 527380-29-6 CAPLUS
CN Methanone, [1-(4-chlorophenyl)-2-(2-methylphenyl)-1H-imidazol-4-yl](4-hydroxy-4-phenyl-1-piperidinyl)- (CA INDEX NAME)

527368-13-4P 527368-24-7P 527368-29-2P ΤТ 527368-32-7P 527368-37-2P 527368-42-9P 527368-46-3P 527368-51-0P 527368-61-2P 527368-84-9P 527368-98-5P 527369-08-0P 527369-13-7P 527371-67-1P 527371-72-8P 527371-76-2P 527371-81-9P 527371-87-5P 527371-91-1P 527371-96-6P 527372-01-6P 527372-06-1P 527372-11-8P 527372-16-3P 527372-21-0P 527372-26-5P 527372-32-3P 527372-35-6P 527372-41-4P 527372-46-9P 527372-49-2P 527372-54-9P 527372-59-4P 527372-63-0P 527372-68-5P 527372-73-2P 527372-77-6P 527372-82-3P 527372-87-8P 527372-92-5P 527372-97-0P 527373-02-0P 527373-06-4P 527373-11-1P 527373-16-6P 527373-20-2P 527373-26-8P 527373-32-6P 527373-36-0P 527373-41-7P 527373-47-3P 527373-52-0P 527373-57-5P 527375-32-2P 527375-37-7P 527375-42-4P 527377-14-6P 527377-19-1P 527377-25-9P 527377-30-6P 527377-34-0P 527377-39-5P 527377-44-2P 527377-49-7P 527377-54-4P 527377-59-9P 527377-63-5P 527377-68-0P 527377-73-7P 527377-78-2P 527377-83-9P 527377-87-3P 527377-92-0P 527377-97-5P 527378-02-5P 527378-07-0P 527378-12-7P 527378-18-3P 527378-22-9P 527378-27-4P 527378-32-1P 527378-36-5P 527378-40-1P 527378-44-5P 527378-48-9P 527378-52-5P 527378-56-9P 527378-60-5P 527378-68-3P 527378-73-0P 527378-78-5P 527378-83-2P 527378-88-7P 527378-93-4P 527378-98-9P 527379-04-0P 527379-08-4P 527379-13-1P 527379-18-6P 527379-22-2P 527379-27-7P 527379-32-4P 527379-37-9P 527379-42-6P 527379-48-2P 527379-52-8P 527379-58-4P 527379-63-1P 527379-67-5P 527379-70-0P 527379-75-5P 527379-80-2P 527379-85-7P 527379-90-4P 527380-00-3P 527380-05-8P 527380-09-2P 527380-14-9P 527380-19-4P 527380-24-1P 527380-34-3P 527380-38-7P 527380-43-4P 527380-48-9P 527380-53-6P 527380-58-1P

868406-23-9P 868406-26-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of imidazole derivs. for promoting smoking cessation) 527368-13-4 CAPLUS

CN Methanone, [2-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-1H-imidazol-4-yl]-1-piperidinyl- (CA INDEX NAME)

$$\begin{array}{c} C1 \\ \\ C1 \\ \\ C1 \\ \end{array}$$

RN

RN 527368-24-7 CAPLUS

CN Methanone, [2-(2,4-dichlorophenyl)-1-(4-methylphenyl)-1H-imidazol-4-yl]-1-piperidinyl- (CA INDEX NAME)

RN 527368-29-2 CAPLUS

CN Methanone, [2-(2,4-dichlorophenyl)-1-(4-methoxyphenyl)-1H-imidazol-4-yl](3,6-dihydro-4-phenyl-1(2H)-pyridinyl)- (CA INDEX NAME)

RN 527368-32-7 CAPLUS

CN Methanone, [1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-1H-imidazol-4-yl](3,6-dihydro-4-phenyl-1(2H)-pyridinyl)- (CA INDEX NAME)

RN 527368-37-2 CAPLUS

CN Methanone, [1-(4-chlorophenyl)-2-(2-methylphenyl)-1H-imidazol-4-yl](3,6-dihydro-4-phenyl-1(2H)-pyridinyl)- (CA INDEX NAME)

RN 527368-42-9 CAPLUS

CN Methanone, [2-(2,4-dichlorophenyl)-1-(4-fluorophenyl)-1H-imidazol-4-yl](3,6-dihydro-4-phenyl-1(2H)-pyridinyl)- (CA INDEX NAME)

RN 527368-46-3 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl](3,6-dihydro-4-phenyl-1(2H)-pyridinyl)- (CA INDEX NAME)

RN 527368-51-0 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][3-(hydroxymethyl)-1-piperidinyl]- (CA INDEX NAME)

RN 527368-61-2 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][3-(diethylamino)-1-pyrrolidinyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 527368-84-9 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl](1-oxido-4-thiomorpholinyl)- (CA INDEX NAME)

RN 527368-98-5 CAPLUS

CN 4-Piperidinone, 1-[[2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-propyl-1H-imidazol-4-yl]carbonyl]- (CA INDEX NAME)

RN 527369-08-0 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(2,4-difluorophenyl)-1H-imidazol-4-yl]-1-piperidinyl- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 527369-13-7 CAPLUS

CN Methanone, $[2-(2-\text{chlorophenyl})-5-\text{ethyl}-1-[4-(1-\text{methylethyl})\,\text{phenyl}]-1\text{H-imidazol}-4-yl]-1-piperidinyl- (CA INDEX NAME)$

RN 527371-67-1 CAPLUS

CN Methanone, [1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-1H-imidazol-4-yl][4-(2,3-dimethylphenyl)-1-piperazinyl]- (CA INDEX NAME)

RN 527371-72-8 CAPLUS

CN Methanone, [1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-1H-imidazol-4-yl][4-(2,4-difluorophenyl)-1-piperazinyl]- (CA INDEX NAME)

$$\begin{array}{c} C1 \\ \\ \\ \\ \\ \\ \\ \\ \\ \end{array}$$

RN 527371-76-2 CAPLUS

CN Benzonitrile, 2-[4-[[1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]- (CA INDEX NAME)

RN 527371-81-9 CAPLUS

CN Methanone, [1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-1H-imidazol-4-yl][4-(2-phenylethyl)-1-piperazinyl]- (CA INDEX NAME)

RN 527371-87-5 CAPLUS

CN Methanone, [1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-1H-imidazol-4-yl][4-(2-pyridinyl)-1-piperazinyl]- (CA INDEX NAME)

RN 527371-91-1 CAPLUS

CN Methanone, [1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-1H-imidazol-4-yl][4-[3-(trifluoromethyl)phenyl]-1-piperazinyl]- (CA INDEX NAME)

RN 527371-96-6 CAPLUS

CN Methanone, [1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-1H-imidazol-4-yl][4-(3-methoxyphenyl)-1-piperazinyl]- (CA INDEX NAME)

RN 527372-01-6 CAPLUS

CN Methanone, [1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-1H-imidazol-4-yl][4-(4-chlorophenyl)-1-piperazinyl]- (CA INDEX NAME)

RN 527372-06-1 CAPLUS

CN Benzonitrile, 4-[4-[1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-1H-imidazol- 4-y1]carbonyl]-1-piperazinyl]- (CA INDEX NAME)

RN 527372-11-8 CAPLUS

CN Methanone, [1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-1H-imidazol-4-yl][4-(phenylmethyl)-1-piperazinyl]- (CA INDEX NAME)

RN 527372-16-3 CAPLUS

CN Methanone, [1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-1H-imidazol-4-yl](4-cyclohexyl-1-piperazinyl)-, hydrochloride (1:1) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & \bigcirc & \bigcirc & \bigcirc & \\ \hline & & & \\ \hline \end{array}$$

● HCl

RN 527372-21-0 CAPLUS

CN Methanone, [2-(2,4-dichlorophenyl)-1-(4-fluorophenyl)-1H-imidazol-4-yl][4-[4-(trifluoromethyl)phenyl]-1-piperazinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 527372-20-9 CMF C27 H20 C12 F4 N4 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 527372-26-5 CAPLUS

CN Methanone, [2-(2,4-dichlorophenyl)-1-(4-methoxyphenyl)-1H-imidazol-4-yl][4-(2-hydroxyphenyl)-1-piperazinyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 527372-32-3 CAPLUS

CN Methanone, [2-(2,4-dichlorophenyl)-1-(4-methoxyphenyl)-1H-imidazol-4-yl][4-(2-pyrazinyl)-1-piperazinyl]-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 527372-31-2

CMF C25 H22 C12 N6 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 527372-35-6 CAPLUS

CN Methanone, [2-(2,4-dichlorophenyl)-1-(4-methoxyphenyl)-1H-imidazol-4-yl][4-[3-(trifluoromethyl)phenyl]-1-piperazinyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 527372-41-4 CAPLUS

CN Methanone, [2-(2,4-dichlorophenyl)-1-(4-methoxyphenyl)-1H-imidazol-4-yl][4-(6-methyl-2-pyridinyl)-1-piperazinyl]-, hydrochloride (1:1) (CA INDEX

NAME)

● HCl

RN 527372-46-9 CAPLUS

CN Methanone, [2-(2,4-dichlorophenyl)-1-(4-methoxyphenyl)-1H-imidazol-4-yl][4-(trifluoromethyl)phenyl]-1-piperazinyl]- (CA INDEX NAME)

RN 527372-49-2 CAPLUS

CN Methanone, [2-(2,4-dichlorophenyl)-1-(4-methoxyphenyl)-1H-imidazol-4-yl][4-[4-(trifluoromethyl)phenyl]-1-piperazinyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 527372-54-9 CAPLUS

CN Methanone, [2-(2,4-dichlorophenyl)-1-(4-methoxyphenyl)-1H-imidazol-4-yl][4-(4-hydroxyphenyl)-1-piperazinyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 527372-59-4 CAPLUS

CN Methanone, [2-(2,4-dichlorophenyl)-1-(4-methoxyphenyl)-1H-imidazol-4-yl][4-(4-pyridinyl)-1-piperazinyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 527372-63-0 CAPLUS

CN Methanone, [2-(2,4-dichlorophenyl)-1-(4-methoxyphenyl)-1H-imidazol-4-yl][4-(4-pyridinylmethyl)-1-piperazinyl]-, hydrochloride (1:2) (CA INDEX NAME)

●2 HCl

RN 527372-68-5 CAPLUS

CN Benzonitrile, 4-[4-[1-(4-chloropheny1)-2-(2,5-dichloropheny1)-1H-imidazol- 4-y1]carbony1]-1-piperaziny1]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & N & CN \\ \hline \\ C1 & N & O & N & CN \\ \hline \\ C1 & N & O & N & CN \\ \hline \\ C1 & N & O & N & CN \\ \hline \\ C1 & N & O & N & CN \\ \hline \\ C1 & N & O & N & CN \\ \hline \\ C1 & N & O & N & CN \\ \hline \\ C2 & N & O & N & CN \\ \hline \\ C3 & N & O & N & CN \\ \hline \\ C4 & N & O & N & CN \\ \hline \\ C5 & N & O & N & CN \\ \hline \\ C6 & N & O & N & CN \\ \hline \\ C7 & N & O & N & CN \\ \hline \\ C8 & N & O & N & CN \\ \hline \\ C9 & N & O & N & CN \\ \hline \\ C1 & N & O & N & CN \\ \hline \\ C1 & N & O & N & CN \\ \hline \\ C1 & N & O & N & CN \\ \hline \\ C1 & N & O & N & CN \\ \hline \\ C2 & N & O & N & CN \\ \hline \\ C3 & N & O & N & CN \\ \hline \\ C4 & N & O & N & CN \\ \hline \\ C5 & N & O & N & CN \\ \hline \\ C6 & N & O & N \\ \hline \\ C6 & N & O & N \\ \hline \\ C6 & N & O & N \\ \hline \\ C6 & N & O & N \\ \hline \\ C6 & N & O & N \\ \hline \\ C6 & N & O & N \\ \hline \\ C6 & N & O & N \\ \hline \\ C6 & N & O & N \\ \hline \\ C6 & N & O & N \\ \hline \\ C6 & N & N \\ \hline \\$$

RN 527372-73-2 CAPLUS

CN Methanone, [1-(4-chlorophenyl)-2-[2-(trifluoromethyl)phenyl]-1H-imidazol-4-yl][4-[3-(trifluoromethyl)phenyl]-1-piperazinyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 527372-77-6 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-(2,4-chlorophenyl)]

difluorophenyl)-1-piperazinyl]-, hydrochloride (1:1) (CA INDEX NAME)

$$\begin{array}{c}
C1 \\
N \\
C1
\end{array}$$

● HCl

RN 527372-82-3 CAPLUS

CN Benzonitrile, 2-[4-[[2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 527372-87-8 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-(2-hydroxyphenyl)-1-piperazinyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 527372-92-5 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-(2-hydroxyethyl)-1-piperazinyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 527372-97-0 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-(2-pyridinyl)-1-piperazinyl]-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

RN 527373-02-0 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-[3-(trifluoromethyl)phenyl]-1-piperazinyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 527373-06-4 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-(3-chlorophenyl)-1-piperazinyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 527373-11-1 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-cyclopropyl-1H-imidazol-4-yl][4-[4-(trifluoromethyl)phenyl]-1-piperazinyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 527373-16-6 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-[4-(trifluoromethyl)phenyl]-1-piperazinyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 527373-20-2 CAPLUS

CN Benzonitrile, 4-[4-[[2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-cyclopropyl-1+-imidazol-4-yl]carbonyl]-1-piperazinyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 527373-26-8 CAPLUS

CN Benzonitrile, 4-[4-[[2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]- (CA INDEX NAME)

RN 527373-32-6 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-[(4-fluorophenyl)methyl]hexahydro-1H-1,4-diazepin-1-yl]-, hydrochloride (1:1) (CA INDEX NAME)

$$\begin{array}{c|c} F & & & \\ \hline \\ CH2 & N & \\ \hline \\ C1 & \\ \hline \\ C1 & \\ \end{array}$$

● HCl

RN 527373-36-0 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-[(4-fluorophenyl)methyl]-1-piperazinyl]-, hydrochloride (1:1) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

● HCl

RN 527373-41-7 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-(4-hydroxyphenyl)-1-piperazinyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 527373-47-3 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl](4-cyclohexyl-1-piperazinyl)-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 527373-52-0 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-methylphenyl)-1H-imidazol-4-yl][4-(2-hydroxyethyl)-1-piperazinyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 527373-57-5 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-5-methyl-1-(4-nitrophenyl)-1H-imidazol-4-yl][4-[3-(trifluoromethyl)phenyl]-1-piperazinyl]- (CA INDEX NAME)

RN 527375-32-2 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][(3S)-3,4-dihydro-3-(hydroxymethyl)-2(1H)-isoquinolinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 527375-37-7 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl](3-hydroxy-1-piperidinyl)- (CA INDEX NAME)

RN 527375-42-4 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 527377-14-6 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-(1,1-dioxidobenzo[b]thien-2-yl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527377-19-1 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-hydroxy-4-(2-thiazolyl)-1-piperidinyl]- (CA INDEX NAME)

RN 527377-25-9 CAPLUS

CN Methanone, [4-(2-benzofuranyl)-4-hydroxy-1-piperidinyl][2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl]- (CA INDEX NAME)

RN 527377-30-6 CAPLUS

CN Methanone, [4-(2-benzofuranyl)-4-hydroxy-1-piperidinyl][2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-ethyl-1H-imidazol-4-yl]- (CA INDEX NAME)

RN 527377-34-0 CAPLUS

CN Methanone, [4-(2-benzofurany1)-4-hydroxy-1-piperidiny1][2-(2-chloropheny1)-1-(4-chloropheny1)-5-propy1-1H-imidazol-4-y1]- (CA INDEX NAME)

RN 527377-39-5 CAPLUS

CN Methanone, (4-benzo[b]thien-2-yl-4-hydroxy-1-piperidinyl)[2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl]- (CA INDEX NAME)

RN 527377-44-2 CAPLUS

CN Methanone, (4-benzo[b]thien-2-yl-4-hydroxy-1-piperidinyl)[2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-propyl-1H-imidazol-4-yl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

RN 527377-49-7 CAPLUS

CN Methanone, (4-benzo[b]thien-2-yl-4-hydroxy-1-piperidinyl)[2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-ethyl-1H-imidazol-4-yl]- (CA INDEX NAME)

RN 527377-54-4 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl] [4-(2,3-dihydro-1,4-benzodioxin-6-yl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527377-59-9 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-(2,6-dimethyl-3-pyridinyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527377-63-5 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-(2,4-dimethoxyphenyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527377-68-0 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-(2,5-difluorophenyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & \\ & N & \\ \hline \end{array}$$

RN 527377-73-7 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-(2,5-dimethoxyphenyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527377-78-2 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-hydroxy-4-[2-(trifluoromethoxy)phenyl]-1-piperidinyl]- (CA INDEX NAME)

RN 527377-83-9 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-hydroxy-4-[2-(trifluoromethyl)phenyl]-1-piperidinyl]- (CA INDEX NAME)

RN 527377-87-3 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-(2-chlorophenyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527377-92-0 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-(2-fluorophenyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527377-97-5 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-(2-furanyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527378-02-5 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-ethyl-1H-imidazol-4-yl][4-(2-furanyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527378-07-0 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-hydroxy-4-(2-methoxyphenyl)-1-piperidinyl]- (CA INDEX NAME)

RN 527378-12-7 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-hydroxy-4-(2-pyridinyl)-1-piperidinyl]- (CA INDEX NAME)

RN 527378-18-3 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-hydroxy-4-(2-thienyl)-1-piperidinyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & OH & S\\ \hline & N & C & N \\ \hline & C1 & C1 \\ \hline \end{array}$$

RN 527378-22-9 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-propyl-1H-imidazol-4-yl][4-hydroxy-4-(2-thienyl)-1-piperidinyl]- (CA INDEX NAME)

RN 527378-27-4 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-ethyl-1H-imidazol-4-yl][4-hydroxy-4-(2-thienyl)-1-piperidinyl]- (CA INDEX NAME)

RN 527378-32-1 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-ethyl-1H-imidazol-4-yl][4-[4-chloro-3-(trifluoromethyl)phenyl]-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527378-36-5 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-propyl-1H-imidazol-4-yl][4-[4-chloro-3-(trifluoromethyl)phenyl]-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527378-40-1 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-hydroxy-4-[3-(trifluoromethoxy)phenyl]-1-piperidinyl]- (CA INDEX NAME)

RN 527378-44-5 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-ethyl-1H-imidazol-4-yl][4-hydroxy-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]- (CA INDEX NAME)

RN 527378-48-9 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-propyl-1H-imidazol-4-yl][4-hydroxy-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]- (CA INDEX NAME)

RN 527378-52-5 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-(3-chlorophenyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527378-56-9 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-ethyl-1H-imidazol-4-yl][4-(3-chlorophenyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527378-60-5 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-propyl-1H-imidazol-4-yl][4-(3-chlorophenyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527378-68-3 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-[3-fluoro-4-(trifluoromethyl)phenyl]-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527378-73-0 CAPLUS

CN Methanone, [4-(4-chloro-3-fluorophenyl)-4-hydroxy-1-piperidinyl][2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl]- (CA INDEX NAME)

RN 527378-78-5 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-(3-fluorophenyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527378-83-2 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-ethyl-1H-imidazol-4-yl][4-(3-fluorophenyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527378-88-7 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-propyl-1H-imidazol-4-yl][4-(3-fluorophenyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527378-93-4 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-hydroxy-4-(6-methyl-2-pyridinyl)-1-piperidinyl]- (CA INDEX NAME)

RN 527378-98-9 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-ethyl-1H-imidazol-4-yl][4-hydroxy-4-(6-methyl-2-pyridinyl)-1-piperidinyl]- (CA INDEX NAME)

RN 527379-04-0 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-hydroxy-4-(4-methoxy-3-methylphenyl)-1-piperidinyl]- (CA INDEX NAME)

RN 527379-08-4 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-hydroxy-4-(3-methoxyphenyl)-1-piperidinyl]- (CA INDEX NAME)

RN 527379-13-1 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-hydroxy-4-(3-thienyl)-1-piperidinyl]- (CA INDEX NAME)

RN 527379-18-6 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-(4,6-dimethyl-2-pyrimidinyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527379-22-2 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-hydroxy-4-[4-(trifluoromethoxy)phenyl]-1-piperidinyl]- (CA INDEX NAME)

RN 527379-27-7 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-ethyl-1H-imidazol-4-yl][4-hydroxy-4-[4-(trifluoromethoxy)phenyl]-1-piperidinyl]- (CA INDEX NAME)

RN 527379-32-4 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-propyl-1H-imidazol-4-yl][4-hydroxy-4-[4-(trifluoromethoxy)phenyl]-1-piperidinyl]- (CA INDEX NAME)

RN 527379-37-9 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-ethyl-1H-imidazol-4-yl][4-hydroxy-4-[4-(trifluoromethyl)phenyl]-1-piperidinyl]- (CA INDEX NAME)

RN 527379-42-6 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-propyl-1H-imidazol-4-yl][4-hydroxy-4-[4-(trifluoromethyl)phenyl]-1-piperidinyl]- (CA INDEX NAME)

RN 527379-48-2 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-ethyl-1H-imidazol-4-yl][4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527379-52-8 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-propyl-1H-imidazol-4-yl][4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527379-58-4 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-(4-fluorophenyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527379-63-1 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-ethyl-1H-imidazol-4-yl][4-(4-fluorophenyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & &$$

RN 527379-67-5 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-propyl-1H-imidazol-4-yl][4-(4-fluorophenyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527379-70-0 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-hydroxy-4-(5-methyl-2-pyridinyl)-1-piperidinyl]- (CA INDEX NAME)

RN 527379-75-5 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-hydroxy-4-(4-methoxyphenyl)-1-piperidinyl]- (CA INDEX NAME)

RN 527379-80-2 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-hydroxy-4-[4-(methylthio)phenyl]-1-piperidinyl]- (CA INDEX NAME)

RN 527379-85-7 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-hydroxy-4-(4-methyl-2-pyridinyl)-1-piperidinyl]- (CA INDEX NAME)

RN 527379-90-4 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl](4-ethyl-4-hydroxy-1-piperidinyl)- (CA INDEX NAME)

RN 527380-00-3 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl](4-hydroxy-4-methyl-1-piperidinyl)- (CA INDEX NAME)

RN 527380-05-8 CAPLUS

CN Methanone, (4-butyl-4-hydroxy-1-piperidinyl)[2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl]- (CA INDEX NAME)

RN 527380-09-2 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl](4-hydroxy-4-pentyl-1-piperidinyl)- (CA INDEX NAME)

RN 527380-14-9 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl](4-hydroxy-4-phenyl-1-piperidinyl)- (CA INDEX NAME)

RN 527380-19-4 CAPLUS

CN Methanone, [2-(2,4-dichlorophenyl)-1-(4-methoxyphenyl)-1H-imidazol-4-yl](4-hydroxy-4-phenyl-1-piperidinyl)- (CA INDEX NAME)

RN 527380-24-1 CAPLUS

CN Methanone, [1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-1H-imidazol-4-yl](4-hydroxy-4-phenyl-1-piperidinyl)- (CA INDEX NAME)

RN 527380-34-3 CAPLUS

CN Methanone, [2-(2,4-dichlorophenyl)-1-(4-fluorophenyl)-1H-imidazol-4-yl](4-hydroxy-4-phenyl-1-piperidinyl)- (CA INDEX NAME)

RN 527380-38-7 CAPLUS

CN Methanone, [4-(4-bromophenyl)-4-hydroxy-1-piperidinyl][2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl]- (CA INDEX NAME)

RN 527380-43-4 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-hydroxy-4-(phenylmethyl)-1-piperidinyl]- (CA INDEX NAME)

RN 527380-48-9 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-[4-chloro-3-(trifluoromethyl)phenyl]-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527380-53-6 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527380-58-1 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-hydroxy-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]- (CA INDEX NAME)

RN 868406-23-9 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-hydroxy-4-(1-methylpropyl)-1-piperidinyl]- (CA INDEX NAME)

RN 868406-26-2 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-[4-(trifluoromethyl)phenyl]-1-piperazinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 527384-14-1

CMF C27 H21 C12 F3 N4 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

L3 ANSWER 15 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2005:822141 CAPLUS Full-text

DOCUMENT NUMBER: 143:229830

TITLE: Preparation of 1,3-oxazole-2-carboxamide derivatives

as antagonists of CB1 cannabinoid receptors and their

therapeutic application

INVENTOR(S): Barth, Francis; Rinaldi Carmonia, Murielle

PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr. SOURCE: Fr. Demande, 21 pp.

CODEN: FRXXBL

DOCUMENT TYPE: Patent LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND				D :	DATE		,	APPLICATION NO.					D.	DATE					
												_							
FR 286	FR 2866340 A1				20050819			FR 2004-1507					2	20040213					
FR 286	6340			В1		2006	1124												
WO 200	50803	57		A2		2005)50901 WO 2005-					-FR321				20050211			
WO 200	50803	57		А3		20051215													
W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,			
	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,			
	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KΖ,	LC,			
	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NΙ,			
	NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,			
	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW,	SM		
RW	: BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,			

```
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
             RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
            MR, NE, SN, TD, TG
     EP 1716142
                                20061102
                                            EP 2005-717611
                                                                   20050211
                         Α2
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK,
             BA, HR, IS, YU
                                            CN 2005-80004731
     CN 1918153
                                20070221
                                                                   20050211
     JP 2007522191
                          Τ
                                20070809
                                            JP 2006-552665
                                                                   20050211
     US 20070043060
                                            US 2006-461629
                                20070222
                                                                   20060801
                         Α1
     US 7320978
                         В2
                                20080122
     IN 2006KN02314
                                20070525
                                            IN 2006-KN2314
                                                                   20060814
                         Α
                                                                A 20040213
PRIORITY APPLN. INFO.:
                                            FR 2004-1507
                                            WO 2005-FR321
                                                               W 20050211
OTHER SOURCE(S):
                       MARPAT 143:229830
GΙ
```

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein R1 = H, alkyl; R2 = alkyl, (un)substituted mononitrogen heterocyclyl, phenylalkylene, etc., or NR1R2 = (un)substituted piperazin-1-yl, 1,4-diazepan-1-yl; R3-R8 = independently H, halo, alkyl, CF3, alkoxy, etc.; their free bases or acid addition salts, and their hydrates or solvates] were prepared as antagonists of CB1 cannabinoid receptors and for treatment of the diseases it implies. For instance, II (m.p. = 165°) was prepared in 4 steps by oximation of 2-(4-Chlorophenyl)-1-(2,4-dichlorophenyl)ethanone with NH2OH•HCl, cyclization with monoethyl oxalate chloride in DCM, hydrolysis, and TEA-amidation with 1-aminopiperidine. I exhibited an excellent affinity in vitro (IC50 ≤ 5•10-7 M) for the CB1 cannabinoid receptors. Thus, I are useful for treating psychosis, appetite and gastrointestinal disorders, smoking and alc. cessation, etc.

IT 862722-84-7P 862722-85-8P 862722-87-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of oxazole carboxamides derivs. as antagonists of CB1 cannabinoid receptors)

RN 862722-84-7 CAPLUS

CN Methanone, [5-(4-chlorophenyl)-4-(2,4-dichlorophenyl)-2-oxazolyl][4-(3-chlorophenyl)-1-piperazinyl]- (CA INDEX NAME)

$$\begin{array}{c} C1 \\ \hline \\ C1 \\ \hline \\ C1 \\ \hline \end{array}$$

RN 862722-85-8 CAPLUS

CN Methanone, [5-(4-chlorophenyl)-4-(2,4-dichlorophenyl)-2-oxazolyl](4-hydroxy-4-phenyl-1-piperidinyl)- (CA INDEX NAME)

RN 862722-87-0 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[[5-(4-chlorophenyl)-4-(2,4-dichlorophenyl)-2-oxazolyl]carbonyl]-, ethyl ester (CA INDEX NAME)

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 16 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2005:497497 CAPLUS Full-text

DOCUMENT NUMBER: 143:43882

TITLE: Preparation of 1H-1,2,4-triazole-3-carboxamide

derivatives showing CB1-antagonistic activity and

combination treatment involving the compounds

INVENTOR(S): Antel, Jochen; Gregory, Peter-Colin; Waldeck, Harald;

Krause, Gunter; Lange, Josephus Hubertus Maria; Kruse,

Cornelis Gerrit

PATENT ASSIGNEE(S): Germany

SOURCE: U.S. Pat. Appl. Publ., 27 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050124660	A1	20050609	US 2004-969840	20041022
PRIORITY APPLN. INFO.:			US 2003-513995P P	20031027

$$\mathbb{R}^{1} \underbrace{\mathbb{N}}_{\mathbb{N}} \mathbb{N}^{\mathbb{N}} \mathbb{N}^{2\mathbb{R}^{3}}$$

AΒ The present invention relates to a novel medical use of compds. with CB1receptor activity selected from the group of 4,5-dihydro-1H-pyrazole derivs., 1H-imidazole derivs., thiazole derivs. and/or 1H-1,2,4-triazole-3-carboxamide derivs. or of a prodrug thereof, a tautomer thereof or a salt thereof, in the manufacture of medicaments for the treatment and/or prophylaxis of CB1 receptor related diseases in juvenile patients and/or for the treatment and/or prophylaxis of drug induced obesity in juvenile, as well as in adolescent, patients. Furthermore, the invention pertains to the use of said compds. with CB1-receptor activity in combination with lipase inhibitors. Said compds. are particularly suitable in combination with lipase inhibitors in the manufacture of medicaments for the treatment and/or prophylaxis of obesity in adolescent or in juvenile patients and/or for the treatment and/or prophylaxis of drug induced obesity in juvenile as well as in adolescent patients. Preferred lipase inhibitors are orlistat, panclicins, ATL-962 and/or lipstatin. I was prepared and other similar compds. were tested for human cannabinoid CB1 receptor affinity and in vitro antagonism.

IT 676457-12-8P 676457-31-1P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 1H-1,2,4-triazole-3-carboxamide derivs. showing CB1-antagonistic activity)

RN 676457-12-8 CAPLUS

CN Methanone, [5-(2,4-dichlorophenyl)-1-[4-(trifluoromethyl)phenyl]-1H-1,2,4-triazol-3-yl]-1-piperidinyl- (CA INDEX NAME)

676457-31-1 CAPLUS

RN

CN Methanone, [1,4'-bipiperidin]-1'-yl[1-(4-chlorophenyl)-5-(2,4-dichlorophenyl)-1H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

L3 ANSWER 17 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2005:395074 CAPLUS Full-text

DOCUMENT NUMBER: 142:447220

TITLE: Preparation of 1H-1,2,4-triazole-3-carboxamides as

cannabinoid-CB1 receptor ligands

INVENTOR(S): Antel, Jochen; Gregory, Peter-Colin; Waldeck, Harald;

Krause, Guenter; Lange, Josephus Hubertus Maria;

Kruse, Chris

PATENT ASSIGNEE(S): Solvay Pharmaceuticals G.m.b.H., Germany

SOURCE: PCT Int. Appl., 63 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.				KIND DATE			APPLICATION NO.											
	WO 2005039550 WO 2005039550								WO 2004-EP52639									
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	ВG,	BR,	BW,	BY,	BZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,	GE,	
		GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,	LK,	
		LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NΙ,	NO,	
		NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	ТJ,	
		TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW		
	RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	
		ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	
		EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,	ΙΤ,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	
		SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	$\mathrm{ML}_{m{\prime}}$	MR,	ΝE,	
		SN,	TD,	ΤG														
AU	2004	2830	56					AU 2004-283056										
									CA 2004-2543338									
BR	2004	10158	51		A 20070102				BR 2004-15851					20041022				
EP	1753	413			A2		2007	0221		EP 2	004-	8172	79		2	0041	022	
	R:	ΑT,																
							PL,											MK
		5138																
	1997						2007											
MX 2006PA04434					А		2006	0620								0060		
IORITY APPLN. INFO.:				.:								1039						
												1039			A 2			
										WO 2	004-	EP52	639	,	W 2	0041	022	
DD 07	MIIDOT.	1/01.			MADI	ידי עכ	T /I 🔿 👗	4479	') (\									

OTHER SOURCE(S): MARPAT 142:447220

GΙ

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

The novel use of nitrogen heterocycles I-V [R, R1, R5, R11 = Ph, naphthyl, thienyl, pyridyl, etc.; R2, = H, alkyl, cycloalkylalkyl, Ph, etc.; R3 = alkyl, alkoxy, cycloalkyl, etc.; or NR2R3 = (un)saturated monocyclic or bicyclic heterocyclyl; R7 = (un)branched alkyl] for treatment of cannabinoid-CB1 receptor related diseases, especially in juveniles, is described. A 4-step synthesis of triazolecarboxamide VI.HCl starting from di-Me aminomalonate.HCl 4-chlorobenzoyl chloride, 2,4-dichloroaniline, and 1-aminopiperidine is given. Furthermore, the invention pertains to the use of I-V in combination with lipase inhibitors. Preferred lipase inhibitors are olistat, panclicins, ATL-962, and/or lipstatin.

IT 676457-12-8P 676457-31-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of triazolecarboxamides as cannabinoid-CB1 receptor ligands for treatment of drug-induced obesity in juveniles and adolescents)

RN 676457-12-8 CAPLUS

CN Methanone, [5-(2,4-dichlorophenyl)-1-[4-(trifluoromethyl)phenyl]-1H-1,2,4-triazol-3-yl]-1-piperidinyl- (CA INDEX NAME)

RN 676457-31-1 CAPLUS

CN Methanone, [1,4'-bipiperidin]-1'-yl[1-(4-chlorophenyl)-5-(2,4-dichlorophenyl)-1H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

$$\begin{array}{c} C1 \\ \\ C1 \\ \\ C1 \\ \\ C1 \\ \end{array}$$

2005:220141 CAPLUS Full-text ACCESSION NUMBER:

DOCUMENT NUMBER: 142:280212

TITLE: Preparation of 1H-imidazole-4-carboxamides as CB1

agonists, partial agonists, or antagonists for

ΙI

treatment of psychiatric and neurological disorders Kruse, Cornelis G.; Lange, Josephus H. M.; Herremans,

Arnoldus H. J.; Van Stuivenberg, Herman H.

PATENT ASSIGNEE(S): Solvay Pharmaceuticals B.V., Neth.

SOURCE: U.S. Pat. Appl. Publ., 20 pp., Cont.-in-part of U.S.

Ser. No. 490,019.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

GΙ

INVENTOR(S):

PATE	PATENT NO.					D	DATE			APPLICATION NO.					DATE			
	US 20050054679 US 7109216								US 2004-912171						20040806			
WO 2	WO 2003027076							0403	WO 2002-EP10434						20020917			
WO 2		ΑE,	AG,	AL,	AM,	ΑT,	AU, DK,	AZ,										
		GM,	HR,	HU,	ID,	IL,	IN, MD,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,	
		PL,	PT,	RO,	RU,	SD,	SE, VN,	SG,	SI,	SK,	SL,		•	•	•	•	•	
	RW:	GH,	GM,	KE,	LS,	MW,	MZ, TM,	SD,	SL,	SZ,	TZ,			•	•		•	
		FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	SK,	TR,	•		•	
		235	854		A1		2004	1125		ML, MR, NE, SN, TD, TG US 2004-490019 US 2005-138289								
	PRIORITY APPLN. INFO.:						2000			EP 2001-203851 WO 2002-EP10434					A 20010921			
										US 2	004-	4900	19	1	A2 2	0040	319	
OTHER SOU	OTHER SOURCE(S):						US 2004-574939P P 20040528 CASREACT 142:280212; MARPAT 142:280212											

AΒ Title compds. I [wherein R = (un)substituted Ph, thienyl, pyridinyl, pyrimidinyl, pyrazinyl, pyridazinyl, or triazinyl; R1 = (un)substituted Ph or pyridinyl; R2 = H or (cyclo)alkyl or (cyclo)alkenyl optionally interrupted by S, O, or N; R3 = (un)substituted (cyclo)alkyl, (cyclo)alkoxy, bicycloalkyl, tricycloalkyl, or (cyclo)alkenyl optionally interrupted by N, O, or S; or R3 = pyridinyl or Ph when R4 \neq H; or R3 = NR5R6 when R2 = H or Me; or NR2R3 = (un) substituted heterocyclyl; R4 = H, halo, CN, carbamoyl, formyl, acetyl, CF3CO, FCH2CO, EtCO, sulfamoyl, MeSO2, MeS, or (un)substituted alkyl; R5 and R6 = independently alkyl; or NR5R6 = (un)substituted heterocyclyl; andprodrugs, stereoisomers, and salts thereof] were prepared as potent cannabinoid (CB1) receptor agonists, partial agonists, or antagonists. For example, reaction of 4-chloroaniline with 2,4-dichlorobenzonitrile in the presence of sodium bis(trimethylsilyl)amide in THF provided N-(4chlorophenyl)-2,4- dichlorobenzenecarboxamidine (42%). Cyclization of the carboxamidine with Et 3-bromo-2-oxopropanoate in a solution of NaHCO3 and isopropanol gave the imidazolecarboxylate (29%), which was converted to the imidazolecarbonyl chloride (no data). Amidation with 1-aminopiperidine using TEA in CH2Cl2 afforded II (26%). Selected I bound to hCB1 receptor with pKi values in the range of 7.0 to 8.4. I are useful for the treatment of psychiatric and neurol. disorders, as well as and other diseases involving cannabinoid neurotransmission (no data).

IT 505073-33-6P, 1-[[1-(4-Chlorophenyl)-2-(2,4-dichlorophenyl)-1H imidazol-4-yl]carbonyl]hexahydro-1H-azepine
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(CB1 modulator; preparation of imidazolecarboxamides as CB1 agonists, partial agonists, or antagonists for treatment of psychiatric and neurol. disorders)

RN 505073-33-6 CAPLUS

CN Methanone, [1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-1H-imidazol-4-yl](hexahydro-1H-azepin-1-yl)- (CA INDEX NAME)

L3 ANSWER 19 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2005:164961 CAPLUS Full-text DOCUMENT NUMBER: 142:411290

TITLE: Synthesis

Synthesis, Structure-Activity Relationships at the GABAA Receptor in Rat Brain, and Differential Electrophysiological Profile at the Recombinant Human GABAA Receptor of a Series of Substituted 1,2-Diphenylimidazoles

AUTHOR(S): Asproni, Battistina; Talani, Giuseppe; Busonero,

Fabio; Pau, Amedeo; Sanna, Sebastiano; Cerri,

Riccardo; Mascia, Maria Paola; Sanna, Enrico; Biggio,

Giovanni

CORPORATE SOURCE: Dipartimento Farmaco Chimico Tossicologico, Universita

di Sassari, Sassari, Italy

SOURCE: Journal of Medicinal Chemistry (2005), 48(7),

2638-2645

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 142:411290

GT

AΒ A series of new 1,2-diphenylimidazole derivs. I (R = H. Me, CO2H, CO2Me, CO2Et, CO2Pr, CONEt2, etc.; X = H, F, Cl, Br, iodo, Me, OMe, NO2, NH2, NHAc; X1 = H, 3-Cl, 4-Cl, 4-F, 3,4-Cl2, 2,4-Cl2) were synthesized and evaluated for their ability to potentiate γ -aminobutyric acid (GABA)-evoked currents in Xenopus laevis oocytes expressing recombinant human GABAA receptors. Many of these compds. enhanced GABA action with potencies (EC50 = $0.19-19 \mu M$) and efficacies (maximal efficacies of up to 640%) similar to or greater than those of anesthetics such as etomidate, propofol, and alphaxalone. Structureactivity relationship anal. revealed that the presence of an ester moiety in the imidazole ring was required for full agonist properties, while modifications made in the Ph rings affected potency and efficacy, with II (X =Br) showing the highest potency. These compds. potentiated the [3H]GABA binding to rat brain membranes, suggesting a site of interaction different from that of GABA. As for etomidate, mutation of asparagine-265 in the β 2 subunit of the GABAA receptor into serine reduced the ability of derivative II (X = C1) to modulate the GABA function.

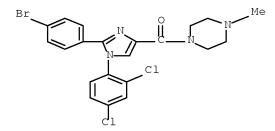
IT 850339-41-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and GABA-A receptor binding structure-activity of substituted diphenylimidazoles)

RN 850339-41-2 CAPLUS

CN Methanone, [2-(4-bromophenyl)-1-(2,4-dichlorophenyl)-1H-imidazol-4-yl](4-methyl-1-piperazinyl)- (CA INDEX NAME)



REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 20 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2005:130306 CAPLUS $\underline{\text{Full-text}}$

DOCUMENT NUMBER: 142:392336

TITLE: Synthesis and activity of 4,5-diarylimidazoles as

human CB1 receptor inverse agonists

AUTHOR(S): Plummer, Christopher W.; Finke, Paul E.; Mills, Sander

G.; Wang, Junying; Tong, Xinchun; Doss, George A.;

Fong, Tung M.; Lao, Julie Z.; Schaeffer,

Marie-Therese; Chen, Jing; Shen, Chun-Pyn; Stribling, D. Sloan; Shearman, Lauren P.; Strack, Alison M.; Van

der Ploeg, Lex H. T.

CORPORATE SOURCE: Department of Medicinal Chemistry, Merck Research

Laboratories, Rahway, NJ, 07065, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2005),

15(5), 1441-1446

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 142:392336

GΙ

AB Structure-activity relationship studies directed toward the optimization of 4.5-diarylimidazole-2-carboxamide analogs as human CB1 receptor inverse agonists resulted in the discovery of the two amide derivs. I (X = N, CH) (hCB1 IC50 = 6.1 and 4.0 nM). I also demonstrated efficacy in overnight feeding studies in the rat for reduction in both food intake and overall body weight

IT 489446-71-1P 489446-86-8P 489447-12-3P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation, human cannabinoid receptor type 1 binding affinity, and SAR of diarylimidazolecarboxamides and -oxazolecarboxamides starting from arylaldehydes or ketones and using heterocyclization and amidation as the key steps)

RN 489446-71-1 CAPLUS

CN Methanone, (1-methyl-4,5-diphenyl-1H-imidazol-2-yl)-1-piperidinyl- (CA INDEX NAME)

RN 489446-86-8 CAPLUS

CN Methanone, [1-methyl-4,5-bis(4-methylphenyl)-1H-imidazol-2-yl]-1-piperidinyl- (CA INDEX NAME)

RN 489447-12-3 CAPLUS

CN Methanone, [4,5-bis(4-chlorophenyl)-1-methyl-1H-imidazol-2-yl]-1-piperidinyl- (CA INDEX NAME)

REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 21 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN

2005:99481 CAPLUS Full-text ACCESSION NUMBER:

DOCUMENT NUMBER: 142:198075

TITLE: Preparation of imidazole derivatives as cannabinoid

> receptor ligands Carpino, Philip A.

PATENT ASSIGNEE(S): Pfizer Products Inc., USA SOURCE: PCT Int. Appl., 82 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

INVENTOR(S):

P	PATENT NO.					KIND DATE			APPLICATION NO.						DATE			
— W	WO 2005009974					A1 20050203			WO 2004-IB2442							20040719		
	W:	ΑE,	AG,	AL,	ΑM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	ВG,	BR,	BW,	BY,	BZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NΙ,	
		NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
		ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW	
	RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MΖ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,	
		AZ,	BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	
		EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	
		SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	
		SN,	TD,	TG														
U	S 2005	0026	983		A1		2005	0203		US 2	004-	8930	11		20040715			
PRIORI	PRIORITY APPLN. INFO.:										US 2003-491013P					0030	730	
OTHER	OTHER SOURCE(S):				CASREACT 142:198075; MARPAT 142:198075													
GI																		

Title compds. I [wherein R1, R2 = (un)substituted (hetero)aryl; R3a = H or AΒ alkyl; R3b = H, (un)substituted (cyclo)alkyl, heterocyclyl or (hetero)aryl; n = 0-2; L = CH2 or C(0); R4 = (un)substituted amino or hydrazino; or pharmaceutically acceptable salts or solvates or hydrates thereof or the salts] were prepared as cannabinoid receptor ligands. For example, imidazolecarboxamide II was synthesized via the coupling of the corresponding N-Boc protected acid (preparation given) with piperidine in the presence of EDC-HOBt followed by deprotection with HCl/EtOH. Three tested compds., including II, were found to have binding activities from 1-10 nM against cannabinoid receptor CB1. Other biol. properties were also assayed (no data). The invented compds. are useful in the treatment of diseases linked to the activation of the cannabinoid receptors, such as obesity.

IT 837365-15-8P, [2-(2-Chlorophenyl)-1-(4-chlorophenyl)-5 ((isopropylamino)methyl)-1H-imidazol-4-yl]piperidin-1-ylmethanone
 837365-16-9P, [2-(2-Chlorophenyl)-1-(4-chlorophenyl)-5 [(isopropylamino)methyl]-1H-imidazol-4-yl]pyrrolidin-1-ylmethanone
 837365-17-0P, [1-(4-Chlorophenyl)-2-(2,4-dichlorophenyl)-5 [(isopropylamino)methyl]-1H-imidazol-4-yl]piperidin-1-ylmethanone
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(ligand; preparation of imidazolecarboxamides as cannabinoid receptor ligands)

RN 837365-15-8 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-[[(1-methylethyl)amino]methyl]-1H-imidazol-4-yl]-1-piperidinyl- (CA INDEX NAME)

$$\begin{array}{c|c} & \circ & \circ \\ & N & C & N \\ & & CH_2-NHPr-i \\ & & & Cl \\ & & & & Cl \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ &$$

RN 837365-16-9 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-[[(1-methylethyl)amino]methyl]-1H-imidazol-4-yl]-1-pyrrolidinyl- (CA INDEX NAME)

$$\begin{array}{c|c}
 & C1 \\
 & N \\
 & C \\
 & N \\
 & 1-PrNH-CH_2
\end{array}$$

RN 837365-17-0 CAPLUS

CN Methanone, [1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-5-[[(1-methylethyl)amino]methyl]-1H-imidazol-4-yl]-1-piperidinyl- (CA INDEX NAME)

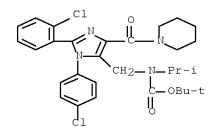
IT 837365-18-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(ligand; preparation of imidazolecarboxamides as cannabinoid receptor ligands)

RN 837365-18-1 CAPLUS

CN Carbamic acid, [[2-(2-chlorophenyl)-1-(4-chlorophenyl)-4-(1-piperidinylcarbonyl)-1H-imidazol-5-yl]methyl](1-methylethyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 22 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2004:996115 CAPLUS Full-text

DOCUMENT NUMBER: 141:410930

TITLE: Preparation of imidazole derivatives as cyclooxygenase

(COX) inhibitors

INVENTOR(S): Takahashi, Fumie; Terasaka, Tadashi; Morita, Masataka;

Konishi, Nobukiyo; Nakamura, Katsuya

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 71 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004099130	A2	20041118	WO 2004-JP5987	20040426
WO 2004099130	A3	20050127		
ז אר אוד אוד אוד	ידי ע זעוע	מכו קייג דוג	עם זעם מם אם מם	D7 C7 C11

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,

```
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
             SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
             SN, TD, TG
     CA 2524889
                          Α1
                                 20041118
                                             CA 2004-2524889
                                                                     20040426
     EP 1620406
                                             EP 2004-729517
                          A2
                                 20060201
                                                                     20040426
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR
                                 20060607
                                             CN 2004-80012372
     CN 1784386
                          Α
                                                                     20040426
                          Τ
     JP 2006525320
                                 20061109
                                             JP 2006-507723
                                                                     20040426
     MX 2005PA11855
                                 20060217
                                             MX 2005-PA11855
                                                                     20051104
                          Α
     US 20070043084
                                 20070222
                                             US 2005-555656
                          Α1
                                                                     20051104
     IN 2005CN03322
                                 20070601
                                             IN 2005-CN3322
                                                                     20051208
                          Α
PRIORITY APPLN. INFO.:
                                             AU 2003-902208
                                                                    20030508
                                             AU 2003-903861
                                                                 Α
                                                                    20030724
                                             AU 2003-904068
                                                                    20030801
                                                                 Α
                                             WO 2004-JP5987
                                                                 W
                                                                    20040426
```

OTHER SOURCE(S): MARPAT 141:410930

GT

$$\mathbb{R}^2$$
 \mathbb{R}^2
 \mathbb

AΒ Title compds. I [wherein R1 = (un)substituted (cyclo)alkyl, carbamoyl, cyano, formyl, carboxy or carbonyl; R2 = hydroxy, halo, cyano, or alkoxy; R3 = alkoxy or amino; X, Y = CH or N; et al., or pharmaceutically acceptable salts thereof], were prepared as cyclooxygenase (COX) inhibitors. E.g., addition reaction of p-anisidine with 6-methoxy-3-pyridinecarbonitrile using NaHMDS as base (58.4%) followed by cyclization with 3-bromo-1,1,1-trifluoro-2-propanone (21.5%) gave imidazole II. Tested compds. I, including II, showed effective analgesic activity (coefficient >1.5) on adjuvant arthritis at a dose of 3.2 mg/kg, and selectively inhibited COX-I with IC50 (μ M) of <0.01 against COX-I (vs. \geq 0.1 against COX-II). I are therefore useful for the treatment and/or prevention of the diseases associated with COX, such as inflammation, pain, collagen, autoimmune, immunity, thrombosis, cancer and neurodegenerative diseases.

726196-57-2P ΙT

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (COX inhibitor; preparation of imidazoles as cyclooxygenase (COX) inhibitors)

726196-57-2 CAPLUS RN

CN Methanone, [2-(4-methoxyphenyl)-1-[4-(phenylmethoxy)phenyl]-1H-imidazol-4-

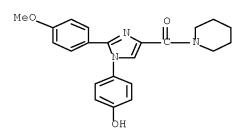
IT 726196-58-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(COX inhibitor; preparation of imidazoles as cyclooxygenase (COX) inhibitors)

RN 726196-58-3 CAPLUS

CN Methanone, [1-(4-hydroxyphenyl)-2-(4-methoxyphenyl)-1H-imidazol-4-yl]-1-piperidinyl- (CA INDEX NAME)



L3 ANSWER 23 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:927195 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 141:395556

TITLE: Preparation of azole compounds as platelet aggregation

inhibitors

INVENTOR(S): Okayama, Toru; Uoto, Kouichi; Ishiyama, Takashi;

Kanaya, Naoaki; Kimura, Youichi; Ishihara, Hiroaki;

Watanabe, Toshiyuki; Fujii, Kunihiko

PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co. Ltd., Japan

SOURCE: PCT Int. Appl., 223 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2004094407 A1 20041104 WO 2004-JP5605 20040420

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,

```
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
            GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
            LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
            NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
            TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
        RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
            BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
            ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,
            SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,
            TD, TG
    AU 2004232577
                               20041104
                                           AU 2004-232577
                                                                  20040420
                         Α1
                               20041104
                                           CA 2004-2522536
    CA 2522536
                         Α1
                                                                  20040420
                                         EP 2004-728462
    EP 1621537
                         Α1
                               20060201
                                                                  20040420
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK
    CN 1774435
                               20060517
                                           CN 2004-80010326
                                                                  20040420
                        Α
    NO 2005004854
                         Α
                               20051115
                                           NO 2005-4854
                                                                  20051020
    MX 2005PA11276
                                           MX 2005-PA11276
                               20060124
                         Α
                                                                  20051020
    US 20060189591
                         Α1
                               20060824
                                           US 2005-553982
                                                                  20051020
                                           JP 2003-115204
PRIORITY APPLN. INFO.:
                                                              A 20030421
                                                              A 20040219
                                           JP 2004-42859
                                           WO 2004-JP5605 W 20040420
OTHER SOURCE(S):
                       MARPAT 141:395556
```

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. Q-X-Y (I) [Q = II, etc.; Ar1, Ar2 = (un)substituted 6-membered aromatic heterocycles; (un)substituted phenyl; R2 = H, halo, etc.; X = carbonyl, thiocarbonyl; Y = III; A = 4-7 membered ring, further detail on said ring is given; R1 = OH, etc.] were prepared For example, EDCI-mediated coupling of 2-(6-methoxy-3-pyridyl)-1-(2-pyridyl)-1H- imidazole-4-carboxylic acid with (3R)-fluoropiperidine hydrochloride afforded compound IV in 44% yield. In platelet aggregation inhibition assays, the IC50 value of compound IV was 0.11 μ M. Of note, compds. I inhibit neither COX-1 nor COX-2. Disclosed compds. I are claimed useful for the treatment of ischemia.

IT 787562-41-8P 787562-52-1P 787562-54-3P 787562-56-5P 787562-63-4P 787562-66-7P 787564-53-8P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of azole compds. as platelet aggregation inhibitors for treatment of ischemia)

RN 787562-41-8 CAPLUS

GΙ

CN Methanone, [2-(6-methoxy-3-pyridinyl)-1-phenyl-1H-imidazol-4-yl](4-methyl-1-piperazinyl)- (CA INDEX NAME)

RN 787562-52-1 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[[2-(6-methoxy-3-pyridinyl)-1-phenyl-1H-imidazol-4-yl]carbonyl]-3-methyl-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 787562-54-3 CAPLUS

CN Methanone, [2-(6-methoxy-3-pyridinyl)-1-phenyl-1H-imidazol-4-yl](2-methyl-1-piperazinyl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 787562-53-2 CMF C21 H23 N5 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 787562-56-5 CAPLUS

CN Methanone, (3,4-dimethyl-1-piperazinyl)[2-(6-methoxy-3-pyridinyl)-1-phenyl-1H-imidazol-4-yl]- (CA INDEX NAME)

RN 787562-63-4 CAPLUS

CN Methanone, (4,5-diphenyl-2-oxazolyl)(4-methyl-1-piperazinyl)- (CA INDEX NAME)

$$\operatorname{Ph} \operatorname{\mathsf{N}} \operatorname{\mathsf{N}}$$

RN 787562-66-7 CAPLUS

CN Methanone, [5-(4-fluorophenyl)-4-(3-pyridinyl)-2-oxazolyl](4-methyl-1-piperazinyl)- (CA INDEX NAME)

RN 787564-53-8 CAPLUS

CN Methanone, 4,7-diazaspiro[2.5]oct-7-yl[1-(6-methoxy-3-pyridinyl)-5-(4-methylphenyl)-1H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

ΙT

787562-38-3P 787562-40-7P 787562-42-9P

787562-43-0P 787562-45-2P 787562-46-3P

```
787562-47-4P 787562-48-5P 787562-49-6P
     787562-50-9P 787562-51-0P 787562-55-4P
     787562-57-6P 787562-58-7P 787562-59-8P
     787562-60-1P 787562-61-2P 787562-62-3P
     787562-64-5P 787562-65-6P 787562-67-8P
     787562-68-9P 787562-69-0P 787562-70-3P
     787562-71-4P 787562-72-5P 787562-73-6P
     787562-74-7P 787562-75-8P 787562-76-9P
     787562-77-0P 787562-78-1P 787562-80-5P
     787562-81-6P 787562-82-7P 787562-83-8P
     787562-84-9P 787562-85-0P 787562-86-1P
     787562-87-2P 787562-88-3P 787562-89-4P
     787562-90-7P 787562-91-8P 787562-92-9P
     787562-93-0P 787562-94-1P 787562-95-2P
     787562-96-3P 787562-97-4P 787562-98-5P
     787562-99-6P 787563-00-2P 787563-01-3P
     787563-02-4P 787563-03-5P 787563-04-6P
     787563-05-7P 787563-06-8P 787563-07-9P
     787563-08-0P 787563-09-1P 787563-10-4P
     787563-11-5P 787563-12-6P 787563-13-7P
     787563-14-8P 787563-15-9P 787563-16-0P
     787563-17-1P 787563-18-2P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (preparation of azole compds. as platelet aggregation inhibitors for
        treatment of ischemia)
RN
     787562-38-3 CAPLUS
    Methanone, (4-methyl-1-piperazinyl)[2-phenyl-1-(3-pyridinyl)-1H-imidazol-4-
CN
```

yl]- (CA INDEX NAME)

RN 787562-40-7 CAPLUS

CN Methanone, (5-methyl-1,2-diphenyl-1H-imidazol-4-yl)(4-methyl-1-piperazinyl)- (CA INDEX NAME)

RN 787562-42-9 CAPLUS

CN Methanone, [2-(6-methoxy-3-pyridiny1)-1-(4-methylphenyl)-1H-imidazol-4-yl](4-methyl-1-piperazinyl)- (CA INDEX NAME)

RN 787562-43-0 CAPLUS

CN Methanone, [1-(4-fluorophenyl)-2-(6-methoxy-3-pyridinyl)-1H-imidazol-4-yl](4-methyl-1-piperazinyl)- (CA INDEX NAME)

RN 787562-45-2 CAPLUS

CN Methanone, [2-(6-methoxy-3-pyridinyl)-1-phenyl-1H-imidazol-4-yl]-4-morpholinyl- (CA INDEX NAME)

RN 787562-46-3 CAPLUS

CN Methanone, [2-(6-methoxy-3-pyridinyl)-1-phenyl-1H-imidazol-4-yl](2,2,4-trimethyl-1-piperazinyl)- (CA INDEX NAME)

RN 787562-47-4 CAPLUS

CN Methanone, [3-(dimethylamino)-1-azetidinyl][1-(4-methylphenyl)-2-(6-methyl-3-pyridinyl)-1H-imidazol-4-yl]- (CA INDEX NAME)

RN 787562-48-5 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[[1-(4-methylphenyl)-2-(6-methyl-3-pyridinyl)-1H-imidazol-4-yl]carbonyl]-, phenylmethyl ester (CA INDEX NAME)

RN 787562-49-6 CAPLUS

CN Methanone, (4-methyl-1-piperazinyl)[2-(6-methyl-3-pyridinyl)-1-phenyl-1H-imidazol-4-yl]- (CA INDEX NAME)

RN 787562-50-9 CAPLUS

CN Methanone, [1-(4-methylphenyl)-2-(6-methyl-3-pyridinyl)-1H-imidazol-4-yl](4-methyl-1-piperazinyl)- (CA INDEX NAME)

RN 787562-51-0 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[[2-(6-methoxy-3-pyridinyl)-1-phenyl-1H-imidazol-4-yl]carbonyl]-, phenylmethyl ester (CA INDEX NAME)

RN 787562-55-4 CAPLUS

CN Methanone, (2,4-dimethyl-1-piperazinyl)[2-(6-methoxy-3-pyridinyl)-1-phenyl-1H-imidazol-4-yl]- (CA INDEX NAME)

RN 787562-57-6 CAPLUS

CN Methanone, [1-(4-methylphenyl)-2-(6-methyl-3-pyridinyl)-1H-imidazol-4-yl]-1-piperidinyl- (CA INDEX NAME)

RN 787562-58-7 CAPLUS

CN Methanethione, [2-(6-methoxy-3-pyridinyl)-1-phenyl-1H-imidazol-4-yl](4-methyl-1-piperazinyl)- (CA INDEX NAME)

RN 787562-59-8 CAPLUS

CN Methanone, [1-(4-methylphenyl)-2-(6-methyl-3-pyridinyl)-1H-imidazol-4-yl]-4-morpholinyl- (CA INDEX NAME)

RN 787562-60-1 CAPLUS

CN Methanone, (hexahydro-4-methyl-1H-1,4-diazepin-1-yl)[2-(6-methoxy-3-pyridinyl)-1-phenyl-1H-imidazol-4-yl]- (CA INDEX NAME)

$$\mathsf{Me} = \mathsf{N} =$$

RN 787562-61-2 CAPLUS

CN Methanone, [2-(6-methoxy-3-pyridinyl)-1-phenyl-1H-imidazol-4-yl](tetrahydro-3-methyl-1(2H)-pyrimidinyl)- (CA INDEX NAME)

RN 787562-62-3 CAPLUS

CN Methanone, [2-(6-methoxy-3-pyridinyl)-1-phenyl-1H-imidazol-4-yl](tetrahydro-2-methyl-1(2H)-pyridazinyl)- (CA INDEX NAME)

RN 787562-64-5 CAPLUS

CN Methanone, (4,5-diphenyl-2-oxazolyl)(4-methyl-1-piperazinyl)-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 787562-65-6 CAPLUS

CN Methanone, [4-(6-methoxy-3-pyridinyl)-5-(3-pyridinyl)-2-oxazolyl](4-methyl-1-piperazinyl)- (CA INDEX NAME)

RN 787562-67-8 CAPLUS

CN Methanone, [5-(4-fluorophenyl)-4-(3-pyridinyl)-2-oxazolyl](4-methyl-1-piperazinyl)-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

RN 787562-68-9 CAPLUS

CN Methanone, [2-(6-methoxy-3-pyridinyl)-1-phenyl-1H-imidazol-4-yl](tetrahydro-1,4-oxazepin-4(5H)-yl)- (CA INDEX NAME)

RN 787562-69-0 CAPLUS

CN 2-Piperazinone, 4-[[2-(6-methoxy-3-pyridinyl)-1-phenyl-1H-imidazol-4-yl]carbonyl]- (CA INDEX NAME)

RN 787562-70-3 CAPLUS

CN 2-Piperazinone, 4-[[2-(6-methoxy-3-pyridinyl)-1-phenyl-1H-imidazol-4-yl]carbonyl]-1-methyl- (CA INDEX NAME)

RN 787562-71-4 CAPLUS

CN 2-Piperazinone, 4-[[1-(4-methylphenyl)-2-(6-methyl-3-pyridinyl)-1H-imidazol-4-yl]carbonyl]- (CA INDEX NAME)

RN 787562-72-5 CAPLUS

CN 2-Piperazinone, 1-methyl-4-[[1-(4-methylphenyl)-2-(6-methyl-3-pyridinyl)-1H-imidazol-4-yl]carbonyl]- (CA INDEX NAME)

RN 787562-73-6 CAPLUS

CN Methanone, [1-(6-methoxy-3-pyridinyl)-5-phenyl-1H-1,2,4-triazol-3-yl](4-methyl-1-piperazinyl)-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 787562-74-7 CAPLUS

CN Methanone, [5-(4-fluorophenyl)-1-(6-methoxy-3-pyridinyl)-1H-1,2,4-triazol-3-yl](4-methyl-1-piperazinyl)-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 787562-75-8 CAPLUS

CN Methanone, (4-cyclopropyl-1-piperazinyl)[1-(6-methoxy-3-pyridinyl)-5-phenyl-1H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

RN 787562-76-9 CAPLUS

CN Methanone, [5-(6-methoxy-3-pyridinyl)-1-phenyl-1H-1,2,4-triazol-3-yl](4-methyl-1-piperazinyl)-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 787562-77-0 CAPLUS

CN Methanone, [1-(6-methoxy-3-pyridinyl)-5-(4-methylphenyl)-1H-1,2,4-triazol-3-yl](4-methyl-1-piperazinyl)-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 787562-78-1 CAPLUS

CN Methanone, [5-(6-methoxy-3-pyridinyl)-1-(4-methylphenyl)-1H-1,2,4-triazol-3-yl](4-methyl-1-piperazinyl)-, hydrochloride (1:1) (CA INDEX NAME)

RN 787562-80-5 CAPLUS

CN Methanone, [3-(dimethylamino)-1-azetidinyl][1-(6-methoxy-3-pyridinyl)-5-(4-methylphenyl)-1H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

RN 787562-81-6 CAPLUS

CN Methanone, [5-(3-fluoro-4-methylphenyl)-1-(6-methoxy-3-pyridinyl)-1H-1,2,4-triazol-3-yl](4-methyl-1-piperazinyl)- (CA INDEX NAME)

RN 787562-82-7 CAPLUS

CN Methanone, [1-(4-methylphenyl)-5-(6-methyl-3-pyridinyl)-1H-1,2,4-triazol-3-yl](4-methyl-1-piperazinyl)-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 787562-83-8 CAPLUS

CN Methanone, [1-(6-methoxy-3-pyridinyl)-5-(4-methylphenyl)-1H-1,2,4-triazol-3-yl]-4-morpholinyl- (CA INDEX NAME)

RN 787562-84-9 CAPLUS

CN Methanone, 4,7-diazaspiro[2.5]oct-7-yl[1-(6-methoxy-3-pyridinyl)-5-(4-methylphenyl)-1H-1,2,4-triazol-3-yl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

CN Methanone, [1-(6-methoxy-3-pyridinyl)-5-(4-methylphenyl)-1H-1,2,4-triazol-3-yl](4-methyl-4,7-diazaspiro[2.5]oct-7-yl)-, hydrochloride (1:1) (CA INDEX NAME)

RN 787562-86-1 CAPLUS

CN 2-Piperazinone, 4-[[1-(6-methoxy-3-pyridinyl)-5-(4-methylphenyl)-1H-1,2,4-triazol-3-yl]carbonyl]-1-methyl- (CA INDEX NAME)

RN 787562-87-2 CAPLUS

CN Methanone, [3-(dimethylamino)-2,2-dimethyl-1-azetidinyl][1-(6-methoxy-3-pyridinyl)-5-(4-methylphenyl)-1H-1,2,4-triazol-3-yl]-, hydrochloride (1:1) (CA INDEX NAME)

RN 787562-88-3 CAPLUS

CN 2-Azetidinecarboxamide, 1-[[1-(6-methoxy-3-pyridinyl)-5-(4-methylphenyl)-1H-1,2,4-triazol-3-yl]carbonyl]-N,N-dimethyl- (CA INDEX NAME)

RN 787562-89-4 CAPLUS

CN Methanone, [2-[(dimethylamino)methyl]-1-azetidinyl][1-(6-methoxy-3-pyridinyl)-5-(4-methylphenyl)-1H-1,2,4-triazol-3-yl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 787562-90-7 CAPLUS

CN Methanone, [3-(dimethylamino)-2,2-dimethyl-1-azetidinyl][1-(4-methoxyphenyl)-5-(6-methyl-3-pyridinyl)-1H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

RN 787562-91-8 CAPLUS

CN Methanone, [2-(6-methoxy-3-pyridinyl)-1-(2-pyridinyl)-1H-imidazol-4-yl]-1-piperidinyl- (CA INDEX NAME)

RN 787562-92-9 CAPLUS

CN 2-Piperazinone, 4-[[5-(6-methoxy-3-pyridinyl)-1-(4-methylphenyl)-1H-1,2,4-triazol-3-yl]carbonyl]-1-methyl- (CA INDEX NAME)

RN 787562-93-0 CAPLUS

CN Methanone, [2-(6-methoxy-3-pyridiny1)-1-(2-pyridiny1)-1H-imidazol-4-yl]-4-

RN 787562-94-1 CAPLUS

CN 2-Piperazinone, 4-[[2-(6-methoxy-3-pyridinyl)-1-(2-pyridinyl)-1H-imidazol-4-yl]carbonyl]-1-methyl- (CA INDEX NAME)

RN 787562-95-2 CAPLUS

CN 2-Piperazinone, 4-[[2-(6-methoxy-3-pyridinyl)-1-(4-methylphenyl)-1H-imidazol-4-yl]carbonyl]-1-methyl- (CA INDEX NAME)

RN 787562-96-3 CAPLUS

CN 2-Piperazinone, 4-[[1-(4-fluorophenyl)-2-(6-methoxy-3-pyridinyl)-1H-imidazol-4-yl]carbonyl]-1-methyl- (CA INDEX NAME)

RN 787562-97-4 CAPLUS

CN 2-Pyrrolidinecarboxamide, 1-[[2-(6-methoxy-3-pyridinyl)-1-(2-pyridinyl)-1H-imidazol-4-yl]carbonyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 787562-98-5 CAPLUS

CN Methanone, [2-(6-methoxy-3-pyridinyl)-1-(2-pyridinyl)-1H-imidazol-4-yl]-1-pyrrolidinyl- (CA INDEX NAME)

RN 787562-99-6 CAPLUS

CN 2-Pyrrolidinecarboxamide, 1-[[2-(6-methoxy-3-pyridinyl)-1-phenyl-1H-imidazol-4-yl]carbonyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 787563-00-2 CAPLUS

CN 2-Pyrrolidinecarboxamide, 1-[[2-(6-methoxy-3-pyridinyl)-1-(4-methylphenyl)-1+-imidazol-4-yl]carbonyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 787563-01-3 CAPLUS

CN 2-Pyrrolidinecarboxamide, 1-[[1-(4-methylphenyl)-2-(6-methyl-3-pyridinyl)-1H-imidazol-4-yl]carbonyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 787563-02-4 CAPLUS

CN 2-Pyrrolidinecarboxamide, 1-[[5-(6-methoxy-3-pyridinyl)-1-(4-methylphenyl)-1H-1,2,4-triazol-3-yl]carbonyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

CN 2-Pyrrolidinecarboxamide, 1-[[1-(6-methoxy-3-pyridiny1)-5-(4-methylphenyl)-1H-1,2,4-triazol-3-yl]carbonyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 787563-04-6 CAPLUS

CN Methanone, (4,4-difluoro-1-piperidinyl)[2-(6-methoxy-3-pyridinyl)-1-(2-pyridinyl)-1H-imidazol-4-yl]- (CA INDEX NAME)

$$\underset{M \in \mathcal{O}}{\overset{N}{ \longrightarrow}} \underset{N}{\overset{O}{ \longrightarrow}} \underset{N}{\overset{C}{ \longrightarrow}} \underset{N}{\overset{F}{ \longleftarrow}} F$$

RN 787563-05-7 CAPLUS

CN Methanone, (4-fluoro-1-piperidinyl)[2-(6-methoxy-3-pyridinyl)-1-(2-pyridinyl)-1H-imidazol-4-yl]- (CA INDEX NAME)

RN 787563-06-8 CAPLUS

CN Methanone, (4-methoxy-1-piperidinyl)[2-(6-methoxy-3-pyridinyl)-1-(2-pyridinyl)-1H-imidazol-4-yl]- (CA INDEX NAME)

RN 787563-07-9 CAPLUS

CN Methanone, [1-(6-methoxy-3-pyridinyl)-5-(2-pyridinyl)-1H-1,2,4-triazol-3-yl](4-methyl-1-piperazinyl)- (CA INDEX NAME)

RN 787563-08-0 CAPLUS

CN 3-Pyridinecarbonitrile, 6-[3-[(4,4-difluoro-1-piperidinyl)carbonyl]-1-(6-methoxy-3-pyridinyl)-1H-1,2,4-triazol-5-yl]- (CA INDEX NAME)

RN 787563-09-1 CAPLUS

CN Methanone, [2-(6-methoxy-3-pyridinyl)-1-(2-pyridinyl)-1H-imidazol-4-yl](4-methyl-1-piperazinyl)- (CA INDEX NAME)

RN 787563-10-4 CAPLUS

CN Methanone, [(3S)-3-fluoro-1-pyrrolidinyl][2-(6-methoxy-3-pyridinyl)-1-(2-pyridinyl)-1H-imidazol-4-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 787563-11-5 CAPLUS

CN Methanone, [4-(fluoromethyl)-1-piperidinyl][2-(6-methoxy-3-pyridinyl)-1-(2-pyridinyl)-1H-imidazol-4-yl]- (CA INDEX NAME)

RN 787563-12-6 CAPLUS

CN Methanone, (4,4-difluoro-1-piperidinyl)[5-(5-fluoro-2-pyridinyl)-1-(6-methoxy-3-pyridinyl)-1H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

$$\underset{\text{MeO}}{\overset{\text{N}}{\longrightarrow}}\underset{\text{N}}{\overset{\text{N}}{\longrightarrow}}\underset{\text{N}}{\overset{\text{O}}{\longrightarrow}}\underset{\text{N}}{\overset{\text{N}}{\longrightarrow}}\underset{\text{N}}{\overset{\text{O}}{\longrightarrow}}\underset{\text{N}}{\overset{\text{N}}{\longrightarrow}}\underset{\text{N}}{\overset{\text{O}}{\longrightarrow}}\underset{\text{N}}{\overset{\text{N}}{\longrightarrow}}\underset{\text{N}}{\overset{N}}{\overset{\text{N}}{\longrightarrow}}\underset{\text{N}}{\overset{N}}{\overset{N}}{\overset{N}}{\overset{N}}{\overset{N}}\overset{\text{N}}{\overset{N}}\overset{\text{N}}{\overset{N}}\overset{\text{N}}{\overset{N}}\overset{\text$$

RN 787563-13-7 CAPLUS

CN Methanone, [(3R)-3-fluoro-1-piperidinyl][2-(6-methoxy-3-pyridinyl)-1-(2-pyridinyl)-1H-imidazol-4-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 787563-14-8 CAPLUS

CN Methanone, [5-(5-fluoro-2-pyridinyl)-1-(6-methoxy-3-pyridinyl)-1H-1,2,4-triazol-3-yl](4-methyl-1-piperazinyl)- (CA INDEX NAME)

RN 787563-15-9 CAPLUS

CN Methanone, (4,4-difluoro-1-piperidinyl)[1-(6-methoxy-3-pyridinyl)-5-(5-methyl-2-pyridinyl)-1H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

RN 787563-16-0 CAPLUS

CN Methanone, (4-methoxy-1-piperidinyl)[1-(6-methoxy-3-pyridinyl)-5-(5-methyl-2-pyridinyl)-1H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

RN 787563-17-1 CAPLUS

CN Methanone, [5-(5-chloro-2-pyridinyl)-1-(6-methoxy-3-pyridinyl)-1H-1,2,4-triazol-3-yl](4,4-difluoro-1-piperidinyl)- (CA INDEX NAME)

RN 787563-18-2 CAPLUS

CN Methanone, [(2S)-2-(fluoromethyl)-1-pyrrolidinyl][2-(6-methoxy-3-pyridinyl)-1-(2-pyridinyl)-1H-imidazol-4-yl]- (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 24 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2004:790826 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 142:219202

TITLE: Bioisosteric Replacements of the Pyrazole Moiety of

Rimonabant: Synthesis, Biological Properties, and Molecular Modeling Investigations of Thiazoles,

Triazoles, and Imidazoles as Potent and Selective CB1

Cannabinoid Receptor Antagonists

AUTHOR(S): Lange, Jos H. M.; van Stuivenberg, Herman H.; Coolen,

Hein K. A. C.; Adolfs, Tiny J. P.; McCreary, Andrew C.; Keizer, Hiskias G.; Wals, Henri C.; Veerman,

Willem; Borst, Alice J. M.; de Looff, Wouter; Verveer,

Peter C.; Kruse, Chris G.

CORPORATE SOURCE: Research Laboratories, Solvay Pharmaceuticals, Weesp,

1381 CP, Neth.

SOURCE: Journal of Medicinal Chemistry (2005), 48(6),

1823-1838

Ι

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 142:219202

GΙ

Series of thiazoles, triazoles, and imidazoles were designed as bioisosteres, based on the 1,5-diarylpyrazole motif that is present in the potent CB1 receptor antagonist rimonabant. A number of target compds. were synthesized and evaluated in cannabinoid (hCB1 and hCB2) receptor assays. The thiazoles, triazoles, and imidazoles elicited in vitro CB1 antagonistic activities and in general exhibited considerable CB1 vs CB2 receptor subtype selectivities, thereby demonstrating to be cannabinoid bioisosteres of the original diarylpyrazole class. Some key representatives in the imidazole series showed potent pharmacol. in vivo activities after oral administration in both a CB agonist-induced hypotension model and a CB agonist-induced hypothermia model. Mol. modeling studies showed a close three-dimensional structural overlap between the imidazole I and rimonabant. A structure-activity relationship (SAR) study revealed a close correlation between the biol. results in the imidazole and pyrazole series.

IT 796875-33-7P 796875-35-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of imidazole, thiazole, and triazole analogs of rimonabant as potent and selective CB1 cannabinoid receptor antagonists)

RN 796875-33-7 CAPLUS

CN Methanone, [1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-5-methyl-1H-imidazol-4-yl](4-hydroxy-1-piperidinyl)- (CA INDEX NAME)

RN 796875-35-9 CAPLUS

CN Methanone, [1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-5-methyl-1H-imidazol-4-yl](3,4-dihydro-2(1H)-isoquinolinyl)- (CA INDEX NAME)

REFERENCE COUNT: 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 25 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2004:633918 CAPLUS Full-text

DOCUMENT NUMBER: 141:174163

TITLE: Oxazole derivatives as inhibitors of cyclooxygenase,

especially COX-I, and their preparation,

pharmaceutical compositions, medicaments, and use as

analgesics, etc.

INVENTOR(S): Yamamoto, Hirofumi; Ishida, Junya; Tanabe, Daisuke;

Satoh, Shigeki; Sawada, Yuki; Ohkawa, Takehiko;

Imamura, Kenichiro; Nakamura, Katsuya

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 257 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	TENT	NO.			KIN	D	DATE			DATE							
						_											
WO	2004	0653	74		A1		2004	0805	,	WO 2	004-		20040116				
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	ВG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NΙ
CA	2513	295			A1		2004	0805	1	CA 2	004-		20040116				
US	2004	0157	891		A1		2004	0812		US 2	004-		20040116				

EP	1583	749			A1		2005	1012		EΡ	200		20040116							
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	R, I	Γ,]	LI,	LU,	NL,	SE,	MC,	PT,		
		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	ΑL	, TI	R, I	BG,	CZ,	EE,	HU,	SK			
JP	2006	5175	35		Τ	:	20060727 JP 2006-500393									20040116				
CN	1835	934			Α		2006	0920		CN	200	4-80	0002	2095		2	0040	116		
МX	2005	PA07	463		Α		2006	0614		MΧ	200	5-PA	A746	53		2	0050	711		
IN	2005	CN01	899		Α		2007	0706		ΙN	200	5-C1	N189	99		2	0050	811		
PRIORITY	Y APP	LN.	INFO	.:						AU	2003	3-90	0020	7		A 2	0030	117		
										AU	2003	3-90	018	73		A 2	0030	331		
										WO	200	4-JI	P339	9	,	W 2	0040	116		

OTHER SOURCE(S): MARPAT 141:174163

GΙ

$$R^5-R^4-(X)n-R^3$$

$$R^2-X^4-(X)n-R^3$$

$$R^2-X^4-(X)n-R^3$$

$$\mathbb{R}^{0}$$
 \mathbb{C}^{0} \mathbb{C}^{0} \mathbb{C}^{0} \mathbb{C}^{0} \mathbb{C}^{0} \mathbb{C}^{0} \mathbb{C}^{0} \mathbb{C}^{0} \mathbb{C}^{0}

Title compds. I are disclosed [wherein: R1 is H, (un)substituted alk(en/yn)yl, AΒ (hetero)aryl, (hetero)cycloalkyl, (un)substituted alk(en/yn)yloxy, (un) substituted amino, (un) substituted carbamoyl, cyano, carboxy, OH, SH, halo, etc.; R2 is alkyl, heterocyclyl, alkoxy, cyano; R3, R4 is alkylene, alkenylene, bond; R5 is H, alkyl, (hetero)aryl, alkoxy, acyloxy, OH or derivs., cyano, azido, (un) substituted amino, etc.; X is O, S, SO, or SO2; Y is CH or N; n is 0 or 1; or pharmaceutically acceptable salts thereof]. Compds. I have cyclooxygenase (COX) inhibitory activity, especially against the isoenzyme COX-I. Claimed uses include treatment and prevention of inflammation, pain, collagen diseases, autoimmune diseases, various immunity diseases, thrombosis, cancer, and neurodegenerative diseases, in humans and animals. Over 300 compds. I were prepared in examples, as well as many acyclic intermediates to the oxazole nucleus. Many compds. I were also used as intermediates to other compds. I. Thus, 1-[4-(benzyloxy)phenyl]-2-(4methoxyphenyl)ethanone underwent a sequence of α -bromination, substitution of bromo by potassium phthalimide, hydrazinolysis of the imide, amidation of the resultant amine with CHF2CO2H, and cyclocondensation using PPh3, I2, and Et3N, to give invention compound II [R = PhCH2]. This compound underwent a sequence of debenzylation, etherification with BrCH2CO2Et, and ester reduction with LiAlH4, to give invention compound II [R = HOCH2CH2] (III), a preferred compound In an adjuvant arthritis test in rats, III had an ED of 3.2 mg/kg.

In human whole blood assays, III had IC50 values of < 0.01 μM for COX-I and >0.1 µM for COX-II, showing selectivity for the former.

ΤТ 735266-75-8P, 1-[[5-[4-(Benzyloxy)phenyl]-4-(4-methoxyphenyl)-1,3oxazol-2-yl]carbonyl]piperidine 735266-76-9P, 4-[4-(4-Methoxyphenyl)-2-(1-piperidinylcarbonyl)-1,3-oxazol-5-yl]phenol735267-21-7P, 5-[5-[4-(Benzyloxy)phenyl]-2-(1-piperidinylcarbonyl)-1,3-oxazol-4-yl]-2-methoxypyridine 735267-22-8P, 4-[4-(6-Methoxy-3-pyridinyl)-2-(1-piperidinylcarbonyl)-1,3-oxazol-5yl]phenol 735267-24-0P, tert-Butyl [2-[4-[4-(6-methoxy-3pyridinyl)-2-(1-piperidinylcarbonyl)-1,3-oxazol-5yl]phenoxy]ethyl]carbamate 735267-41-1P, [2-[4-[4-(6-Methoxy-3-(4-1)]]pyridinyl)-2-(1-piperidinylcarbonyl)-1,3-oxazol-5-yl]phenoxy]ethyl]amine hydrochloride 735267-59-1P, tert-Butyl [2-[4-[4-(4methoxyphenyl)-2-(1-piperidinylcarbonyl)-1,3-oxazol-5vl]phenoxylethyl]carbamate 735267-60-4P, [2-[4-[4-(4-Methoxyphenyl)-2-(1-piperidinylcarbonyl)-1,3-oxazol-5yl]phenoxy]ethyl]amine hydrochloride RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (drug candidate and intermediate; preparation of diaryl-substituted oxazole derivs. as selective COX-I inhibitors for use as analgesics)

735266-75-8 CAPLUS

RN

CN Methanone, [4-(4-methoxyphenyl)-5-[4-(phenylmethoxy)phenyl]-2-oxazolyl]-1piperidinyl- (CA INDEX NAME)

RN 735266-76-9 CAPLUS

Methanone, [5-(4-hydroxyphenyl)-4-(4-methoxyphenyl)-2-oxazolyl]-1-CN piperidinyl- (CA INDEX NAME)

735267-21-7 CAPLUS RN

Methanone, [4-(6-methoxy-3-pyridinyl)-5-[4-(phenylmethoxy)phenyl]-2-CN oxazolyl]-1-piperidinyl- (CA INDEX NAME)

RN 735267-22-8 CAPLUS

CN Methanone, [5-(4-hydroxyphenyl)-4-(6-methoxy-3-pyridinyl)-2-oxazolyl]-1-piperidinyl- (CA INDEX NAME)

RN 735267-24-0 CAPLUS

CN Carbamic acid, [2-[4-[4-(6-methoxy-3-pyridinyl)-2-(1-piperidinylcarbonyl)-5-oxazolyl]phenoxy]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 735267-41-1 CAPLUS

CN Methanone, [5-[4-(2-aminoethoxy)phenyl]-4-(6-methoxy-3-pyridinyl)-2-oxazolyl]-1-piperidinyl-, hydrochloride (1:?) (CA INDEX NAME)

●x HCl

RN 735267-59-1 CAPLUS

CN Carbamic acid, [2-[4-[4-(4-methoxyphenyl)-2-(1-piperidinylcarbonyl)-5-oxazolyl]phenoxy]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 735267-60-4 CAPLUS

CN Methanone, [5-[4-(2-aminoethoxy)pheny1]-4-(4-methoxypheny1)-2-oxazoly1]-1-piperidiny1-, hydrochloride (1:?) (CA INDEX NAME)

●x HCl

IT 735266-77-0P, 2-[4-[4-(4-Methoxyphenyl)-2-(1-piperidinylcarbonyl)-1,3-oxazol-5-yl]phenoxy]ethanol <math>735267-23-9P, 2-[4-[4-(6-Methoxy-3-pyridinyl)-2-(1-piperidinylcarbonyl)-1,3-oxazol-5-yl]phenoxy]ethanol <math>735267-42-2P, N-[2-[4-[4-(6-Methoxy-3-pyridinyl)-2-(1-piperidinylcarbonyl)-1,3-oxazol-5-pyridinyl)-2-(1-piperidinylcarbonyl)-1,3-oxazol-5-

yl]phenoxy]ethyl]methanesulfonamide 735267-43-3P,
N-[2-[4-[4-(6-Methoxy-3-pyridinyl)-2-(1-piperidinylcarbonyl)-1,3-oxazol-5yl]phenoxy]ethyl]urea 735267-61-5P, N-[2-[4-[4-(4-Methoxyphenyl)2-(1-piperidinylcarbonyl)-1,3-oxazol-5-yl]phenoxy]ethyl]urea
735267-62-6P, N-[2-[4-[4-(4-Methoxyphenyl)-2-(1piperidinylcarbonyl)-1,3-oxazol-5-yl]phenoxy]ethyl]methanesulfonamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
 (drug candidate; preparation of diaryl-substituted oxazole derivs. as selective COX-I inhibitors for use as analgesics)

RN 735266-77-0 CAPLUS

Methanone, [5-[4-(2-hydroxyethoxy)phenyl]-4-(4-methoxyphenyl)-2-oxazolyl]-1-piperidinyl- (CA INDEX NAME)

RN 735267-23-9 CAPLUS

CN Methanone, [5-[4-(2-hydroxyethoxy)phenyl]-4-(6-methoxy-3-pyridinyl)-2-oxazolyl]-1-piperidinyl- (CA INDEX NAME)

735267-42-2 CAPLUS

RN

CN Methanesulfonamide, N-[2-[4-[4-(6-methoxy-3-pyridinyl)-2-(1-piperidinylcarbonyl)-5-oxazolyl]phenoxy]ethyl]- (CA INDEX NAME)

RN 735267-43-3 CAPLUS

CN Urea, N-[2-[4-[4-(6-methoxy-3-pyridinyl)-2-(1-piperidinylcarbonyl)-5-oxazolyl]phenoxy]ethyl]- (CA INDEX NAME)

RN 735267-61-5 CAPLUS

CN Urea, N-[2-[4-[4-(4-methoxyphenyl)-2-(1-piperidinylcarbonyl)-5-oxazolyl]phenoxy]ethyl]- (CA INDEX NAME)

RN 735267-62-6 CAPLUS

CN Methanesulfonamide, N-[2-[4-[4-(4-methoxyphenyl)-2-(1-piperidinylcarbonyl)-5-oxazolyl]phenoxy]ethyl]- (CA INDEX NAME)

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 26 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2004:589415 CAPLUS Full-text

DOCUMENT NUMBER: 141:140441

TITLE: Preparation of imidazole and triazole derivatives

useful as selective COX-1 inhibitors

INVENTOR(S): Takahashi, Fumie; Nakagawa, Toshiya; Matsushima, Yuji;

Nakamura, Katsuya

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 211 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

	PAT	CENT 1	NO.			KIND DATE				-	APPL	ICAT	ION :	DATE					
	WO	2004060367					A1 20040722				WO 2	003-	 JP15	921	20031212				
		W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KR,	KΖ,	LC,	LK,	LR,	LS,	
			LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,	PG,	
			PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	ТJ,	TM,	TN,	TR,	
			TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW					
		RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	
			BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	
			ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,	ΙT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	
			TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML ,	MR,	NE,	SN,	TD,	ΤG
	ΑU	2003	2887	46		A1		2004	0729		AU 2	003-	2887	20031212					
PRIOR	RITY	APP:	LN.	INFO	.:						AU 2	002-	9536	02		A 2	0021	230	
											AU 2	003-	9018	04		A 20030415			
											AU 2	003-	9039	28		A 2	0030	728	
										,	WO 2	003-	JP15	921	1	W 2	0031	212	
OTHER	R SC	URCE	(S):			MAR:	PAT	141:	1404	41									

OTHER SOURCE(S):

GΙ

AB Imidazole and triazole derivs. were prepared for use as selective COX-1 inhibitors for treatment and/or prevention of inflammatory conditions, various pains, collagen diseases, autoimmune diseases, thrombosis, cancer or neurodegenerative diseases. Thus, 4-PhCH2OCH2CH2C6H4NH2 was treated with 4-MeOC6H4CN to give 4-PhCH2OCH2CH2C6H4NHC(:NH)C6H4OMe-4 which was cyclized with BrCH2COCF3 and debenzylated to give the imidazole I. I had IC50 for COX-1 inhibition of < 0.01 and an analgesic coefficient relative to controls of > 1.5.

IT 726194-47-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

Т

(preparation of imidazole and triazole derivs. useful as selective COX-1 inhibitors)

RN 726194-47-4 CAPLUS

CN Methanone, [1-[4-(2-hydroxyethoxy)phenyl]-2-(4-methoxyphenyl)-1H-imidazol-4-yl]-1-piperidinyl- (CA INDEX NAME)

IT 726196-57-2P 726196-58-3P 726197-06-4P 726197-20-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of imidazole and triazole derivs. useful as selective ${\tt COX-1}$ inhibitors)

RN 726196-57-2 CAPLUS

CN Methanone, [2-(4-methoxyphenyl)-1-[4-(phenylmethoxy)phenyl]-1H-imidazol-4-yl]-1-piperidinyl- (CA INDEX NAME)

RN 726196-58-3 CAPLUS

CN Methanone, [1-(4-hydroxyphenyl)-2-(4-methoxyphenyl)-1H-imidazol-4-yl]-1-piperidinyl- (CA INDEX NAME)

RN 726197-06-4 CAPLUS

CN Methanone, [5-(4-hydroxyphenyl)-1-(4-methoxyphenyl)-1H-1,2,4-triazol-3-yl]-1-piperidinyl- (CA INDEX NAME)

RN 726197-20-2 CAPLUS

CN Methanone, [1-(4-methoxyphenyl)-5-[4-(phenylmethoxy)phenyl]-1H-1,2,4-triazol-3-yl]-1-piperidinyl- (CA INDEX NAME)

IT 726195-26-2P 726195-46-6P 726195-54-6P 726195-64-8P 726195-74-0P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of imidazole and triazole derivs. useful as selective COX-1 inhibitors)

RN 726195-26-2 CAPLUS

CN Methanone, [5-[4-(2-hydroxyethoxy)phenyl]-1-(4-methoxyphenyl)-1H-1,2,4-triazol-3-yl]-1-piperidinyl- (CA INDEX NAME)

RN 726195-46-6 CAPLUS

CN Carbamic acid, [2-[4-[1-(4-methoxyphenyl)-3-(1-piperidinylcarbonyl)-1H-1,2,4-triazol-5-yl]phenoxy]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 726195-54-6 CAPLUS

CN Urea, N-[2-[4-[1-(4-methoxyphenyl)-3-(1-piperidinylcarbonyl)-1H-1,2,4-triazol-5-yl]phenoxy]ethyl]- (CA INDEX NAME)

$$\begin{array}{c} \text{MeO} \\ \\ \text{N} \\ \\ \text{H}_{2}\text{N} \\ \\ \text{C} \\ \\ \text{N} \\ \\ \text{N} \\ \\ \text{C} \\ \\ \text{C}$$

RN 726195-64-8 CAPLUS

CN Methanesulfonamide, N-[2-[4-[1-(4-methoxyphenyl)-3-(1-piperidinylcarbonyl)-1H-1,2,4-triazol-5-yl]phenoxy]ethyl]- (CA INDEX NAME)

RN 726195-74-0 CAPLUS

CN Methanone, [5-[4-(2-aminoethoxy)phenyl]-1-(4-methoxyphenyl)-1H-1,2,4-triazol-3-yl]-1-piperidinyl-, hydrochloride (1:1) (CA INDEX NAME)

$$\begin{array}{c} \text{MeO} \\ \\ \text{N} \\ \\ \text{N} \\ \\ \text{CH}_2\text{N-CH}_2\text{-CH}_2\text{-O} \\ \end{array}$$

● HCl

L3 ANSWER 27 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2004:272442 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 140:303680

TITLE: Preparation of 1H-1,2,4-triazole-3-carboxamides as

cannabinoid-CB1 receptor ligands

INVENTOR(S): Lange, Josephus H. m.; Kruse, Cornelis G.; McCreary,

Andrew C.; Van Stuivenberg, Herman H. Solvay Pharmaceuticals B.V., Neth.

PATENT ASSIGNEE(S): Solvay Pharmaceuticals SOURCE: PCT Int. Appl., 20 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA:	TENT				KIND DATE				APP	LICAT									
WO										wo			20030917						
	W: AE, AG, AL,		AM,	ΑT,	ΑU,	ΑZ,	BA,	BB	BG,	BR,	BY,	BZ,	CA,	CH,	CN,				
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC	EE,	EG,	ES,	FI,	GB,	GD,	GE,		
		GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP	, KE,	KG,	KP,	KR,	KΖ,	LC,	LK,		
		LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK	MN,	MW,	MX,	MZ,	NI,	NO,	NΖ,		
		OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD	, SE,	SG,	SK,	SL,	SY,	ТJ,	TM,		
		TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC	, VN,	YU,	ZA,	ZM,	ZW				
	RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ	TZ,	UG,	ZM,	ZW,	ΑM,	AZ,	BY,		
		KG,	KΖ,	MD,	RU,	ΤJ,	TM,	ΑT,	BE,	BG	СН,	CY,	CZ,	DE,	DK,	EE,	ES,		
		FI,	FR,	GB,	GR,	HU,	ΙE,	ΙΤ,	LU,	MC	, NL,	PT,	RO,	SE,	SI,	SK,	TR,		
		BF,	ΒJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ	, GW,	$ ext{ML}$,	MR,	ΝE,	SN,	TD,	ΤG		
EP										EP 2002-789									
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	t, IT,	LI,	LU,	NL,	SE,	MC,	PT,		
		ΙE,	SI,	LT,	LV,						, TR,								
US	2004	0106	614		A1					US	2003-	6624	77		2	0030	916		
	7319						2008												
	2491									CA	2003-	2491	394		2	0030	917		
AU	2003	2990					2004	0408		AU	2003-	2990	24		2	0030	917		
AU	2003	2990			В2		2008												
	2003		20		A A1						2003-								
EP	1542	678			A1		2005	0622		ΕP	2003-		20030917						
	R:										I, IT,						PT,		
		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL	, TR,	BG,	CZ,	EE,	ΗU,	SK			
	2006						2006				2004-					0030			
RU	2325	382			C2		2008	0527		RU	2005-	1032	44		2	0030	917		
IN	2004	CN03	228		А		2006	0303		ΙN	2004-	CN32	28		2	0041	213		
ZA	2005	0001	33		А		2005	1101		ZA	2004- 2005-	133			2	0050	106		
MX	2005	PA02	862		А		2005	0527		MX 2005-PA2862					2	0050	315		
							2005								20050418				
	1078				A1		2007	1012			2005-								
IORIT	Y APP	LN.	INFO	.:						EP 2002-78966 WO 2003-EP50628					A 2	0020 0030			
und o					MATE	ייי ע כי	140.	2026		MO	2005-		0 2 0		v	0050	ノエノ		

OTHER SOURCE(S): MARPAT 140:303680

GI

AB The title compds. [I; R, R1 = Ph, naphthyl, thienyl, pyridyl, etc.; R2 = H, alkyl, cycloalkylalkyl, Ph, etc.; R3 = alkyl, alkoxy, cycloalkyl, etc.; or NR2R3 = (un)saturated monocyclic or bicyclic heterocyclyl] which are potent cannabinoid-CB1 receptor agonists, partial agonists, inverse agonists or antagonists, useful for the treatment of disorders involving cannabinoid neurotransmission, were prepared E.g., a 4-step synthesis of 5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-N-(piperidin-1-yl)-1H-1,2,4-triazole-3-carboxamide hydrochloride, starting from di-Me aminomalonate.HCl and 4-chlorobenzoyl chloride, was given. The compds. I were tested for in vitro affinity and in vitro antagonism at human cannabinoid-CB1 receptors. The biol. data were given for representative compds. I. The pharmaceutical composition comprising the compound I is claimed.

IT 676457-12-8P 676457-31-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 1H-1,2,4-triazole-3-carboxamides as cannabinoid-CB1 receptor ligands)

RN 676457-12-8 CAPLUS

CN Methanone, [5-(2,4-dichlorophenyl)-1-[4-(trifluoromethyl)phenyl]-1H-1,2,4-triazol-3-yl]-1-piperidinyl- (CA INDEX NAME)

RN 676457-31-1 CAPLUS

CN Methanone, [1,4'-bipiperidin]-1'-yl[1-(4-chlorophenyl)-5-(2,4-dichlorophenyl)-1H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

$$\begin{array}{c} C1 \\ \\ C1 \\ \\ C1 \\ \\ \end{array}$$

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 28 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2004:153570 CAPLUS <u>Full-text</u> DOCUMENT NUMBER: 140:391240

TITLE: Potent imidazole and triazole CB1 receptor antagonists

related to SR141716

AUTHOR(S): Dyck, Brian; Goodfellow, Val S.; Phillips, Teresa;

Grey, Jonathan; Haddach, Mustapha; Rowbottom, Martin;

Naeve, Gregory S.; Brown, Brock; Saunders, John

CORPORATE SOURCE: Departments of Medicinal Chemistry, Pharmacology and

Molecular Biology, Neurocrine Biosciences Inc., San

Diego, CA, 92121, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2004),

14(5), 1151-1154

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 140:391240

GΙ

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Diarylimidazolecarboxamides and diaryltriazolecarboxamides related to SR141716 were synthesized and tested for binding to the human CB1 receptor. Suitably substituted imidazoles are comparably potent to the clin. candidate, whereas the analogous triazoles are less so due to the absence of an addnl. substituent on the azole ring. Example compds. thus prepared and evaluated were derivs. of 5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-methyl-N-1-piperidinyl-1H-pyrazole-3-carboxamide (SR 141716) (I), such as 5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-N- (hexahydro-1H-azepin-1-yl)-1H-1,2,4-triazole-3-carboxamide (II) and 1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-N- (hexahydrocyclopenta[c]pyrrol- 2(1H)-yl)-5-methyl-1H-imidazole-4-carboxamide (III).

IT 683208-86-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of imidazolecarboxamides and triazolecarboxamides related to SR 141716 and study of their activity as cannabinoid CB1 receptor antagonists)

RN 683208-86-8 CAPLUS

CN Methanone, [5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-1H-1,2,4-triazol-3-yl][4-[3-(trifluoromethyl)phenyl]-1-piperazinyl]- (CA INDEX NAME)

L3 ANSWER 29 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2003:376829 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 138:385424

TITLE: Imidazole-4-carboxamide derivatives, and their

preparation and use for treatment of obesity Smith, Roger A.; O'Connor, Stephen J.; Wirtz, Stephan-Nicholas; Wong, Wai C.; Choi, Soongyu;

Kluender, Harold C. E.; Su, Ning; Wang, Gan; Achebe,

Furahi; Ying, Shihong

PATENT ASSIGNEE(S): Bayer Pharmaceuticals Corporation, USA

SOURCE: PCT Int. Appl., 225 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

INVENTOR(S):

PA	TENT	NO.			KIND DATE					APE	PLICA		DATE					
WO	2003	0401	07							WO	2002	-US30	545	200209			924	
	W: AE, AG, AL,		AM,	AT,	, AU, AZ,		BA, BE		B, BG	BG, BR,		BZ,	CA,	CH,	CN,			
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	ΕC	C, EE	ES,	FΙ,	GB,	GD,	GE,	GH,	
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE	E, KG	KP,	KR,	KΖ,	LC,	LK,	LR,	
	LS, LT, LU,		LV,	MA,	MD,	MG,	MK,	MN	1, MW	MX,	MZ,	NO,	NZ,	PH,	PL,			
		PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SI	J, TJ	TM,	TR,	TT,	TZ,	UA,	UG,	
		US,	UZ,	VN,	YU,	ZA,	ZW											
	RW:	GH,	GM,	KΕ,	LS,	MW,	MZ,	SD,	SL,	SZ	Z, TZ	UG,	ZM,	ZW,	AM,	AZ,	BY,	
		KG,	KΖ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BO	G, CH	CY,	CZ,	DE,	DK,	EE,	ES,	
		FI,	FR,	GB,	GR,	ΙE,	ΙΤ,	LU,	MC,	NI	L, PT	SE,	SK,	TR,	BF,	BJ,	CF,	
		CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MF	R, NE	SN,	TD,	ΤG				
	2459		A1								20020924							
	2002																	
US	2004									US	2002	-2550	49		2	20020	924	
US	6960	601					2005											
EP	1432691															20020		
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GF	R, IT	LI,	LU,	NL,	SE,	MC,	PT,	
		ΙE,	SI,	LT,	LV,		•		•		I, TR							
	2002						2004	0817		2002	-1298		2	20020	924			
							2005	0228		HU	2004	-2376		20020924				
	1599	724			Α								20020924					
	2005												20020924					
	5318						2005			NZ 2002-531841								
	1865				А		2006				2006							
	2004						2004				2004							
	2004		612		А		2007				2004					20040		
	2004		16		A A		2004			ИО	2004	-1216			2			
	2004		35		A		2005			ZA	2004	-3035			2	20040		
	2005		167		A1		2005	1117		US	2005	-1337	51		2	20050		
RIORIT	Y APP	LN.	INFO	.:						0.5	Z001.	-3244	/3P		P 2			
											2002							
										2002								
										WO	2002	-US30	545		W 2	20020	924	

OTHER SOURCE(S): MARPAT 138:385424

GΙ

AΒ The invention relates to imidazole derivs. I, which have been found to suppress appetite and induce weight loss [wherein: R1, R2 = alkyl, (un) substituted Ph, alkyl, naphthyl, benzyl, (un) saturated or aromatic heterocyclyl; R3 = H, alkyl, benzyl, C1, or Br; X = (a) CONR4R5 or (b)CONHSO2R10; (a) R4 = H or alkyl; R5 = (un)substituted alkyl, bicycloalkyl, benzyl, phenethyl, piperidinyl or pyrrolidinyl, NR6R7, etc.; or NR4R5 = (un) substituted (un) saturated heterocyclyl; R6 = H or alkyl; R7 = alkyl or (un) substituted Ph; or NR6R7 = (un) substituted (un) saturated heterocyclyl; or (b) R10 = (un) substituted alkyl, benzocyclohexyl, or benzocyclopentyl; including pharmaceutical salts and esters]. The invention also provides methods for synthesis of the compds., pharmaceutical compns. comprising them, and methods of using such compns. for inducing weight loss and treating obesity and obesity-related disorders. Such disorders include dyslipidemia, hypertriglyceridemia, hypertension, diabetes, syndrome X, atherosclerotic disease, cardiovascular disease, cerebrovascular disease, peripheral vessel disease, cholesterol gallstones, cancer, menstrual abnormalities, infertility, polycystic ovaries, osteoarthritis, and sleep apnea. I are also claimed for use in regulating appetite, treating bulimia, treating CNS disorders, treating cognition and memory disorders, and treating substance or behavioral addiction. I may also be administered or formed into pharmaceutical compns. in combination with other agents for similar treatments, e.g., antiobesity agents, hypolipidemics, and antihypertensives. Approx. 50 synthetic examples of both invention compds. and intermediates are given, and several tables of compds. I (480 total compds.) are provided. For instance, 2-chloro-N-(4chlorophenyl) benzenecarboximidamide was cyclized with Et 3-bromo-2oxopentanoate in the presence of K2CO3 to give an imidazole-4-carboxylate ester, which reacted with 1-aminopiperidine in the presence of AlMe3 to give title compound II. In the fasted-refed acute feeding assay in rats, invention compound III at 10 mg/kg orally reduced food consumption by 31-53% vs. control.

IT 527368-74-7P 527368-79-2P 527368-89-4P 527380-29-6P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of imidazolecarboxamide derivs. as antiobesity agents)

RN 527368-74-7 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl]-4-

RN 527368-79-2 CAPLUS

CN 4-Piperidinone, 1-[[2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl]carbonyl]- (CA INDEX NAME)

RN 527368-89-4 CAPLUS

CN 4-Piperidinone, 1-[[2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-ethyl-1H-imidazol-4-yl]carbonyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RN 527380-29-6 CAPLUS

CN Methanone, [1-(4-chlorophenyl)-2-(2-methylphenyl)-1H-imidazol-4-yl](4-hydroxy-4-phenyl-1-piperidinyl)- (CA INDEX NAME)

```
ΙT
    527368-13-4P 527368-24-7P 527368-29-2P
    527368-32-7P 527368-37-2P 527368-42-9P
    527368-46-3P 527368-51-0P 527368-61-2P
    527368-84-9P 527368-98-5P 527369-08-0P
    527369-13-7P 527371-67-1P 527371-72-8P
    527371-76-2P 527371-81-9P 527371-87-5P
    527371-91-1P 527371-96-6P 527372-01-6P
    527372-06-1P 527372-11-8P 527372-16-3P
    527372-21-0P 527372-26-5P 527372-32-3P
    527372-35-6P 527372-41-4P 527372-46-9P
    527372-49-2P 527372-54-9P 527372-59-4P
    527372-63-0P 527372-68-5P 527372-73-2P
    527372-77-6P 527372-82-3P 527372-87-8P
    527372-92-5P 527372-97-0P 527373-02-0P
    527373-06-4P 527373-11-1P 527373-16-6P
    527373-20-2P 527373-26-8P 527373-32-6P
    527373-36-0P 527373-41-7P 527373-47-3P
    527373-52-0P 527373-57-5P 527375-32-2P
    527375-37-7P 527375-42-4P 527377-14-6P
    527377-19-1P 527377-25-9P 527377-30-6P
    527377-34-0P 527377-39-5P 527377-44-2P
    527377-49-7P 527377-54-4P 527377-59-9P
    527377-63-5P 527377-68-0P 527377-73-7P
    527377-78-2P 527377-83-9P 527377-87-3P
    527377-92-0P 527377-97-5P 527378-02-5P
    527378-07-0P 527378-12-7P 527378-18-3P
    527378-22-9P 527378-27-4P 527378-32-1P
    527378-36-5P 527378-40-1P 527378-44-5P
    527378-48-9P 527378-52-5P 527378-56-9P
    527378-60-5P 527378-68-3P 527378-73-0P
    527378-78-5P 527378-83-2P 527378-88-7P
    527378-93-4P 527378-98-9P 527379-04-0P
     527379-08-4P 527379-13-1P 527379-18-6P
    527379-22-2P 527379-27-7P 527379-32-4P
    527379-37-9P 527379-42-6P 527379-48-2P
    527379-52-8P 527379-58-4P 527379-63-1P
    527379-67-5P 527379-70-0P 527379-75-5P
    527379-80-2P 527379-85-7P 527379-90-4P
    527379-95-9P 527380-00-3P 527380-05-8P
    527380-09-2P 527380-14-9P 527380-19-4P
    527380-24-1P 527380-34-3P 527380-38-7P
    527380-43-4P 527380-48-9P 527380-53-6P
    527380-58-1P 527384-14-1P
```

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of imidazolecarboxamide derivs. as antiobesity agents)

RN 527368-13-4 CAPLUS

CN Methanone, [2-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-1H-imidazol-4-yl]-1-piperidinyl- (CA INDEX NAME)

RN 527368-24-7 CAPLUS

CN Methanone, [2-(2,4-dichlorophenyl)-1-(4-methylphenyl)-1H-imidazol-4-yl]-1-piperidinyl- (CA INDEX NAME)

RN 527368-29-2 CAPLUS

CN Methanone, [2-(2,4-dichlorophenyl)-1-(4-methoxyphenyl)-1H-imidazol-4-yl](3,6-dihydro-4-phenyl-1(2H)-pyridinyl)- (CA INDEX NAME)

RN 527368-32-7 CAPLUS

CN Methanone, [1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-1H-imidazol-4-yl](3,6-dihydro-4-phenyl-1(2H)-pyridinyl)- (CA INDEX NAME)

RN 527368-37-2 CAPLUS

CN Methanone, [1-(4-chlorophenyl)-2-(2-methylphenyl)-1H-imidazol-4-yl](3,6-dihydro-4-phenyl-1(2H)-pyridinyl)- (CA INDEX NAME)

RN 527368-42-9 CAPLUS

CN Methanone, [2-(2,4-dichlorophenyl)-1-(4-fluorophenyl)-1H-imidazol-4-yl](3,6-dihydro-4-phenyl-1(2H)-pyridinyl)- (CA INDEX NAME)

RN 527368-46-3 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl](3,6-dihydro-4-phenyl-1(2H)-pyridinyl)- (CA INDEX NAME)

RN 527368-51-0 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][3-(hydroxymethyl)-1-piperidinyl]- (CA INDEX NAME)

RN 527368-61-2 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][3-(diethylamino)-1-pyrrolidinyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 527368-84-9 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl](1-oxido-4-thiomorpholinyl)- (CA INDEX NAME)

RN 527368-98-5 CAPLUS

CN 4-Piperidinone, 1-[[2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-propyl-1H-imidazol-4-yl]carbonyl]- (CA INDEX NAME)

RN 527369-08-0 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(2,4-difluorophenyl)-1H-imidazol-4-yl]-1-piperidinyl- (CA INDEX NAME)

RN 527369-13-7 CAPLUS

CN Methanone, $[2-(2-\text{chlorophenyl})-5-\text{ethyl}-1-[4-(1-\text{methylethyl})\,\text{phenyl}]-1\text{H-imidazol}-4-yl]-1-piperidinyl- (CA INDEX NAME)$

RN 527371-67-1 CAPLUS

CN Methanone, [1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-1H-imidazol-4-yl][4-(2,3-dimethylphenyl)-1-piperazinyl]- (CA INDEX NAME)

RN 527371-72-8 CAPLUS

CN Methanone, [1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-1H-imidazol-4-yl][4-(2,4-difluorophenyl)-1-piperazinyl]- (CA INDEX NAME)

$$\begin{array}{c} C1 \\ \\ \\ \\ \\ \\ \\ \\ \\ \end{array}$$

RN 527371-76-2 CAPLUS

CN Benzonitrile, 2-[4-[[1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]- (CA INDEX NAME)

RN 527371-81-9 CAPLUS

CN Methanone, [1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-1H-imidazol-4-yl][4-(2-phenylethyl)-1-piperazinyl]- (CA INDEX NAME)

RN 527371-87-5 CAPLUS

CN Methanone, [1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-1H-imidazol-4-yl][4-(2-pyridinyl)-1-piperazinyl]- (CA INDEX NAME)

RN 527371-91-1 CAPLUS

CN Methanone, [1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-1H-imidazol-4-yl][4-[3-(trifluoromethyl)phenyl]-1-piperazinyl]- (CA INDEX NAME)

RN 527371-96-6 CAPLUS

CN Methanone, [1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-1H-imidazol-4-yl][4-(3-methoxyphenyl)-1-piperazinyl]- (CA INDEX NAME)

RN 527372-01-6 CAPLUS

CN Methanone, [1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-1H-imidazol-4-yl][4-(4-chlorophenyl)-1-piperazinyl]- (CA INDEX NAME)

RN 527372-06-1 CAPLUS

CN Benzonitrile, 4-[4-[1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-1H-imidazol- 4-y1]carbonyl]-1-piperazinyl]- (CA INDEX NAME)

RN 527372-11-8 CAPLUS

CN Methanone, [1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-1H-imidazol-4-yl][4-(phenylmethyl)-1-piperazinyl]- (CA INDEX NAME)

RN 527372-16-3 CAPLUS

CN Methanone, [1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-1H-imidazol-4-yl](4-cyclohexyl-1-piperazinyl)-, hydrochloride (1:1) (CA INDEX NAME)

$$\begin{array}{c} C1 \\ \\ \\ C1 \\ \\ \\ C1 \\ \\ \end{array}$$

● HCl

RN 527372-21-0 CAPLUS

CN Methanone, [2-(2,4-dichlorophenyl)-1-(4-fluorophenyl)-1H-imidazol-4-yl][4-[4-(trifluoromethyl)phenyl]-1-piperazinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 527372-20-9 CMF C27 H20 C12 F4 N4 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 527372-26-5 CAPLUS

CN Methanone, [2-(2,4-dichlorophenyl)-1-(4-methoxyphenyl)-1H-imidazol-4-yl][4-(2-hydroxyphenyl)-1-piperazinyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 527372-32-3 CAPLUS

CN Methanone, [2-(2,4-dichlorophenyl)-1-(4-methoxyphenyl)-1H-imidazol-4-yl][4-(2-pyrazinyl)-1-piperazinyl]-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 527372-31-2

CMF C25 H22 C12 N6 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 527372-35-6 CAPLUS

CN Methanone, [2-(2,4-dichlorophenyl)-1-(4-methoxyphenyl)-1H-imidazol-4-yl][4-[3-(trifluoromethyl)phenyl]-1-piperazinyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 527372-41-4 CAPLUS

CN Methanone, [2-(2,4-dichlorophenyl)-1-(4-methoxyphenyl)-1H-imidazol-4-yl][4-(6-methyl-2-pyridinyl)-1-piperazinyl]-, hydrochloride (1:1) (CA INDEX

NAME)

● HCl

RN 527372-46-9 CAPLUS

CN Methanone, [2-(2,4-dichlorophenyl)-1-(4-methoxyphenyl)-1H-imidazol-4-yl][4-(trifluoromethyl)phenyl]-1-piperazinyl]- (CA INDEX NAME)

RN 527372-49-2 CAPLUS

CN Methanone, [2-(2,4-dichlorophenyl)-1-(4-methoxyphenyl)-1H-imidazol-4-yl][4-[4-(trifluoromethyl)phenyl]-1-piperazinyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 527372-54-9 CAPLUS

CN Methanone, [2-(2,4-dichlorophenyl)-1-(4-methoxyphenyl)-1H-imidazol-4-yl][4-(4-hydroxyphenyl)-1-piperazinyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 527372-59-4 CAPLUS

CN Methanone, [2-(2,4-dichlorophenyl)-1-(4-methoxyphenyl)-1H-imidazol-4-yl][4-(4-pyridinyl)-1-piperazinyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 527372-63-0 CAPLUS

CN Methanone, [2-(2,4-dichlorophenyl)-1-(4-methoxyphenyl)-1H-imidazol-4-yl][4-(4-pyridinylmethyl)-1-piperazinyl]-, hydrochloride (1:2) (CA INDEX NAME)

●2 HCl

RN 527372-68-5 CAPLUS

CN Benzonitrile, 4-[4-[1-(4-chloropheny1)-2-(2,5-dichloropheny1)-1H-imidazol- 4-y1]carbony1]-1-piperaziny1]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & N & CN \\ \hline \\ C1 & N & O & N & CN \\ \hline \\ C1 & N & O & N & CN \\ \hline \\ C1 & N & O & N & CN \\ \hline \\ C1 & N & O & N & CN \\ \hline \\ C1 & N & O & N & CN \\ \hline \\ C1 & N & O & N & CN \\ \hline \\ C2 & N & O & N & CN \\ \hline \\ C3 & N & O & N & CN \\ \hline \\ C4 & N & O & N & CN \\ \hline \\ C5 & N & O & N & CN \\ \hline \\ C6 & N & O & N & CN \\ \hline \\ C7 & N & O & N & CN \\ \hline \\ C8 & N & O & N & CN \\ \hline \\ C9 & N & O & N & CN \\ \hline \\ C1 & N & O & N & CN \\ \hline \\ C1 & N & O & N & CN \\ \hline \\ C1 & N & O & N & CN \\ \hline \\ C1 & N & O & N & CN \\ \hline \\ C2 & N & O & N & CN \\ \hline \\ C3 & N & O & N & CN \\ \hline \\ C4 & N & O & N \\ \hline \\ C5 & N & O & N \\ \hline \\ C6 & N & O & N \\ \hline \\ C6 & N & O & N \\ \hline \\ C6 & N & O & N \\ \hline \\ C6 & N & O & N \\ \hline \\ C6 & N & O & N \\ \hline \\ C6 & N & O & N \\ \hline \\ C6 & N & O & N \\ \hline \\ C6 & N & O & N \\ \hline \\ C6 & N & O & N \\ \hline \\ C6 & N & O & N \\ \hline \\ C6 & N & N \\ \hline \\ C7 & N \\ \hline$$

RN 527372-73-2 CAPLUS

CN Methanone, [1-(4-chlorophenyl)-2-[2-(trifluoromethyl)phenyl]-1H-imidazol-4-yl][4-[3-(trifluoromethyl)phenyl]-1-piperazinyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 527372-77-6 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-(2,4-chlorophenyl)]

difluorophenyl)-1-piperazinyl]-, hydrochloride (1:1) (CA INDEX NAME)

$$\begin{array}{c}
C1 \\
N \\
C1
\end{array}$$

● HCl

RN 527372-82-3 CAPLUS

CN Benzonitrile, 2-[4-[[2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 527372-87-8 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-(2-hydroxyphenyl)-1-piperazinyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 527372-92-5 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-(2-hydroxyethyl)-1-piperazinyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 527372-97-0 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-(2-pyridinyl)-1-piperazinyl]-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

RN 527373-02-0 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-[3-(trifluoromethyl)phenyl]-1-piperazinyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 527373-06-4 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-(3-chlorophenyl)-1-piperazinyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 527373-11-1 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-cyclopropyl-1H-imidazol-4-yl][4-[4-(trifluoromethyl)phenyl]-1-piperazinyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 527373-16-6 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-[4-(trifluoromethyl)phenyl]-1-piperazinyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 527373-20-2 CAPLUS

CN Benzonitrile, 4-[4-[[2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-cyclopropyl-1+-imidazol-4-yl]carbonyl]-1-piperazinyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 527373-26-8 CAPLUS

CN Benzonitrile, 4-[4-[[2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl]carbonyl]-1-piperazinyl]- (CA INDEX NAME)

RN 527373-32-6 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-[(4-fluorophenyl)methyl]hexahydro-1H-1,4-diazepin-1-yl]-, hydrochloride (1:1) (CA INDEX NAME)

$$\begin{array}{c|c} F & & & \\ \hline \\ CH2 & N & \\ \hline \\ C1 & \\ \hline \\ C1 & \\ \end{array}$$

● HCl

RN 527373-36-0 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-[(4-fluorophenyl)methyl]-1-piperazinyl]-, hydrochloride (1:1) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

● HCl

RN 527373-41-7 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-(4-hydroxyphenyl)-1-piperazinyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 527373-47-3 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl](4-cyclohexyl-1-piperazinyl)-, hydrochloride (1:1) (CA INDEX NAME)

HCl

RN 527373-52-0 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-methylphenyl)-1H-imidazol-4-yl][4-(2-hydroxyethyl)-1-piperazinyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 527373-57-5 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-5-methyl-1-(4-nitrophenyl)-1H-imidazol-4-yl][4-[3-(trifluoromethyl)phenyl]-1-piperazinyl]- (CA INDEX NAME)

RN 527375-32-2 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][(3S)-3,4-dihydro-3-(hydroxymethyl)-2(1H)-isoquinolinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 527375-37-7 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl](3-hydroxy-1-piperidinyl)- (CA INDEX NAME)

RN 527375-42-4 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 527377-14-6 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-(1,1-dioxidobenzo[b]thien-2-yl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527377-19-1 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-hydroxy-4-(2-thiazolyl)-1-piperidinyl]- (CA INDEX NAME)

RN 527377-25-9 CAPLUS

CN Methanone, [4-(2-benzofuranyl)-4-hydroxy-1-piperidinyl][2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl]- (CA INDEX NAME)

RN 527377-30-6 CAPLUS

CN Methanone, [4-(2-benzofuranyl)-4-hydroxy-1-piperidinyl][2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-ethyl-1H-imidazol-4-yl]- (CA INDEX NAME)

RN 527377-34-0 CAPLUS

CN Methanone, [4-(2-benzofurany1)-4-hydroxy-1-piperidiny1][2-(2-chloropheny1)-1-(4-chloropheny1)-5-propy1-1H-imidazol-4-y1]- (CA INDEX NAME)

RN 527377-39-5 CAPLUS

CN Methanone, (4-benzo[b]thien-2-yl-4-hydroxy-1-piperidinyl)[2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl]- (CA INDEX NAME)

RN 527377-44-2 CAPLUS

CN Methanone, (4-benzo[b]thien-2-yl-4-hydroxy-1-piperidinyl)[2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-propyl-1H-imidazol-4-yl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

RN 527377-49-7 CAPLUS

CN Methanone, (4-benzo[b]thien-2-yl-4-hydroxy-1-piperidinyl)[2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-ethyl-1H-imidazol-4-yl]- (CA INDEX NAME)

RN 527377-54-4 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl] [4-(2,3-dihydro-1,4-benzodioxin-6-yl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527377-59-9 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-(2,6-dimethyl-3-pyridinyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527377-63-5 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-(2,4-dimethoxyphenyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527377-68-0 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-(2,5-difluorophenyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & \\ & N & \\ \hline \end{array}$$

RN 527377-73-7 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-(2,5-dimethoxyphenyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527377-78-2 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-hydroxy-4-[2-(trifluoromethoxy)phenyl]-1-piperidinyl]- (CA INDEX NAME)

RN 527377-83-9 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-hydroxy-4-[2-(trifluoromethyl)phenyl]-1-piperidinyl]- (CA INDEX NAME)

RN 527377-87-3 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-(2-chlorophenyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527377-92-0 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-(2-fluorophenyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527377-97-5 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-(2-furanyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527378-02-5 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-ethyl-1H-imidazol-4-yl][4-(2-furanyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527378-07-0 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-hydroxy-4-(2-methoxyphenyl)-1-piperidinyl]- (CA INDEX NAME)

RN 527378-12-7 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-hydroxy-4-(2-pyridinyl)-1-piperidinyl]- (CA INDEX NAME)

RN 527378-18-3 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-hydroxy-4-(2-thienyl)-1-piperidinyl]- (CA INDEX NAME)

RN 527378-22-9 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-propyl-1H-imidazol-4-yl][4-hydroxy-4-(2-thienyl)-1-piperidinyl]- (CA INDEX NAME)

RN 527378-27-4 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-ethyl-1H-imidazol-4-yl][4-hydroxy-4-(2-thienyl)-1-piperidinyl]- (CA INDEX NAME)

RN 527378-32-1 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-ethyl-1H-imidazol-4-yl][4-[4-chloro-3-(trifluoromethyl)phenyl]-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527378-36-5 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-propyl-1H-imidazol-4-yl][4-[4-chloro-3-(trifluoromethyl)phenyl]-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527378-40-1 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-hydroxy-4-[3-(trifluoromethoxy)phenyl]-1-piperidinyl]- (CA INDEX NAME)

RN 527378-44-5 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-ethyl-1H-imidazol-4-yl][4-hydroxy-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]- (CA INDEX NAME)

RN 527378-48-9 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-propyl-1H-imidazol-4-yl][4-hydroxy-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]- (CA INDEX NAME)

RN 527378-52-5 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-(3-chlorophenyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527378-56-9 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-ethyl-1H-imidazol-4-yl][4-(3-chlorophenyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527378-60-5 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-propyl-1H-imidazol-4-yl][4-(3-chlorophenyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527378-68-3 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-[3-fluoro-4-(trifluoromethyl)phenyl]-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527378-73-0 CAPLUS

CN Methanone, [4-(4-chloro-3-fluorophenyl)-4-hydroxy-1-piperidinyl][2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl]- (CA INDEX NAME)

RN 527378-78-5 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-(3-fluorophenyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527378-83-2 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-ethyl-1H-imidazol-4-yl][4-(3-fluorophenyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527378-88-7 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-propyl-1H-imidazol-4-yl][4-(3-fluorophenyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527378-93-4 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-hydroxy-4-(6-methyl-2-pyridinyl)-1-piperidinyl]- (CA INDEX NAME)

RN 527378-98-9 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-ethyl-1H-imidazol-4-yl][4-hydroxy-4-(6-methyl-2-pyridinyl)-1-piperidinyl]- (CA INDEX NAME)

RN 527379-04-0 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-hydroxy-4-(4-methoxy-3-methylphenyl)-1-piperidinyl]- (CA INDEX NAME)

RN 527379-08-4 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-hydroxy-4-(3-methoxyphenyl)-1-piperidinyl]- (CA INDEX NAME)

RN 527379-13-1 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-hydroxy-4-(3-thienyl)-1-piperidinyl]- (CA INDEX NAME)

RN 527379-18-6 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-(4,6-dimethyl-2-pyrimidinyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527379-22-2 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-hydroxy-4-[4-(trifluoromethoxy)phenyl]-1-piperidinyl]- (CA INDEX NAME)

RN 527379-27-7 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-ethyl-1H-imidazol-4-yl][4-hydroxy-4-[4-(trifluoromethoxy)phenyl]-1-piperidinyl]- (CA INDEX NAME)

RN 527379-32-4 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-propyl-1H-imidazol-4-yl][4-hydroxy-4-[4-(trifluoromethoxy)phenyl]-1-piperidinyl]- (CA INDEX NAME)

RN 527379-37-9 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-ethyl-1H-imidazol-4-yl][4-hydroxy-4-[4-(trifluoromethyl)phenyl]-1-piperidinyl]- (CA INDEX NAME)

RN 527379-42-6 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-propyl-1H-imidazol-4-yl][4-hydroxy-4-[4-(trifluoromethyl)phenyl]-1-piperidinyl]- (CA INDEX NAME)

RN 527379-48-2 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-ethyl-1H-imidazol-4-yl][4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527379-52-8 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-propyl-1H-imidazol-4-yl][4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527379-58-4 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-(4-fluorophenyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527379-63-1 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-ethyl-1H-imidazol-4-yl][4-(4-fluorophenyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & &$$

RN 527379-67-5 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-5-propyl-1H-imidazol-4-yl][4-(4-fluorophenyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527379-70-0 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-hydroxy-4-(5-methyl-2-pyridinyl)-1-piperidinyl]- (CA INDEX NAME)

RN 527379-75-5 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-hydroxy-4-(4-methoxyphenyl)-1-piperidinyl]- (CA INDEX NAME)

RN 527379-80-2 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-hydroxy-4-[4-(methylthio)phenyl]-1-piperidinyl]- (CA INDEX NAME)

RN 527379-85-7 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-hydroxy-4-(4-methyl-2-pyridinyl)-1-piperidinyl]- (CA INDEX NAME)

RN 527379-90-4 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl](4-ethyl-4-hydroxy-1-piperidinyl)- (CA INDEX NAME)

RN 527379-95-9 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-hydroxy-4-(2-methylpropyl)-1-piperidinyl]- (CA INDEX NAME)

RN 527380-00-3 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl](4-hydroxy-4-methyl-1-piperidinyl)- (CA INDEX NAME)

RN 527380-05-8 CAPLUS

CN Methanone, (4-butyl-4-hydroxy-1-piperidinyl)[2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl]- (CA INDEX NAME)

RN 527380-09-2 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl](4-hydroxy-4-pentyl-1-piperidinyl)- (CA INDEX NAME)

RN 527380-14-9 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl](4-hydroxy-4-phenyl-1-piperidinyl)- (CA INDEX NAME)

RN 527380-19-4 CAPLUS

CN Methanone, [2-(2,4-dichlorophenyl)-1-(4-methoxyphenyl)-1H-imidazol-4-yl](4-hydroxy-4-phenyl-1-piperidinyl)- (CA INDEX NAME)

RN 527380-24-1 CAPLUS

CN Methanone, [1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-1H-imidazol-4-yl](4-hydroxy-4-phenyl-1-piperidinyl)- (CA INDEX NAME)

RN 527380-34-3 CAPLUS

CN Methanone, [2-(2,4-dichlorophenyl)-1-(4-fluorophenyl)-1H-imidazol-4-yl](4-hydroxy-4-phenyl-1-piperidinyl)- (CA INDEX NAME)

RN 527380-38-7 CAPLUS

CN Methanone, [4-(4-bromophenyl)-4-hydroxy-1-piperidinyl][2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl]- (CA INDEX NAME)

RN 527380-43-4 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-hydroxy-4-(phenylmethyl)-1-piperidinyl]- (CA INDEX NAME)

RN 527380-48-9 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-[4-chloro-3-(trifluoromethyl)phenyl]-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527380-53-6 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 527380-58-1 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-hydroxy-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]- (CA INDEX NAME)

RN 527384-14-1 CAPLUS

CN Methanone, [2-(2-chlorophenyl)-1-(4-chlorophenyl)-1H-imidazol-4-yl][4-[4-(trifluoromethyl)phenyl]-1-piperazinyl]- (CA INDEX NAME)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 30 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2003:261815 CAPLUS Full-text

DOCUMENT NUMBER: 138:287674

TITLE: Preparation of 1H-imidazole-4-carboxamides as CB1

agonists, partial agonists, or antagonists for

treatment of psychiatric and neurological disorders
Kruse, Cornelis G.; Lange, Josephus H. M.; Herremans,

Arnoldus H. J.; Van Stuivenberg, Herman H.

PATENT ASSIGNEE(S): Solvay Pharmaceuticals B.V., Neth.

SOURCE: PCT Int. Appl., 27 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

INVENTOR(S):

					KIND				APPLICATION NO.								
WO	2003		A2	20030403													
WO	2003	0270	76		А3		20031120										
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	TZ,
		UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW						
	RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
		KG,	KΖ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
		FΙ,	FR,	GB,	GR,	IE,	ΙΤ,	LU,	MC,	NL,	PT,	SE,	SK,	TR,	BF,	ВJ,	CF,
		CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG			
TW	231757				В	20050501			TW 2002-91119798					20020830			
CA	2457444				A1	20030403			CA 2002-2457444						20020917		
AU	2002337106				A1	20030407			AU 2002-337106					20020917			
AU	2002337106				В2	20070517											
									EP 2002-772314					20020917			
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
		ΙE,	SI,	LT,	LV,	FΙ,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	SK		
BR	2002012481				Α	20040824			BR 2002-12481					20020917			
CN	1556703				Α				CN 2002-818346								
JP	2005504805				Τ	20050217			JP 2003-530667					20020917			
HU	2004002150				A2	20050228			HU 2004-2150					20020917			
HU	2004002150				А3	20050829											
RU	2299200				C2		20070520			RU 2004-111979				20020917			

	2004CN00574 2004002188	A A	20060113 20050429		2004-CN574 2004-2188		20040317 20040318
NO	2004001171	A	20040621	NO	2004-1171		20040319
US	20040235854	A1	20041125	US	2004-490019		20040319
MX	2004PA02669	A	20040618	MX	2004-PA2669		20040322
US	20050054679	A1	20050310	US	2004-912171		20040806
US	7109216	B2	20060919				
PRIORITY	APPLN. INFO.:			ΕP	2001-203851	Α	20010921
				WO	2002-EP10434	W	20020917
				US	2004-490019	Α2	20040319
				US	2004-574939P	Ρ	20040528

OTHER SOURCE(S): MARPAT 138:287674

GΙ

AΒ Title compds. I [wherein R = (un)substituted Ph, thienyl, pyridinyl, pyrimidinyl, pyrazinyl, pyridazinyl, or triazinyl; R1 = (un)substituted Ph or pyridinyl; R2 = H or (cyclo)alkyl or (cyclo)alkenyl optionally interrupted by S, O, or N; R3 = (un)substituted (cyclo)alkyl, (cyclo)alkoxy, bicycloalkyl, tricycloalkyl, or (cyclo)alkenyl optionally interrupted by N, O, or S; or R3 = pyridinyl or Ph when R4 \neq H; or R3 = NR5R6 when R2 = H or Me; or NR2R3 = (un) substituted heterocyclyl; R4 = H, halo, CN, carbamoyl, formyl, acetyl, CF3CO, FCH2CO, EtCO, sulfamoyl, MeSO2, MeS, or (un)substituted alkyl; R5 and R6 = independently alkyl; or NR5R6 = (un)substituted heterocyclyl; and prodrugs, stereoisomers, and salts thereof] were prepared as potent cannabinoid (CB1) receptor agonists, partial agonists, or antagonists (no data). For example, reaction of 4-chloroaniline with 2,4-dichlorobenzonitrile in the presence of sodium bis(trimethylsilyl)amide in THF provided N-(4chlorophenyl)-2,4- dichlorobenzenecarboxamidine (42%). Cyclization of the carboxamidine with Et 3-bromo-2-oxopropanoate in a solution of NaHCO3 and isopropanol gave the imidazolecarboxylate (29%), which was converted to the imidazolecarbonyl chloride (no data). Amidation with 1-aminopiperidine using TEA in CH2Cl2 afforded II (26%). I are useful for the treatment of psychiatric and neurol. disorders, as well as and other diseases involving cannabinoid neurotransmission (no data).

IT 505073-33-6P, 1-[[1-(4-Chlorophenyl)-2-(2,4-dichlorophenyl)-1H imidazol-4-yl]carbonyl]hexahydro-1H-azepine
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(CB1 modulator; preparation of imidazolecarboxamides as CB1 agonists, partial agonists, or antagonists for treatment of psychiatric and neurol. disorders)

RN 505073-33-6 CAPLUS

CN Methanone, [1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-1H-imidazol-4-

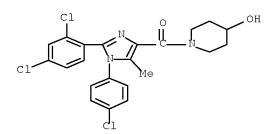
IT 796875-33-7

RL: PRPH (Prophetic)

(Preparation of 1H-imidazole-4-carboxamides as CB1 agonists, partial agonists, or antagonists for treatment of psychiatric and neurological disorders)

RN 796875-33-7 CAPLUS

CN Methanone, [1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-5-methyl-1H-imidazol-4-yl](4-hydroxy-1-piperidinyl)- (CA INDEX NAME)



L3 ANSWER 31 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2003:76555 CAPLUS Full-text

DOCUMENT NUMBER: 138:122647

TITLE: Preparation of 4,5-diarylimidazole derivatives as

cannabinoid receptor modulators

INVENTOR(S): Finke, Paul E.; Mills, Sander G.; Plummer, Christopher

W.; Shah, Shrenik K.; Truong, Quang T.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA SOURCE: PCT Int. Appl., 131 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

```
WO 2003007887
                           A2
                                  20030130
                                             WO 2002-US23230
                                                                        20020716
     WO 2003007887
                           АЗ
                                  20030417
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
              CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL,
             PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,
             UG, US, UZ, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
              KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF,
              CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     AU 2002319627
                           A1
                                  20030303
                                              AU 2002-319627
                                                                        20020716
     US 20030114495
                           A1
                                  20030619
                                               US 2002-198442
                                                                        20020717
     US 7057051
                           В2
                                  20060606
     US 20060089356
                           A1
                                  20060427
                                               US 2005-265850
                                                                        20051103
PRIORITY APPLN. INFO.:
                                               US 2001-307224P
                                                                   P 20010720
                                               WO 2002-US23230 W 20020716
US 2002-198442 A3 20020717
OTHER SOURCE(S):
                         MARPAT 138:122647
```

GΙ

The use of the title compds. [I; R1 = H, cycloalkyl, C2-10 alkenyl, C2-10 AΒ alkynyl, cycloalkyl, cycloalkyl-C1-10 alkyl, cycloheteroalkyl, cycloheteroalkyl-C1-10 alkyl, aryl, heteroaryl, aryl-C1-10 alkyl, heteroaryl-C1-10 alkyl; R2 = C1-10 alkyl, C2-10 alkenyl, C2-10 alkynyl, cycloalkyl, cycloalkyl-C1-10 alkyl, cycloheteroalkyl, cycloheteroalkyl-C1- 10 alkyl, aryl, heteroaryl, aryl-C1-10 alkyl, heteroaryl-C1-10 alkyl, ORd, NRdRe, NRdS(O)mRe; wherein alkyl, alkenyl, alkynyl, and cycloalkyl are optionally substituted; Rd, Re = H, (un)substituted C1-10 alkyl, C2-10 alkenyl, C2-10 alkynyl, cycloalkyl, cycloalkyl-C1-10 alkyl, cycloheteroalkyl, cycloheteroalkyl-C1-10, aryl, heteroaryl, aryl-C1-10 alkyl, heteroaryl-C1-10 alkyl; or Rd and Re together with the atom(s) to which they are attached form a heterocyclic ring of 4 to 7 members containing 0-2 addnl. heteroatoms independently selected from oxygen, sulfur and NRd; Ar1, Ar2 = (un)substituted Ph, naphthyl, thienyl, furanyl, pyrrolyl, benzothienyl, benzofuranyl, indanyl, indenyl, indolyl, tetrahydronaphthyl, 2,3-dihydrobenzofuranyl, dihydrobenzopyranyl, or 1,4benzodioxanyl] of the present invention as antagonists and/or inverse agonists of the cannabinoid-1 (CB1) receptor particularly in the treatment, prevention and suppression of diseases mediated by the Cannabinoid-1 (CB1) receptor is disclosed. The invention is concerned with the use of these novel compds. to selectively antagonize the Cannabinoid-1 (CB1) receptor (no data). As such, the compds. I are useful as psychotropic drugs in the treatment of psychosis, memory deficits, cognitive disorders, migraine, neuropathy, neuro-inflammatory disorders including multiple sclerosis and Guillain-Barre syndrome and the inflammatory sequelae of viral encephalitis, cerebral vascular accidents, and head trauma, anxiety disorders, stress, epilepsy, Parkinson s disease, and

schizophrenia. The compds. I are also useful for the treatment of substance abuse disorders, particularly to opiates, alc., and nicotine. The compds. I are also useful for the treatment of obesity or eating disorders associated with excessive food intake and complications associated therewith. Thus, benzoin was cyclocondensed with N-methylurea in ethylene glycol at 180° for 1.5 h to give 4,5-diphenyl-1-methyl-2,3-dihydroimidazol-2-one which was heated with POC13 at 100° for 20 h to give 2-chloro-4,5-diphenyl-1- methylimidazole (II). Lithiation of II in THF with 1.6 N BuLi/hexane at -20° for 2 h followed by reaction with benzyl chloroformate at -20° for 20 min and warming the reaction mixture from -20° to room temperature over 30 min gave benzyl 4,5diphenyl-1-methylimidazole-2- carboxylate which was hydrogenolyzed over 20% Pd/C in methanol at 40 psi for 1 h and condensed with 1-aminopiperidine containing a small percent of piperidine using ByBOP and N,N-diisopropyl-Nethylamine in CH2Cl2 at room temperature for 20 h to give N-(piperidin-1-yl)-4,5-diphenyl-1-methylimidazole-2- carboxamide and 2-(piperidin-1-ylcarbonyl)-4,5-diphenyl-1-methylimidazole.

IT 489446-71-1P, 2-(Piperidin-1-ylcarbonyl)-4,5-diphenyl-1methylimidazole 489446-86-8P, 2-(Piperidin-1-ylcarbonyl)-4,5di(4-methylphenyl)-1-methylimidazole 489446-90-4P,
2-(Pyrrolidin-1-ylcarbonyl)-4,5-di(4-methylphenyl)-1-methylimidazole
489447-12-3P, 2-(Piperidin-1-ylcarbonyl)-4,5-di(4-chlorophenyl)-1methylimidazole
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

Therapeutic use); BIOL (Biological study); PREP (Preparation); USES Uses)

(preparation of diarylimidazole derivs, as cannabinoid receptor mod

(preparation of diarylimidazole derivs. as cannabinoid receptor modulators for prevention or treatment of diseases mediated by cannabinoid-1 receptor)

RN 489446-71-1 CAPLUS

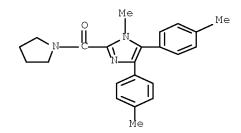
CN Methanone, (1-methyl-4,5-diphenyl-1H-imidazol-2-yl)-1-piperidinyl- (CA INDEX NAME)

RN 489446-86-8 CAPLUS

CN Methanone, [1-methyl-4,5-bis(4-methylphenyl)-1H-imidazol-2-yl]-1-piperidinyl- (CA INDEX NAME)

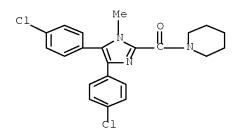
RN 489446-90-4 CAPLUS

CN Methanone, [1-methyl-4,5-bis(4-methylphenyl)-1H-imidazol-2-yl]-1pyrrolidinyl- (CA INDEX NAME)



RN 489447-12-3 CAPLUS

Methanone, [4,5-bis(4-chlorophenyl)-1-methyl-1H-imidazol-2-yl]-1-CN piperidinyl- (CA INDEX NAME)



ANSWER 32 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:702237 CAPLUS Full-text

DOCUMENT NUMBER: 137:362533

AUTHOR(S):

TITLE: Synthesis and Pharmacological Evaluation of

1-[(1,2-Diphenyl-1H-4-imidazolyl)methyl]-4-

phenylpiperazines with Clozapine-Like Mixed Activities

at Dopamine D2, Serotonin, and GABAA Receptors Asproni, Battistina; Pau, Amedeo; Bitti, Mauro;

Melosu, Marilena; Cerri, Riccardo; Dazzi, Laura; Seu,

Emanuele; Maciocco, Elisabetta; Sanna, Enrico; Busonero, Fabio; Talani, Giuseppe; Pusceddu, Luca; Altomare, Cosimo; Trapani, Giuseppe; Biggio, Giovanni

CORPORATE SOURCE: Dipartimento Farmaco Chimico Tossicologico, Facolta di

Farmacia, Universita degli Studi di Sassari, Sassari,

07100, Italy

SOURCE: Journal of Medicinal Chemistry (2002), 45(21),

4655-4668

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 137:362533

A series of 18 1-[(1,2-diphenyl-1H-4-imidazolyl)methyl]-4-piperazines were designed and synthesized as possible ligands with mixed dopamine (DA)

D2/serotonin 5-HT1A affinity, with the aim of identifying novel compds. with neurochem. and pharmacol. properties similar to those of clozapine. The binding profile at D2 like, 5-HT1A, and 5-HT2A receptors of title compds. was determined Modifications made in the Ph rings of the parent compound produced congeners endowed with a broad range of binding affinities for DA D2 like, serotonin 5-HT1A, and 5-HT2A receptors, with IC50 values ranging from 25 to >10 000 nM. As for the modification of the piperazine N4-Ph ring, the affinities for both D2 like and 5-HT1A receptors were progressively increased by introduction of ortho-methoxy and ethoxy groups. Data revealed the presence of a para-chloro substituent to be associated with a relatively high affinity and substantial selectivity for D2 like receptors, whereas the metachloro analog exhibited preferential affinity for 5-HT1A receptors. A quant. structure-affinity relation anal. of the measured binding data resulted in regression equations that highlighted substituent physicochem. properties modulating the binding to subtypes 1A and 2A of serotonin 5-HT receptors but not to D2 like receptors. Thus, besides an electron-withdrawing field effect and ortho substitution, which both influence binding to serotonin 5-HT receptor subtypes, though to a different extent as revealed by regression coeffs. in the multiparametric regression equations, the affinity of congeners to 5-HT1A receptors proved to be linearly correlated with volume/polarizability descriptors, whereas their affinity to 5-HT2A receptors correlated with lipophilicity consts. through a parabolic relation. 1-[(1,2-Diphenyl-1H-4-imidazolyl) methyl]-4-(2-methoxyphenyl) piperazine (I), with a D2/5-HT1A IC50 ratio of .apprx.1, was selected for a further pharmacol. study. In rats, the i.p. administration of compound I, like that of clozapine, induced an increase in the extracellular concentration of DA measured in the medial prefrontal cortex. Furthermore, I and clozapine each inhibited GABAevoked Cl- currents at recombinant GABAA receptors expressed in Xenopus oocytes. These findings suggest that compound I may represent an interesting prototype of a novel class of drugs endowed with a neurochem. profile similar to that of atypical antipsychotics.

TT 475596-02-2P 475596-04-4P 475596-06-6P 475596-07-7P 475596-09-9P 475596-11-3P 475596-12-4P 475596-13-5P 475596-14-6P 475596-15-7P 475596-16-8P 475596-17-9P 475596-18-0P 475596-19-1P 475596-20-4P 475596-21-5P 475596-22-6P 475596-23-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and structure activity relationships of phenylpiperazines with clozapine-like mixed activities at dopamine D2, serotonin, and GABAA receptors)

RN 475596-02-2 CAPLUS

CN Methanone, (1,2-diphenyl-1H-imidazol-4-yl)(4-phenyl-1-piperazinyl)- (CA INDEX NAME)

$$\operatorname{Ph} = \operatorname{Ph} \operatorname{Ph}$$

RN 475596-04-4 CAPLUS

CN Methanone, (1,2-diphenyl-1H-imidazol-4-yl)[4-(2-methoxyphenyl)-1-piperazinyl]- (CA INDEX NAME)

RN 475596-06-6 CAPLUS

CN Methanone, (1,2-diphenyl-1H-imidazol-4-yl)[4-(3-methoxyphenyl)-1-piperazinyl]- (CA INDEX NAME)

RN 475596-07-7 CAPLUS

CN Methanone, (1,2-diphenyl-1H-imidazol-4-yl)[4-(4-methoxyphenyl)-1-piperazinyl]- (CA INDEX NAME)

RN 475596-09-9 CAPLUS

CN Methanone, [4-(2-chlorophenyl)-1-piperazinyl](1,2-diphenyl-1H-imidazol-4-yl)- (CA INDEX NAME)

RN 475596-11-3 CAPLUS

CN Methanone, [4-(3-chlorophenyl)-1-piperazinyl](1,2-diphenyl-1H-imidazol-4-yl)- (CA INDEX NAME)

RN 475596-12-4 CAPLUS

CN Methanone, [4-(4-chlorophenyl)-1-piperazinyl](1,2-diphenyl-1H-imidazol-4-yl)- (CA INDEX NAME)

RN 475596-13-5 CAPLUS

CN Methanone, (1,2-diphenyl-1H-imidazol-4-yl)[4-(2-fluorophenyl)-1-piperazinyl]- (CA INDEX NAME)

RN 475596-14-6 CAPLUS

CN Methanone, (1,2-diphenyl-1H-imidazol-4-yl)[4-(4-fluorophenyl)-1-piperazinyl]- (CA INDEX NAME)

RN 475596-15-7 CAPLUS

CN Methanone, (1,2-diphenyl-1H-imidazol-4-yl)[4-[3-(trifluoromethyl)phenyl]-1-piperazinyl]- (CA INDEX NAME)

RN 475596-16-8 CAPLUS

CN Methanone, (1,2-diphenyl-1H-imidazol-4-yl)[4-[4-(trifluoromethyl)phenyl]-1-piperazinyl]- (CA INDEX NAME)

RN 475596-17-9 CAPLUS

CN Methanone, (1,2-diphenyl-1H-imidazol-4-yl)[4-(2-pyridinyl)-1-piperazinyl]- (CA INDEX NAME)

RN 475596-18-0 CAPLUS

CN Methanone, (1,2-diphenyl-1H-imidazol-4-yl)[4-(phenylmethyl)-1-piperazinyl]- (CA INDEX NAME)

$$Ph - CH_2$$

$$N - CH_2$$

RN 475596-19-1 CAPLUS

CN Methanone, (1,2-diphenyl-1H-imidazol-4-yl)(4-methyl-1-piperazinyl)- (CA INDEX NAME)

RN 475596-20-4 CAPLUS

CN Methanone, (1,2-diphenyl-1H-imidazol-4-yl)[4-(2-ethoxyphenyl)-1-piperazinyl]- (CA INDEX NAME)

RN 475596-21-5 CAPLUS

CN Methanone, [1,2-bis(4-fluorophenyl)-1H-imidazol-4-yl](4-phenyl-1-piperazinyl)- (CA INDEX NAME)

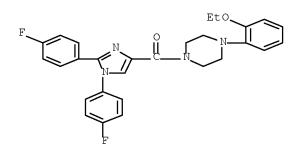
RN 475596-22-6 CAPLUS

CN Methanone, [1,2-bis(4-fluorophenyl)-1H-imidazol-4-yl][4-(2-methoxyphenyl)-1-piperazinyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 475596-23-7 CAPLUS

CN Methanone, [1,2-bis(4-fluorophenyl)-1H-imidazol-4-yl][4-(2-ethoxyphenyl)-1-piperazinyl]- (CA INDEX NAME)



REFERENCE COUNT: 80 THERE ARE 80 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 33 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1974:505370 CAPLUS $\underline{\text{Full-text}}$

DOCUMENT NUMBER: 81:105370

ORIGINAL REFERENCE NO.: 81:16667a,16670a

TITLE: Heterocyclization of α -acylamino amides. III.

Properties of 5-aminooxazoles

AUTHOR(S): Clerin, Daniel; Fleury, Jean P.

CORPORATE SOURCE: Lab. Chim. Org. Gen., Ec. Super. Chim., Mulhouse, Fr. SOURCE: Bulletin de la Societe Chimique de France (1974),

(1-2, Pt. 2), 211-17

CODEN: BSCFAS; ISSN: 0037-8968

DOCUMENT TYPE: Journal LANGUAGE: French

GI For diagram(s), see printed CA Issue.

Treatment of 5-amino-2-aryl(or alkyl)oxazoles (I; R2N = piperidino, 1-pyrrolidinyl, morpholino; R1 = Ph, p-O2NC6H4, p-MeC6H4, Me) with electrophiles gave: with H3O+, R2NCOCH2NHCOR1; with (CF3CO)2I, 4-CF3CO derivs. of I; with PhNCO and PhNCS, 4-PhNHCO and 4-PhNCS derivs. of I; with arenediazonium salts, 4-position addition products, some of which rearranged to s-triazoles; and with sulfonyl azides, R2SO2N3 (R = Me, p-MeC6H4), cycloaddn. products which rearranged with N elimination, then reacted with a second mol. of I to give II. When I had a Me group in the 4-position, reaction with arenediazonium salts opened the ring.

IT 53423-03-3P 53423-04-4P 53423-19-1P

53423-20-4P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 53423-03-3 CAPLUS

CN Morpholine, 4-[(1,5-diphenyl-1H-1,2,4-triazol-3-yl)carbonyl]- (9CI) (CA INDEX NAME)

RN 53423-04-4 CAPLUS

CN Morpholine, 4-[[1-(4-methylphenyl)-5-phenyl-1H-1,2,4-triazol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

$$\mathbb{P}_{\mathsf{N}} = \mathbb{P}_{\mathsf{N}} =$$

RN 53423-19-1 CAPLUS

CN Piperidine, 1-[[5-(4-nitrophenyl)-1-phenyl-1H-1,2,4-triazol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

RN 53423-20-4 CAPLUS

CN Piperidine, 1-[[1-(4-methylphenyl)-5-(4-nitrophenyl)-1H-1,2,4-triazol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

L3 ANSWER 34 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1971:551776 CAPLUS $\underline{\text{Full-text}}$

DOCUMENT NUMBER: 75:151776

ORIGINAL REFERENCE NO.: 75:23941a,23944a

TITLE: Carboxamides and carbohydrazides of

4,5-diphenyloxazole

INVENTOR(S):
Marchetti, Enzo

PATENT ASSIGNEE(S): Istituto Farmacologico Serono S.p.A.

SOURCE: Ger. Offen., 17 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
				_	
DE 2110363	A	19710916	DE 1971-2110363		19710304
СН 555846	A	19741115	СН 1971-2839		19710226
US 3869455	A	19750304	US 1971-119832		19710301
CA 949580	A1	19740618	CA 1971-106905		19710304
FR 2085675	A5	19711231	FR 1971-7751		19710305
FR 2085675	A1	19711231			
JP 50004663	В	19750222	JP 1971-11584		19710305
GB 1293702	A	19721025	GB 1971-1293702		19710419
US 3925404	A	19751209	US 1973-353675		19730423
PRIORITY APPLN. INFO.:			IT 1970-21550	Α	19700305
			US 1971-119832	АЗ	19710301

- GI For diagram(s), see printed CA Issue.
- AB Title compds. (I), analgesics and central nervous system depressants, were prepared from I (R=OEt or OMe) by aminolysis or hydrazinolysis, resp., or by saponification and reaction with amines or hydrazines, resp. Thus, I (n=0, R=OEt) was refluxed 24 hr with Et2NH to give 73% I (n=0, R=NEt2). Similarly prepared were 15 addnl. I, e.g. (n and R given): 0, NHNMe2; 1, NHMe; 1, morpholino; 2, NHNH2.
- IT 34015-88-8P 34015-89-9P
- RN 34015-88-8 CAPLUS
- CN Morpholine, 4-[(4,5-diphenyl-2-oxazolyl)carbonyl]- (8CI) (CA INDEX NAME)

RN 34015-89-9 CAPLUS

CN Pyrrolidine, 1-[(4,5-diphenyl-2-oxazolyl)carbonyl]- (8CI) (CA INDEX NAME)

L3 ANSWER 35 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1961:131227 CAPLUS Full-text

DOCUMENT NUMBER: 55:131227 ORIGINAL REFERENCE NO.: 55:24729e-i

TITLE: Action of organomagnesium compounds, piperidine, and

aromatic thiols on 4-arylazo-2-phenyloxazolin-5-ones

AUTHOR(S): Asker, Wafia; Elagroudi, Zien E.

CORPORATE SOURCE: Cairo Univ., Giza, Egypt

SOURCE: Journal of Organic Chemistry (1961), 26, 1440-3

CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE: Journal LANGUAGE: Unavailable

OTHER SOURCE(S): CASREACT 55:131227 GI For diagram(s), see printed CA Issue.

The action of excess R'MgX on RNHN:C.N:CPh.O.CO (I) gave 1H-1,2,4-triazoles, AΒ RN.CPh:N.C(CR'2OH):N (II). Thus, adding 1 g. I (R = Ph) in 50 ml. C6H6 to PhMgBr (from 0.9 g. Mg and 9 g. PhBr in 50 ml. Et20), refluxing the mixture 3 hrs., keeping it overnight at 25°, decompg, it with saturated aqueous NH4Cl, extracting with Et20, evaporating the dried Et20 extract, and triturating the residue with petr. ether gave 60% II (R and R' = Ph), m. 180° . The appropriate I and R'MgBr gave the following II (R, R', % yield, and m.p. given): Ph, p-MeC6H4, 70, 189°, o-MeC6H4, Ph, 60, 152°; p-MeC6H4, Ph, 55, 145°; β -C10H7, Ph, 50, 201°. The products turned red with H2SO4. The action of piperidine on I caused a rearrangement to 1H-1,2,4-triazoles, RN.CPh:N.C(CONC5H10):N (III). Thus, adding 0.5 g. appropriate I to 0.5 ml. distilled. C5H10NH, shaking the mixture 15 min. to a clear solution, keeping it overnight at room temperature, triturating with hot petr. ether, and crystallizing the solids from dilute alc. gave the following III (R, % yield, m.p. given): Ph, 94, 193°; ο-MeC6H4, 90, 127°; p-MeC6H4, 82, 141°; β-C10H7, 77, 130°. A similar rearrangement was observed from the action of aromatic thiols on I to also give 1 H-1,2,4-triazoles, RN.CPh: N.C(COSR'):N (IV). Thus, heating 1 g. I and 1 g. R'SH at 110 1.5 hrs., cooling, triturating with petr. ether, and crystallizing the residue from EtOH gave the following IV (R, R', % yield, m.p. given): Ph, Ph, 41, 146°; Ph, p-MeC6H4, 62, 195°; o-MeC6H4, p-MeC6H4, - 55, 181; p-MeC6H4, p-MeC6H4, 41, 177°; β-C10H7, p-MeC6H4, 46, 183°. ΙT

T 111384-11-3P, Piperidine, 1-(1,5-diphenyl-1H-1,2,4-triazol-3ylcarbonyl) - 115101-88-7P, Piperidine, 1-[5-phenyl-1-p-tolyl-1H1,2,4-triazol-3-ylcarbonyl] - 115163-48-9P, Piperidine,
1-[5-phenyl-1-o-tolyl-1H-1,2,4-triazol-3-ylcarbonyl] -

RL: PREP (Preparation) (preparation of)

RN 111384-11-3 CAPLUS

CN Methanone, (1,5-diphenyl-1H-1,2,4-triazol-3-yl)-1-piperidinyl- (CA INDEX NAME)

RN 115101-88-7 CAPLUS

CN Methanone, [1-(4-methylphenyl)-5-phenyl-1H-1,2,4-triazol-3-yl]-1-piperidinyl- (CA INDEX NAME)

$$\begin{array}{c|c} Me & & \\ & & N \\ & & N \\ \end{array}$$

RN 115163-48-9 CAPLUS

CN Methanone, [1-(2-methylphenyl)-5-phenyl-1H-1,2,4-triazol-3-yl]-1-piperidinyl- (CA INDEX NAME)

=> log off

ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF LOGOFF? (Y)/N/HOLD:y

STN INTERNATIONAL LOGOFF AT 07:48:08 ON 09 OCT 2008